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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * *
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                 Web Page for STN Seminar Schedule - N. America
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         JUL 28
                 EPFULL enhanced with additional legal status
                 information from the epoline Register
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         JUL 28
                 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
         JUL 28
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                 STN Viewer performance improved
                 INPADOCDB and INPAFAMDB coverage enhanced
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         AUG 01
NEWS
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         AUG 13
                 CA/CAplus enhanced with printed Chemical Abstracts
                 page images from 1967-1998
         AUG 15
                 CAOLD to be discontinued on December 31, 2008
NEWS
      9
         AUG 15 CAplus currency for Korean patents enhanced
NEWS
NEWS 10
         AUG 27
                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
                 information
NEWS 11
         SEP 18
                 Support for STN Express, Versions 6.01 and earlier,
                 to be discontinued
NEWS 12
         SEP 25 CA/CAplus current-awareness alert options enhanced
                 to accommodate supplemental CAS indexing of
                 exemplified prophetic substances
NEWS 13
         SEP 26
                 WPIDS, WPINDEX, and WPIX coverage of Chinese and
                 and Korean patents enhanced
NEWS 14
         SEP 29
                 IFICLS enhanced with new super search field
NEWS 15
         SEP 29
                 EMBASE and EMBAL enhanced with new search and
                 display fields
NEWS 16
         SEP 30 CAS patent coverage enhanced to include exemplified
                 prophetic substances identified in new Japanese-
                 language patents
NEWS 17
         OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 18
         OCT 07 Multiple databases enhanced for more flexible patent
                 number searching
         OCT 22 Current-awareness alert (SDI) setup and editing
NEWS 19
                 enhanced
                 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
NEWS 20
         OCT 22
                 Applications
NEWS 21
        OCT 24
                 CHEMLIST enhanced with intermediate list of
                 pre-registered REACH substances
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
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http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10542579.str

chain nodes : 10 11 12 15 ring nodes :

1 2 3 4 5 6 7 8 9 16 17 18 19 20 21

chain bonds :

8-12 10-11 10-12 11-15 15-17

ring bonds :

exact/norm bonds :

5-7 6-9 7-8 8-9 10-11

exact bonds :

8-12 10-12 11-15 15-17

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 16-17 \quad 16-21 \quad 17-18 \quad 18-19 \quad 19-20 \quad 20-21$ 

## Match level :

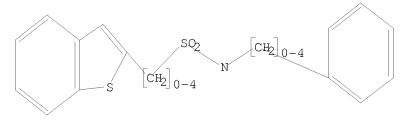
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

### L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 15:21:18 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS 29 ANSWERS

SEARCH TIME: 00.00.01

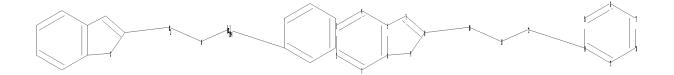
FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2442 TO 3958
PROJECTED ANSWERS: 257 TO 903

L2 29 SEA SSS SAM L1

=>

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chain nodes :
10 11 12
ring nodes :
1 2 3 4 5

1 2 3 4 5 6 7 8 9 13 14 15 16 17 18

chain bonds :

8-10 10-11 11-12 12-14

ring bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 5-7 \quad 6-9 \quad 7-8 \quad 8-9 \quad 13-14 \quad 13-18 \quad 14-15 \quad 15-16 \quad 16-17$ 

17-18

exact/norm bonds :

5-7 6-9 7-8 8-9 10-11

exact bonds: 8-10 11-12 12-14 normalized bonds:

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 13-14 \quad 13-18 \quad 14-15 \quad 15-16 \quad 16-17 \quad 17-18$ 

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

## L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 13

SAMPLE SEARCH INITIATED 15:23:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 80 TO ITERATE

100.0% PROCESSED 80 ITERATIONS

SEARCH TIME: 00.00.01

29 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1064 TO 2136 PROJECTED ANSWERS: 257 TO 903

L4 29 SEA SSS SAM L3

=> search 12

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full
FULL SEARCH INITIATED 15:23:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2788 TO ITERATE

100.0% PROCESSED 2788 ITERATIONS

569 ANSWERS

SEARCH TIME: 00.00.01

L5 569 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
180.20
181.88

FILE 'CAPLUS' ENTERED AT 15:23:42 ON 27 OCT 2008
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=> s 15

L6 152 L5

=> d 16 fbib ab hitstr 1-152

L6 ANSWER 1 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:1170727 CAPLUS

TI 5-HT6/7 Receptor Antagonists Facilitate Dopamine Release in the Cochlea via a GABAergic Disinhibitory Mechanism

AU Doleviczenyi, Zoltan; Vizi, E. Sylvester; Gacsalyi, Istvan; Pallagi, Katalin; Volk, Balazs; Harsing, Laszlo G., Jr.; Halmos, Gyorgy; Lendvai, Balazs; Zelles, Tibor

CS Department of Pharmacology, Institute of Experimental Medicine, Hungarian Academy of Sciences, Budapest, 1083, Hung.

SO Neurochemical Research (2008), 33(11), 2364-2372 CODEN: NEREDZ; ISSN: 0364-3190

PB Springer

DT Journal

LA English

In humans, serotonin (5-HT) has been implicated in numerous physiol. and AΒ pathol. processes in the peripheral auditory system. Dopamine (DA), another transmitter of the lateral olivocochlear (LOC) efferents making synapses on cochlear nerve dendrites, controls auditory nerve activation and protects the sensory nerve against overactivation. Using in vitro microvolume superfusion techniques we tested  $5-\mathrm{HT}6$  and  $5-\mathrm{HT}7$  receptor antagonists whether they can influence dopamine (DA) release from the quinea-pig cochlea in control and in ischemic conditions using currently available and new 5-HT6 and 5-HT7 antagonists and mixed antagonists, which were synthesized and characterized for the current study. While the 5-HT7 antagonist SB-258719 was ineffective, SB-271046, which blocks the 5-HT6 receptor, caused a significant increase in cochlear DA release what is contradictory with the excitatory nature of this type of receptor. Moreover, the mixed 5-HT6/7 antagonist EGIS-12233 induced an even more pronounced increase in the resting DA release. To understand why the block of an excitatory receptor results in an increase instead of a decrease in function, we investigated the possible involvement of an indirect neural mechanism through an inhibitory system. In the presence of the GABAA receptor blocker bicuculline, EGIS-12233 failed to increase the release of DA, suggesting that the serotonin receptor modulation of DA release from the lateral olivocochlear efferents in the cochlea was produced indirectly by decreasing the GABAergic inhibitory tone on dopaminergic nerve endings. The mixed 5-HT7/D4 receptor antagonist EGIS-11983 significantly increased both the stimulation-evoked and the resting DA release, while the selective D4 blocker L-741,741 alone had no significant effect. Ischemia, simulated by oxygen and glucose deprivation from the perfusion solution had no action on the effect of the drugs. Drugs that can increase the release of DA from LOC terminals in the cochlea may have a role in the treatment of sensorineural hearing loss.

IT INDEXING IN PROGRESS

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); BIOL (Biological study) (5-HT6/7 receptor antagonists facilitate dopamine release in the cochlea via a GABAergic disinhibitory mechanism)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD

### ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6
     ANSWER 2 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
     2008:1012610 CAPLUS
ΑN
DN
     149:261123
     Preparation of modulators of acetyl coenzyme A carboxylase as fungicides
ΤI
     and pharmaceuticals
IN
     Anderson, Richard; Hokama, Takeo; Lee, Shy-Fuh; Oey, Rafael; Elich, Tedd;
     Breazeale, Steven
     Cropsolution, Inc., USA
PA
     U.S. Pat. Appl. Publ., 100pp.
SO
     CODEN: USXXCO
DT
     Patent
LA
     English
FAN.CNT 1
                           KIND
                                                 APPLICATION NO.
     PATENT NO.
                                     DATE
                                                                             DATE
                            ____
                                    _____
                                                  _____
                                                                             _____
     US 20080200461
                            A1
                                     20080821
                                                  US 2008-33925
                                                                             20080220
PΙ
                                                  US 2007-890643P P 20070220
     WO 2008103354
                            A2
                                     20080828
                                                  WO 2008-US2186
                                                                             20080220
          W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
              CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, CC, CD, CE, CK, CI, CM, CM, CM, TI, TM
          PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
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               TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
               TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
              AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                                                  US 2007-890643P
                                                                        P 20070220
     MARPAT 149:261123
OS
     The acetyl CoA carboxylase modulators R1NR2XNR3R4R5 [R1, R2 = H,
AΒ
      (halo)alkyl, (halo)alkenyl, etc.; R3, R4 = (halo)alkyl,
     (halo)alkenyl.(halo)valkynyl, etc.; R1NR2, R3NR4 = ring; R5 = nonbonded
     pair of electrons, (halo)alkyl, (halo)alkenyl, etc.; X = (un)substituted
     C2-8 C bridge, optionally containing N, O or S] are prepared as fungicides and
     pharmaceuticals , particularly the treatment of obesity, metabolic
     syndrome, atherosclerosis, cardiovascular disease and insulin resistance,
     e.g., type II or adult-onset diabetes.
TT
     1058136-22-3P 1058136-23-4P 1058136-24-5P
     1058136-25-6P 1058136-82-5P 1058136-83-6P
     RL: AGR (Agricultural use); PRPH (Prophetic); SPN (Synthetic preparation);
     THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of modulator of acetylCoA carboxylase as fungicides and
         pharmaceuticals)
     1058136-22-3 CAPLUS
RN
CN
     Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(diethylamino)butyl]-3-
```

methyl-N-(2-naphthalenylmethyl)- (CA INDEX NAME)

RN 1058136-23-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

• Br-

RN 1058136-24-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,4,6-trichloro-N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)

RN 1058136-25-6 CAPLUS

CN Benzenemethanaminium, N,N-diethyl-3,5-dimethyl-N-[4-[(2-naphthalenylmethyl)[(3,4,6-trichlorobenzo[b]thien-2-yl)sulfonyl]amino]butyl]-, bromide (1:1) (CA INDEX NAME)

C1 S 
$$CH_2$$
 Et  $CH_2$   $CH_2$ 

• Br-

RN 1058136-82-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)

RN 1058136-83-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

• Br-

- L6 ANSWER 3 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2008:1001769 CAPLUS
- DN 149:299937
- TI Development of a scintillation proximity assay binding method for the human 5-hydroxytryptamine 6 receptor using intact cells
- AU Carrick, Tikva; Kowal, Dianne; Nawoschik, Stanley; Zhang, Gouming; Chan, Karen; Dunlop, John
- CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, 08543, USA
- SO Analytical Biochemistry (2008), 381(1), 27-32

CODEN: ANBCA2; ISSN: 0003-2697

PB Elsevier Inc.

DT Journal

LA English

We describe the first validated scintillation proximity assay (SPA) AB binding method for quantitation of 3H-labeled d-lysergic acid diethylamide (LSD) binding to recombinant human 5-hydroxytryptamine 6 (5-HT6) receptors expressed in Chinese hamster ovary (CHO)-Dukx and HeLa cells. The assay was developed using intact cells as a receptor source because membrane fractions derived from these cells failed to discern specific binding from a high level of nonspecific binding. The pharmacol. binding profile of seven 5-HT6 agonists and antagonists using intact CHO-Dukx/5-HT6 cells in the SPA format was similar to data obtained from a filtration binding assay using HeLa/5-HT6 membranes. Ki values and rank order of potencies obtained in the SPA format were consistent with published filtration data as follows: SB-271046 (Ki = 1.9 nM) > methiothepin (Ki = 6.2 nM) > mianserin (Ki = 74.3 nM) > 5-methoxytryptamine (5-MeOT, Ki = 111 nM) > 5-HT (Ki = 150 nM) > ritanserin (K i = 207 nM) > 5-carboxamidotryptamine (5-CT, K i = 704 nM). Addnl. evaluation with four antipsychotics demonstrated strong agreement with previous literature reports. A high specific binding signal and low assay variability, as determined by Z' = 0.81± 0.017, make the SPA format amenable to automation and higher throughput; hence, this assay can be a viable alternative to the more labor-intensive filtration and centrifugation methods.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); BIOL (Biological study) (5-HT6 receptor determination and characterization with scintillation proximity

assay binding method using intact cells)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:943469 CAPLUS

DN 149:224092

TI Preparation of heterocyclyl-substituted indolyl sulfonamides with serotonin 5-HT6 receptor affinity for the treatment of cognitive or food ingestion related disorders

IN Diaz-Fernandez, Jose-Luis

PA Laboratorios del Dr. Esteve, S.A., Spain

SO PCT Int. Appl., 40pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

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PATENT NO.
                       KIND DATE APPLICATION NO. DATE
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                        A1 20080807 WO 2008-EP726
    WO 2008092665
                                                                  20080130
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            FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
            KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
            PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
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             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
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            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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                               20080806
                                           EP 2007-384014
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            BA, HR, MK, RS
PATENT FAMILY INFORMATION:
FAN
   2008:934372
                                          APPLICATION NO.
    PATENT NO.
                        KIND
                               DATE
                               _____
                        ____
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    EP 1953153
                                         EP 2007-384014
                         A1
                              20080806
                                                                  20070131
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            BA, HR, MK, RS
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    WO 2008092665
                         A 1
                                                                  20080130
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            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
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             IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                                           EP 2007-384014
                                                             A 20070131
OS
    MARPAT 149:224092
AΒ
    Title compds. [I; A = (substituted) mono- or bicyclic heterocyclyl; R1 =
    H, alkyl, PhCH2; R2, R3 = H, alkyl; n = 0-4], were prepared Thus, tert-Bu
    2-(5-amino-1H-indol-3-yl)ethyl(methyl)carbamate in pyridine was treated
    dropwise with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in
    pyridine followed by stirring for 20 h to give Boc-protected sulfonamide.
    This was treated with CF3CO2H in CH2Cl2 to give
     5-chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
     [3-(2-methylaminoethyl)-1H-indol-5-yl]amide. The latter bound to
     serotonin 5-HT6 receptors with Ki = 2.0 nM.
    1042720-31-9P 1042720-32-0P 1042720-36-4P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (claimed compound; preparation of heterocyclyl-substituted indolyl
        sulfonamides for the treatment of cognitive or food ingestion related
       disorders)
```

RN 1042720-31-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(ethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 1042720-32-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(methylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 1042720-36-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(2-aminoethyl)-1H-indol-5-yl]-5-chloro-3-methyl- (CA INDEX NAME)

$$H_2N-CH_2-CH_2$$
 $NH-S$ 
 $O$ 
 $Me$ 

IT 1042720-38-6P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

RN 1042720-38-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 5 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
L6
     2008:934372 CAPLUS
ΑN
DN
     149:224089
     Preparation of heterocyclyl-substituted indolyl sulfonamides with
TI
     serotonin 5-HT6 receptor affinity for the treatment of cognitive or food
     ingestion related disorders
ΙN
     Diaz-Fernandez, Jose-Luis
     Laboratorios del Dr. Esteve S.A., Spain
PA
     Eur. Pat. Appl., 23pp.
SO
     CODEN: EPXXDW
DT
     Patent
     English
LA
FAN.CNT 2
     PATENT NO.
                         KIND
                                 DATE
                                            APPLICATION NO.
                                                                     DATE
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     EP 1953153
                                            EP 2007-384014
                                 20080806
                          A1
                                                                      20070131
PΙ
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, RS
     WO 2008092665
                           A 1
                                  20080807
                                             WO 2008-EP726
                                                                      20080130
             AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
             KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
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              TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                                              EP 2007-384014
                                                                 A 20070131
PATENT FAMILY INFORMATION:
FAN 2008:943469
                                              APPLICATION NO.
     PATENT NO.
                          KIND
                                 DATE
                                                                      DATE
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                                 _____
                                              ______
     WO 2008092665
                                 20080807
                                           WO 2008-EP726
                          A1
                                                                      20080130
PΤ
         W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
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             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
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             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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              TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
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             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                                                                A 20070131
                                              EP 2007-384014
     EP 1953153
                                 20080806
                                              EP 2007-384014
                                                                      20070131
                           Α1
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
              BA, HR, MK, RS
     Title compds. [I; A = (substituted) mono- or bicyclic heterocyclyl; R1 =
AΒ
     H, alkyl, PhCH2; R2, R3 = H, alkyl; n = 0-4], were prepared Thus, tert-Bu
     2-(5-amino-1H-indol-3-yl)ethyl(methyl)carbamate in pyridine was treated
```

dropwise with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine followed by stirring for 20 h to give Boc-protected sulfonamide.

This was treated with CF3CO2H in CH2C12 to give 5-chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

[3-(2-methylaminoethyl)-1H-indol-5-yl]amide. The latter bound to serotonin 5-HT6 receptors with Ki = 2.0 nM.

IT 1042720-31-9P 1042720-32-0P 1042720-36-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

RN 1042720-31-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(ethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 1042720-32-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(methylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 1042720-36-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(2-aminoethyl)-1H-indol-5-yl]-5-chloro-3-methyl- (CA INDEX NAME)

$$H_2N-CH_2-CH_2$$
 $NH-S$ 
 $O$ 
 $Me$ 

IT 1042720-38-6P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

RN 1042720-38-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

# RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:881207 CAPLUS

DN 149:168025

TI Use of 5-HT6 antagonists to prevent relapse into addiction

IN De Bruin, Natasja M. W. J.; Van Loevezijn, Arnold; Wijnen, Johan; Herremans, Arnoldus H. J.; Kruse, Cornelis G.

PA Solvay Pharmaceuticals B.V., Neth.

SO PCT Int. Appl., 28pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.					KIND DATE					APPL	ICAT	DATE					
ΡI	WO 2008087123			A2	_	2008	0724		——— WO 2	 008-:	EP50	360		2	0080	 115		
	W: AE, AG, AL,		AM,	ΑO,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,			
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			ΤG,	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m TM}$							
											EP 2	<b>117</b>		20070116				

EP 2007-100576 A 20070116 US 2007-880421P P 20070116

## PATENT FAMILY INFORMATION:

FAN 2008:858203

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 20080171779	A1	20080717	US 2008-13898	20080114
				US 2007-880421P P	20070116

OS MARPAT 149:168025

AB The invention discloses the use of compds., and pharmaceutically acceptable salts thereof, which are 5-HT6 antagonists. These compds. are useful for the preparation of medicaments for preventing relapse into addiction, in particular relapse into addiction to substances of abuse, including opiates, hallucinogens, inhalants, phencyclidine, amphetamines, cocaine, cannabis, nicotine, and alc., into relapse to addiction to certain medicines, including sedatives, hypnotics and anxiolytics, and into relapse to certain addictive behaviors, including gambling.

IT 209481-20-9, SB-271046 209481-20-9D, SB-271046,

stereoisomers, tautomers, N-oxides, isotopically labeled analogs, or salts RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 antagonists for prevention of relapse into addiction)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperaziny1)pheny1]-3-methyl- (CA INDEX NAME)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

IT 209480-56-8 209480-56-8D, stereoisomers, tautomers,
 N-oxides, isotopically labeled analogs, or salts
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (SB 258510; 5-HT6 antagonists for prevention of relapse into addiction)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

IT 389637-13-2 389637-13-2D, stereoisomers, tautomers,
 N-oxides, isotopically labeled analogs, or salts
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)

(SB 331711; 5-HT6 antagonists for prevention of relapse into addiction)

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

L6 ANSWER 7 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:858203 CAPLUS

DN 149:144007

TI Use of 5-HT6 antagonists to prevent relapse into addiction

IN De Bruin, Natasja M. W. J.; Van Loevezijn, Arnold; Wijnen, Johan; Herremans, Arnoldus H. J.; Kruse, Cornelis G.

PA Solvay Pharmaceuticals B.V., Neth.

SO U.S. Pat. Appl. Publ., 15pp. CODEN: USXXCO

DΤ Patent LA English FAN.CNT 2 PATENT NO. \_\_\_\_\_\_ US 20080171779

KIND DATE APPLICATION NO. DATE \_\_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ US 2008-13898 20080717 Α1 20080114 US 2007-880421P P 20070116

PATENT FAMILY INFORMATION:

FAN							KIND DATE			-	APPL	ICAT		DATE				
ΡI	WO	2008	0871	23		A2		2008	0724	,	WO 2	008-	EP50.	360		2	115	
		W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
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		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HR,	HU,
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			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,
			ΤG,	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			ΑM,	AΖ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
											EP 2	007-	1005	76		A 2	0070	116
											US 2	007-	8804.	21P		P 2	0070	116

MARPAT 149:144007 OS

AΒ The invention discloses the use of compds., and pharmaceutically acceptable salts thereof, which are 5-HT6 antagonists. In one embodiment, the invention relates to the use of these compds., or pharmaceutical compns. comprising these compds., for preventing relapse into addiction, e.g. relapse into addiction to substances of abuse, including opiates, hallucinogens, inhalants, phencyclidine, amphetamines, cocaine, cannabis, nicotine, and alc., relapse into addiction to certain medicines, including sedatives, hypnotics and anxiolytics, and relapse into certain addictive behaviors, including gambling.

ΙT 209480-56-8D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs 209481-24-3D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs 389637-13-2D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 antagonists to prevent relapse into addiction)

209480-56-8 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-CN piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

209481-24-3 CAPLUS RN

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

IT 209480-56-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SB 258510; 5-HT6 antagonists to prevent relapse into addiction)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

IT 209481-24-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SB 271046; 5-HT6 antagonists to prevent relapse into addiction)

RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

quinolinyl] - (CA INDEX NAME)

L6 ANSWER 8 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:833345 CAPLUS

DN 149:152939

TI Preparation of sulfonamide derivatives as chymase inhibitors

IN Banner, David; Mauser, Harald; Minder, Rudolf E.; Wessel, Hans P.

PA Switz.

SO U.S. Pat. Appl. Publ., 25pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIN	D :	DATE			APPL	ICAT	ION	. O <i>V</i>		D.	ATE		
PI	US	2008	0167	348		A1	_	2008	0710			 008- 007-					 0080 0070		
	WO 2008084004				A1		2008	0080717			WO 2008-EP50027					20080103			
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			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	
			PL,	PT,	RO,	RS.	RU,	SC.	SD,	SE,	SG.	SK,	SL,	SM.	SV.	SY,	TJ.	TM.	

TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
EP 2007-100337 A 20070110

OS MARPAT 149:152939

AB Title compds. I [A = Ph, 5 or 6-membered monocyclic heteroaryl (containing one or two ring heteroatoms of N, O or S, with the remaining ring atoms being carbon), 5 or 6-membered non-aromatic monocyclic heterocyclyl (containing one or

two ring heteroatoms of N or S(0)n (wherein n = 0-2), with the remaining ring atoms being carbon, wherein one of the ring carbon atoms of the heterocyclyl ring is optionally replaced with a carbonyl group); R1, R11 = H, halo, nitro, etc.; R2, R21, R22 = H, halo, cyano, etc.; X = phenylene (optionally substituted by halo, cyano, nitro, etc.); Y = (un) substituted 6-membered monocyclic heteroaryl (containing one or two ring heteroatoms of N(0)n (wherein n = 0 or 1), 0 or S, with the remaining ring atoms being carbon atoms) or (un)substituted 6-membered monocyclic non-aromatic heterocyclyl (containing one or two ring heteroatoms of N, O or S(O)n (wherein n = 0-2), with the remaining ring atoms being carbon atoms)] or their pharmaceutically acceptable salts were prepared For example, reaction of 4-(4-amino-3-methanesulfonylphenyl)-piperidine-1-carboxylic acid tert-Bu ester, e.g., prepared from 1-bromo-4-chlorobenzene in 8 steps, with 5-fluoro-3-methylbenzo[b]thiopehene-2-sulfonyl chloride followed by treatment with  $\bar{\text{HCl}}$  afforded compound II-HCl. In chymase inhibition assays, the IC50 value of II was 3 nM. Of note, compds. I are useful for the treatment of allergy, asthma, etc. Pharmaceutical compns. comprising I are disclosed.

IT 1037299-36-7P 1037299-37-8P 1037299-52-7P 1037299-55-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of sulfonamide derivs. as chymase inhibitors)

RN 1037299-36-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 1037299-37-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1037299-52-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethoxy)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 1037299-55-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-piperidinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

## ● HCl

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(2,6-difluoro-4-pyridinyl)-2-(trifluoromethyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 1037299-39-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(3-fluoro-4-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 1037299-40-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(2-fluoro-4-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 1037299-41-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(3-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1037299-42-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(6-methoxy-3-pyridinyl)-2- (trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 1037299-43-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-pyrimidinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1037299-44-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(2-methoxy-5-pyrimidinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 1037299-45-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-fluoro-3-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 1037299-46-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(6-fluoro-3-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 1037299-47-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(1-oxido-4-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 1037299-48-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-[(methylthio)methyl]-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)

RN 1037299-49-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2- [(methylsulfinyl)methyl]-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 1037299-50-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2- [(methylsulfonyl)methyl]-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)

RN 1037299-51-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-ethyl-4-(4-pyridinyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 1037299-53-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-pyridinyl)-2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 1037299-54-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-pyridinyl)phenyl]- (CA INDEX NAME)

RN 1037299-56-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-piperidinyl)phenyl]- (CA INDEX NAME)

RN 1037299-58-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)

RN 1037299-66-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-(2-methylpropyl)-N-[4-(4-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

IT 1037299-67-4P 1037299-68-5P 1037299-69-6P

1037299-70-9P 1037299-81-2P 1037299-93-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfonamide derivs. as chymase inhibitors)

RN 1037299-67-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-[(methylthio)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 1037299-68-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-[(methylsulfinyl)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 1037299-69-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-[(methylsulfonyl)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 1037299-70-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-bromo-2-ethylphenyl)-5-fluoro-3-methyl- (CA INDEX NAME)

RN 1037299-81-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1037299-93-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethyl)phenyl]-5-fluoro-3-(2-methylpropyl)- (CA INDEX NAME)

L6 ANSWER 9 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:805771 CAPLUS

DN 149:128805

TI Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase modulators

IN Spevak, Wayne; Cho, Hanna; Ibrahim, Prabha N.; Shi, Shenghua; Mamo, Shumeye; Gillette, Sam; Zhu, Hongyao

PA Plexxikon, Inc., USA

SO PCT Int. Appl., 72pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

r An.	PATENT	KIN:	D	DATE			APPL	ICAT		DATE								
ΡI	WO 2008	70 2008079906			A1		2008	0703	1	WO 2	007-	US88:	237			0071		
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	
		GH,	GM,	KE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM										
									1	US 2	006-	8769.	53P	]	P 2	0061	221	
	US 20080167338				A1 20080710				1	US 2	007-	9605	90		20071219			
									1	US 2	006-	8769.	53P		20061221			

#### PATENT FAMILY INFORMATION:

FAN 2008:804067

	PATENT NO.					KIND DATE					APPL		DATE					
ΡI	WO 2008079903				A1	_	2008	0703		 WO 2	 007-	 US88.	231		2	0071	219	
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			IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,
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											US 2	006-	8769.	53P	-	P 2	0061	221
	US	2008	0167	338		A1		2008	0710		US 2	007-	9605	90		2	0071	219
										US 2	006-		P 20061221					

OS MARPAT 149:128805

AB Title compds. represented by the formula I [wherein R1 = H, halo, alkyl, etc.; R2 = halo, (cyclo)alkyl, aryl, etc.; R3 = H, F or C1; with the proviso; and salts, prodrugs, tautomers and isomers thereof] were prepared as kinase modulators. For example, II was provided in a multi-step synthesis starting from coupling reaction of 5-bromo-7-azaindole with pyridine-3-boronic acid. I showed activity in kinase activity assays of B-Raf, B-Raf V600E, B-Raf V600E/T5291 or c-Raf-1. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases and conditions associated with aberrant activity of protein kinases.

IT 1036015-34-5P, 5-Methylbenzo[b]thiophene-2-sulfonamide N-[3-((5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl)-2,4-difluorophenyl]

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolo[2,3-b]pyridine derivs. as protein kinase modulators useful in treatment of diseases associated with aberrant activity of protein kinases)

RN 1036015-34-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]-5-methyl- (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN AN 2008:804067 CAPLUS

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149:128801
DN
ΤТ
     Preparation of [(pyrrolopyridinecarbonyl)phenyl]sulfonamide derivatives
     for use as kinase modulators
     Spevak, Wayne; Cho, Hanna; Ibrahim, Prabha N.; Shi, Shenghua; Mamo,
IN
     Shumeye; Gillette, Sam; Zhu, Hongyao
PA
     Plexxikon, Inc., USA
SO
     PCT Int. Appl., 115pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 2
                          KIND
                                  DATE
                                             APPLICATION NO.
     PATENT NO.
                                             _____
                          A1 20080703 WO 2007-US88231
PΤ
     WO 2008079903
                                                                      20071219
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             GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KC, KZ, MD, BU, TI, TM
             BY, KG, KZ, MD, RU, TJ, TM
                                               US 2006-876953P
                                                                  P 20061221
                                               US 2007-960590
     US 20080167338
                           Α1
                                  20080710
                                                                        20071219
                                               US 2006-876953P
                                                                       20061221
PATENT FAMILY INFORMATION:
    2008:805771
FAN
                                            APPLICATION NO.
                                                                      DATE
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     WO 2008079906
                          A1 20080703
                                            WO 2007-US88237
                                                                      20071219
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             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
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             TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
                                               US 2006-876953P
                                                                      20061221
                                               US 2007-960590
     US 20080167338
                                  20080710
                           Α1
                                                                       20071219
                                              US 2006-876953P
                                                                    P 20061221
     MARPAT 149:128801
OS
     Title compds. I [R1 = H, halo, (un) substituted alkyl, alkenyl, etc.; R2 =
AB
     (un) substituted aryl or heteroaryl; R3 = H, F, or C1], and their
     pharmaceutically acceptable salts, are prepared and disclosed as kinase
     modulators. Thus, e.g., II was prepared by substitution of
     5-chloro-1H-pyrrolo[2,3-b]pyridine (preparation given) with
     (2,4-difluoro-3-formylphenyl)carbamic acid benzyl ester (preparation given),
     followed by oxidation, deprotection, and sulfonylation with
     3-(chlorosulfonyl)benzoic acid. Select I were evaluated in various
     assays, e.g., II demonstrated an IC50 of \leq\!10~\mu\text{M} in the kinase
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Kdr assay.

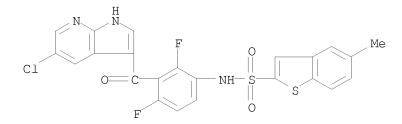
IT 1036015-34-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(pyrrolopyridinecarbonyl)phenyl]sulfonamide derivs. for use as kinase modulators)

RN 1036015-34-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]-5-methyl- (CA INDEX NAME)



# RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:774260 CAPLUS

DN 149:128656

TI Preparation of (hetero)aromatic amides and hydroxamates as inhibitors of histone deacetylase

IN Deziel, Robert; Ajamian, Alain

PA Methylgene Inc., Can.

SO PCT Int. Appl., 170pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

FAN.	PATEN		KIND DATE						ICAT		DATE						
ΡI	WO 20	WO 2008074132			A1		2008	0626							2	0071	219
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			GD,														
			KN,														
			MK,	•		•	•										
		•	RO,	•	•	•	•	•	•	•	•	•	•	SY,	TJ,	TM,	TN,
			TT,		•				•	•	•	•		~=	~-		
	R	V: AT,	•	•	•	•	•	•	•	•	•	•	•	•	•	•	•
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$ ext{ML}$ ,	${ m MR}$ ,	ΝE,	SN,	TD,	ΤG,	BW,
		GH,	GM,	KΕ,	LS,	MW,	${ m MZ}$ ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	$^{\mathrm{TM}}$									
										US 2	006-	8707	68P		P 2	0061	219
	US 20	S 20080146623			A1		2008	0619		US 2	007-	9592	04		2	0071	218
		EP 1973872								US 2	006-	8707	68P		P 2	0061	219
	EP 19				A1		2008	1001		EP 2	007-	8555	42		2	0071	219
	R	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		•	IT,	•	•	•	•	•	•	•	•	•	•	•	•	•	•
			BA,		•		,	-,	,	,	,	,	•	,	,	,	,

US 2006-870768P P 20061219 WO 2007-CA2260 W 20071219 PATENT FAMILY INFORMATION: 2007:706070 KIND DATE APPLICATION NO. DATE PATENT NO. \_\_\_\_ \_\_\_\_\_\_ \_\_\_\_\_ WO 2007072179 A2 20070628 WO 2006-IB3697 PΙ 20061219 WO 2007072179 A3 20071011 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA US 2005-751703P P 20051219 P 20061219 US 2006-870768P AU 2006-327892 AU 2006327892 Α1 20070628 20061219 P 20051219 P 20061219 W 20061219 20061219 US 2005-751703P US 2006-870768P WO 2006-IB3697 CA 2633010 20070628 CA 2006-2633010 Α1 US 2006-2633010 20061219 US 2005-751703P P 20051219 US 2006-870768P P 20061219 WO 2006-IB3697 W 20061219 US 20070197550 A1 20070823 US 2006-641615 20061219 US 2005-751703P P 20051219 US 2006-870768P P 20061219 EB 2006-842254 20061219 EP 1963258 20080903 EP 2006-842254 Α2 20061219 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR P 20051219 US 2005-751703P US 2006-870768P P 20061219 WO 2006-IB3697 W 20061219 KR 2008086514 Α 20080925 KR 2008-717861 20080721 US 2005-751703P P 20051219 US 2006-870768P P 20061219 WO 2006-IB3697 W 20061219 OS MARPAT 149:128656 CyL2ArY2CONRxZ [Cy = H, (substituted) cycloalkyl, aryl, heteroaryl, AB heterocyclyl; L2 = (substituted) (heteroatom-interrupted) alkylene, alkenylene; Ar = (substituted) (fused) arylene; Y2 = bond, (substituted) alkylene; Rx = H, OH; Z = COR10, CO2R10, SO2R10, sugar residue, amino acid residue, etc.; R10 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxycarbonyl, cycloalkyl aryl, heteroaryl, etc.; with provisos], were prepared Thus, 4-PhC6H4SO2NH-4-C6H4CH:CHCONHOH (preparation outlined) inhibited histone deacetylase in T24 human bladder cancer cells with EC50 = 1  $\mu$ M. 342372-00-3P 342372-07-0P 342372-08-1P ΙT 342372-41-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (hetero) aromatic amides and hydroxamates as inhibitors of histone deacetylase)

RN 342372-00-3 CAPLUS

CN Benzeneacetamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)

RN 342372-07-0 CAPLUS

CN Benzamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)

RN 342372-08-1 CAPLUS

CN Benzeneacetamide, 3-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)

RN 342372-41-2 CAPLUS

CN 2-Propenamide, 3-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-N-hydroxy-(CA INDEX NAME)

IT 1035211-63-2P 1035211-64-3P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hetero)aromatic amides and hydroxamates as inhibitors of

histone deacetylase)

1035211-63-2 CAPLUS

RN

CN INDEX NAME NOT YET ASSIGNED

RN 1035211-64-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

IT 342373-19-7P 342373-20-0P 342373-22-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hetero) aromatic amides and hydroxamates as inhibitors of histone deacetylase)

RN 342373-19-7 CAPLUS

CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-, methyl ester (CA INDEX NAME)

RN 342373-20-0 CAPLUS

CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)

RN 342373-22-2 CAPLUS

CN Benzoic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)

# RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:727681 CAPLUS

DN 149:259235

TI Actions of novel agonists, antagonists and antipsychotic agents at recombinant rat 5-HT6 receptors: A comparative study of coupling to  $G\alpha s$ 

AU Dupuis, Delphine S.; La Cour, Clotilde Mannoury; Chaput, Christine; Verriele, Laurence; Lavielle, Gilbert; Millan, Mark J.

CS Department of Psychopharmacology, Institut De Recherches Servier, Croissy sur Seine, 78290, Fr.

SO European Journal of Pharmacology (2008), 588(2-3), 170-177 CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

Though 5-HT6 receptors are targets for the treatment of schizophrenia and AB other psychiatric disorders, the influence of drugs upon signal transduction has not been extensively characterized. Herein, we employed a Scintillation Proximity Assay (SPA)/antibody-immunocapture procedure of coupling to  $G\alpha s$  to evaluate the interaction of a broad range of novel agonists, antagonists and antipsychotics at rat 5-HT6 receptors stably expressed in HEK293 cells. Serotonin (pEC50, 7.7) increased [35S]GTP $\gamma$ S binding to Gas by ca 2-fold without affecting binding to Gi/o or Gq. LSD (9.2), 5-MeODMT (7.9), 5-CT (7.0) and tryptamine (6.1) were likewise full agonists. In contrast, the novel sulfonyl derivs., WAY181,187 (9.1) and WAY208,466 (7.8), behaved as partial agonists and attenuated the actions of 5-HT. SB271,046 and SB258,585 abolished activation of  $G\alpha s$  by 5-HT with pK b values of 10.2 and 9.9, resp., actions mimicked by the novel antagonist, SB399,885 (10.9). SB271,046 likewise blocked partial agonist properties of WAY181,187 and WAY208,466 with pK b values of 9.8 and 9.0, resp. 5-HT-stimulated [35S]GTP $\gamma$ S binding to G $\alpha$ s was antagonized by various antipsychotics including olanzapine (7.8), asenapine (9.1) and SB737,050 (7.8), whereas aripiprazole and bifeprunox were inactive. Further, antagonist properties of clozapine (8.0) were mimicked by its major metabolite, N-desmethylclozapine (7.9). In conclusion, the novel ligands, WAY208,466 and WAY181,187, behaved as partial agonists at  $5-\mathrm{HT6}$ receptors coupled to  $G\alpha s$ , while SB399,885 was a potent antagonist. Though 5-HT6 receptor blockade is not indispensable for therapeutic efficacy, it may well play a role in the functional actions of certain antipsychotic agents.

IT 209481-20-9, SB271046

RL: PAC (Pharmacological activity); BIOL (Biological study) (actions of novel agonists, antagonists and antipsychotic agents at recombinant rat 5-HT6 receptors and a comparative study of coupling to  $G\alpha s$ )

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-

RE.CNT 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:642758 CAPLUS

DN 149:45585

TI The selective 5-HT6 receptor antagonists SB-271046 and SB-399885 potentiate NCAM PSA immunolabeling of dentate granule cells, but not neurogenesis, in the hippocampal formation of mature Wistar rats

AU Foley, Andrew G.; Hirst, Warren D.; Gallagher, Helen C.; Barry, Claire; Hagan, Jim J.; Upton, Neil; Walsh, Frank S.; Hunter, A. Jackie; Regan, Ciaran M.

CS School of Biomolecular and Biomedical Science, UCD Conway Institute, University College Dublin, Dublin, Ire.

SO Neuropharmacology (2008), 54(8), 1166-1174 CODEN: NEPHBW; ISSN: 0028-3908

PB Elsevier B.V.

DT Journal

LA English

AΒ While there is now substantial evidence that 5-HT6 antagonism leads to significantly improved cognitive ability, the mechanism(s) and/or pathway(s) involved are poorly understood. The authors have evaluated the consequence of chronic administration of the 5-HT6 receptor antagonists SB-271046 and SB-399885 on neural cell adhesion mol. polysialylation state (NCAM PSA), a neuroplastic mechanism necessary for memory consolidation. Quant. anal. of NCAM PSA immunopos. neurons in the dentate gyrus of drug-treated animals revealed a dose-dependent increase in polysialylated cell frequency following treatment with both SB-271046 and SB-399885. These effects could not be attributed to increased neurogenesis, as no difference in the rate of bromodeoxyuridine incorporation was apparent between the control and drug-treated groups. A substantial increase in the frequency of polysialylated cells in layer II of the entorhinal and perirhinal cortices was also observed, brain regions not previously associated with neurogenesis. Chronic treatment with SB-271046 or SB-399885 also significantly increased the activation of dentate polysialylation that is specific to learning. This effect does not occur with other cognition-enhancing drugs, such as tacrine, and this action potentially differentiates 5-HT6 receptor antagonism as an unique neuroplastic mechanism for cognitive processes which may slow or reverse age/neurodegenerative related memory deficits.

IT 209481-20-9, SB-271046

RL: BSU (Biological study, unclassified); BIOL (Biological study) (selective 5-HT6 receptor antagonists SB-271046 and SB-399885 potentiate NCAM PSA immunolabeling of dentate granule cells, but not neurogenesis, in hippocampal formation of mature rats in relation to learning and memory)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperaziny1)pheny1]-3-methyl- (CA INDEX NAME)

RE.CNT 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:283474 CAPLUS

DN 148:331693

TI Morpholine derivatives as D3 dopamine antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Wager, Travis T.; Chandrasekaran, Ramalakshmi Yegna; Butler, Todd William

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 72pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
ΡI	WO	2008	 0260	 46		A1	_	2008	0306		WO 2	007-	 IB24'	 92		2	0070	820
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
		· · ·			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
		GB, GD, G KM, KN, K			KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	${ m ME}$ ,
			MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,
			PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,
			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,	ΝE,	SN,	TD,	ΤG,	BW,
			GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY, KG, KZ,				MD,	RU,	ТJ,	$_{ m TM}$									
											US 2	006-	8239	94P		P 2	0060	830

OS MARPAT 148:331693

AB The invention relates to compds. of the formula I, to intermediates for their preparation, to pharmaceutical compns. containing them and to their medicinal

use as modulators of the dopamine D3 receptor, particularly as psychotherapeutic agents. Compds. of formula I wherein R1 is H, C1-8 (halo)alkyl; R2 is H, C1-6 (fluoro)alkyl, C2-6 (fluoro)alkenyl, C3-6 (fluoro)cycloalkyl, C1-6 (fluoro)alkoxy, etc.; R3 is H, halo, CN, NO2, OH, Me, OMe, CF3, CHF2, CH2F, OCH2F, etc.; R4 is H, C1-8 alkyl, and (un)substituted 5- to 6-membered aryl; R1R4 taken together to form 5- to 7-membered carbocyclic ring; R5 is H and C1-8 alkyl; R6 is H, halo, C1-8 alkyl, OMe, OCF3, CF3, CN; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyclization of 2-bromo-4'-nitroacetophenone with 3-piperidinemethanol; the resulting 3-(4-nitrophenyl)octahydropyrido[2,1-c][1,4]oxazin-3-ol underwent

reductive ring opening to give 1-(4-aminopheny1)-2-(2-(hydroxymethy1)piperidin-1-y1) ethanol, which underwent cyclization to give 3-(4-aminopheny1) octahydropyrido [2,1-c] [1,4] oxazine, which underwent sulfonylation with 4-isopropylbenzenesulfonyl chloride to give compound II. All the invention compds. were evaluated for their D3 dopamine antagonistic activity (some data given).

IT 1010382-85-0P 1010384-02-7P 1010384-08-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of morpholine derivs. as D3 dopamine antagonists useful in treatment and prevention of diseases)

RN 1010382-85-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4-ethyl-2-morpholinyl)phenyl]- (CA INDEX NAME)

RN 1010384-02-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(4-ethyl-2-morpholinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 1010384-08-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(4-ethyl-2-morpholinyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:149789 CAPLUS

DN 148:369779

TI Pro-cognitive effects of 5-HT6 receptor antagonists in the social recognition procedure in rats: implication of the frontal cortex

AU Loiseau, Florence; Dekeyne, Anne; Millan, Mark J.

CS Department of Psychopharmacology, Institut de Recherches Servier, Paris, 78290, Fr.

SO Psychopharmacology (Berlin, Germany) (2008), 196(1), 93-104 CODEN: PSCHDL; ISSN: 0033-3158

PB Springer GmbH

DT Journal

LA English

AΒ Rationale 5-HT6 receptor antagonists improve cognitive processes in rodents. However, their site(s) of action remains unexplored and their influence upon social memory has been little investigated. Objectives We examined the influence of 5-HT6 receptor ligands upon social memory in rats by use of systemic or local administration into the frontal cortex (FCX), striatum, or nucleus basalis magnocellularis (NBM). Materials and methods The social recognition test is based upon the ability of an adult rat to recognize a younger conspecific during the second of two 5-min sessions. In a procedure without an inter-session interval, the actions of drugs alone and the ability to reverse "amnesia" induced by the muscarinic antagonist, scopolamine (1.25 mg/kg, s.c.), were examined The potential proamnesic effect of drugs was also investigated in another procedure where a spontaneous deficit of recognition was induced by a 120-min inter-session interval. Results The 5-HT6 receptor agonist, WAY-181187 (10.0 mg/kg, i.p.), significantly impaired social recognition. This effect was abolished by the 5-HT6 receptor antagonists, SB-271046 (20.0 mg/kg, i.p.) and SB-258585 (10.0 mg/kg, i.p.). These agents also abolished scopolamine-induced amnesia (10.0 and 2.5 mg/kg, i.p., resp.) and reversed the delay-induced deficit (10.0-20.0 and 2.5-10.0 mg/kg,i.p., resp.). WAY-181187 into the FCX significantly impaired social recognition (0.16-0.63  $\mu$ g/side). Conversely, SB-271046 into the FCX  $(2.5-5.0 \mu g/side)$ , but neither into the striatum nor the NBM, significantly reversed spontaneous deficit. Conclusion These results indicate that 5-HT6 receptors modulate social recognition by actions in the FCX and underpin their pertinence as targets for the treatment of psychiatric disorders in which cognitive function is compromised. ΙT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Pro-cognitive effects of 5-HT6 receptor antagonists in the social recognition procedure in rats and implication of the frontal cortex) 209481-20-9 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:125368 CAPLUS

DN 148:191738

RN

CN

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{\tt TI} Preparation of substituted indanyl sulfonamides for treating diseases mediated by 5-HT6 receptors
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- IN Alcalde-Pais, Maria De Las Ermitas; Mesquida-Estevez, Maria De Les Neus; Lopez-Perez, Sara; Frigola-Constansa, Jordi; Holenz, Joerg; Merce-Vidal, Ramon
- PA Laboratorios Del Dr. Esteve, S.A., Spain
- SO U.S. Pat. Appl. Publ., 18pp. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 1

FAN.		_	ENT NO.			KIN:	D	DATE			APPI	JICAT	ION 1	NO.		D.	ATE	
PI	US	2008	0027	073		A1		2008	0131			2006-		_		_	0060	
	EP	1884	515			A1		2008	0206		EP 2	2006-	3802	20		2	0060	731
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
			BA,	HR,	MK,	YU												
	WO	2008	0151	37		A2		2008	0207		WO 2	2007-	EP57	658		2	0070	725
	WO	2008	0151	37		АЗ		2008	0320									
		W:	ΑE,	ΑG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BH,	BR,	BW,	BY,	BZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,
			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
			KM,	KN,	ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	${ m ME}$ ,
			MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	PL,
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			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
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			IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,
			GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑP,	EA,	EP,	OA					

- OS CASREACT 148:191738; MARPAT 148:191738
- AB The present invention refers to new indanyl sulfonamide compds. I [R1-R4 = H, (un)substituted (un)saturated aliphatic radical; R5-R8 = H, NO2, NH2, etc.;

EP 2006-380220

A 20060731

- = ring C atom substituted with N-methylpiperazin-1-yl or ring C atom substituted with :NNHC(:NH)NH2, etc.], as well as to their preparation procedure, their application as medicine and pharmaceutical compns. comprising them. The new compds. I show affinity for 5-HT6 receptors and are, therefore, effective for treating diseases mediated by these receptors. Thirteen compds. I were prepared For example, reacting N-(3-oxo-2,3-dihydro-1H-inden-5-yl)-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide with 1-methylpiperazine afforded 65% II. Exemplified compds. I were tested in 5-HT6 binding assay (data given for representative compds. I).
- IT 1004538-49-1P 1004538-55-9P
  - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
    - (preparation of substituted indanyl sulfonamides for treating and preventing diseases mediated by  $5-{\rm HT}6$  receptors)
- RN 1004538-49-1 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-3-(4-methyl-1-piperazinyl)-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)

RN 1004538-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-5-methoxy-1-(1-piperazinyl)-1H-inden-4-yl]-3-methyl- (CA INDEX NAME)

IT 1004538-62-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted indanyl sulfonamides for treating and preventing diseases mediated by 5-HT6 receptors)

RN 1004538-62-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-3-oxo-1H-inden-5-y1)-3-methyl- (CA INDEX NAME)

L6 ANSWER 17 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1469363 CAPLUS

DN 148:93272

 ${\tt TI}$  Combination of a cholinesterase inhibitor and a compound with 5-HT6 receptor affinity, and therapeutic use

IN Codony-Soler, Xavier; Buschmann, Helmut Henrich

PA Laboratorios Del Dr. Esteve, S.A., Spain

SO PCT Int. Appl., 254pp. CODEN: PIXXD2

DT Patent

#### LA English FAN.CNT 1

r AN.		PATENT NO.					D	DATE		i	APPL	ICAT	ION I	мо.		D.	ATE	
ΡI	WO	2007	1478	83		A1		2007	1227	1	WO 2	007-	EP56	234		2	0070	622
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,
			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
			ΚM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
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			IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}$ ,	MR,	ΝE,	SN,	TD,	ΤG,	BW,
			GH,	GM,	KΕ,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,
			BY,	KG,	KZ,	MD,	RU,	ТJ,	$_{ m TM}$									
											EP 2	006-	3840	12		A 2	0060	623

OS MARPAT 148:93272

AB The invention discloses a combination comprising at least one compound with 5-HT6 receptor affinity, and at least one cholinesterase inhibitor, as well as a medicament comprising the combination, and the use of the combination for the manufacture of a medicament.

IT 209480-56-8 209480-56-8D, enantiomers and salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SB 258510; cholinesterase inhibitor combination with compound with 5-HT6 receptor affinity)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

IT 209481-20-9, SB-271046 209481-20-9D, SB-271046, enantiomers and salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
 (cholinesterase inhibitor combination with compound with 5-HT6 receptor affinity)
209481-20-9 CAPLUS
Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-

RN 209481-20-9 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1395370 CAPLUS

DN 148:54882

RN

CN

 ${\sf TI}$  Preparation of heteroaryl amides that interact with ion channels, in particular with ion channels from the  ${\sf Kv}$  family

IN Blom, Petra; Defert, Olivier; Kaletta, Titus; Leysen, Dirk Casimir Maria

PA Devgen N.V., Belg.

SO PCT Int. Appl., 62pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

17111.		TENT 1	NO.			KIN	D	DATE		-	APPL	ICAT	ION I	NO.		D	ATE		
ΡI		2007				A2 A3		2007 2008		,	WO 2	007-	EP55	408		2	0070	601	
	WO	O 2007138112 W: AE, AG, A CH, CN, C								BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FΙ,	
		W: AE, AG, CH, CN, GB, GD,			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	
			KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	
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			TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
			IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 2006-447075 A 20060601

US 2006-809841P

Ρ

20060601

OS MARPAT 148:54882

AB The present invention relates to compds. that interact with ion channels. In particular, the invention relates to compds. I or II [n, m = 0-4; Z1 = C(0), C(S), SO2; L1 = (un)substituted alkylene, cycloalkylene, cycloalkylenoxyalkylene; X1 = 0 or S; X2 = CR4 or N; X3 = CR1 or N; X4 = CR1 or N; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, halo, NH2, etc.; with the provisos]. Sixty-two specific title compds. such as III were prepared and/or claimed. The exemplified title compds. were tested in patch clamp assays (for example, III showed above 50% inhibition on Kv4.3-mediated potassium channel). The invention also relates to methods for preparing said compds. I (general protocols and schemes were given), to pharmaceutical compns. comprising said compds., and to the use of said compds. in methods for treatment of the human and animal body.

IT 959743-62-5P 959743-67-0P 959743-68-1P
959743-69-2P 959743-73-8P 959743-91-0P
959743-94-3P 959743-95-4P 959743-98-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl amides useful in treatment and prevention of diseases associated with ion channels)

RN 959743-62-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(2,4-dimethoxyphenyl)methyl]-3-methyl- (CA INDEX NAME)

RN 959743-67-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-N-ethyl-5-(2-thienyl)- (CA INDEX NAME)

RN 959743-68-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-chloro-5-methoxy-N-methyl-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)

RN 959743-69-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(1S,2S)-2-[1-(2,4-dimethoxyphenyl)ethoxy]cyclopentyl]-5-methoxy-3-methyl-N-(phenylmethyl)-(CA INDEX NAME)

Absolute stereochemistry.

RN 959743-73-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dichloro-N-(phenylmethyl)-N-propyl-(CA INDEX NAME)

RN 959743-91-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-hydroxyethyl)-5-methoxy-N-(phenylmethyl)-3-(2-thienyl)- (CA INDEX NAME)

RN 959743-94-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N, 3-dimethyl-N-(phenylmethyl)-(CA INDEX NAME)

RN 959743-95-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(4-fluorophenyl)methyl]-3-methyl- (CA INDEX NAME)

RN 959743-98-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(2,4-dimethoxyphenyl)methyl]-5-methyl-(CA INDEX NAME)

L6 ANSWER 19 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1356517 CAPLUS

DN 148:92237

TI New Serotonin 5-HT6 Ligands from Common Feature Pharmacophore Hypotheses

AU Kim, Hye-Jung; Doddareddy, Munikumar Reddy; Choo, Hyunah; Cho, Yong Seo; No, Kyoung Tai; Park, Woo-Kyu; Pae, Ae Nim

CS Life Science Division, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea

SO Journal of Chemical Information and Modeling (2008), 48(1), 197-206 CODEN: JCISD8; ISSN: 1549-9596

PB American Chemical Society

DT Journal

LA English

AB Serotonin 5-HT6 receptor antagonists are thought to play an important role in the treatment of psychiatry, Alzheimer's disease, and probably obesity. To find novel and potent 5-HT6 antagonists and to provide a new idea for drug design, we used a ligand-based pharmacophore to perform the virtual

screening of a com. available database. A three-dimensional common feature pharmacophore model was developed by using the HipHop program provided in Catalyst software and was used as a query for screening the database. A recursive partitioning (RP) model which can sep. active and inactive compds. was used as a filtering system. Finally a sequential virtual screening procedure (SQSP) was conducted, wherein both the common feature pharmacophore and the RP model were used in succession to improve the results. Some of the hits were selected based on druglikeness, ADME properties, structural diversity, and synthetic accessibility for real biol. evaluation. The best hit compound showed a significant IC50 value of 9.6 nM and can be used as a lead for further drug development.

209480-56-8

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug design, structure-activity profile and a sequential virtual screening procedure for new serotonin 5-HT6 ligands from common feature pharmacophore hypotheses)

209480-56-8 CAPLUS RN

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2007:1204051 CAPLUS

DN147:486320

Preparation of (hetero)arylsulfonamides as modulators of serotonin 5HT6 receptors and dopamine D3 receptors for the treatment of CNS disorders

Grandel, Roland; Braje, Wilfried Martin; Haupt, Andreas; Turner, Sean TNColm; Lange, Udo; Drescher, Karla; Unger, Liliane; Plata, Dan

PΑ Abbott Gmbh & Co. KG, Germany

SO PCT Int. Appl., 208pp.

CODEN: PIXXD2

Patent DΤ

English LA

FAN.	CNT	1																	
	PAT	CENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE		
							_									_			
PΙ	WO	2007	1188	99		A1		2007	1025	1	WO 2	007-	EP53	807		2	0070	418	
		O 2007118899 W: AE, AG, CH, CN,				ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	
			GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	
			KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,	
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW							
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
			IS,	IT,	LT.	LU.	LV.	MC.	MT.	NL.	PL,	PT,	RO,	SE,	SI,	SK.	TR.	BF,	

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006-793139P P 20060419

OS MARPAT 147:486320

AB Title compds. I [wherein n = 0-2; G = CH2 or CHR3; R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2 - R4 = H, Me, CF3, CHCF2 or CH2F; A = (un)substituted 1,4- or 1,3-phenylene; E = NH, N(alkyl) or CH2; Ar = (un)substituted Ph, pyridinyl, thienyl or benzothiophenyl] and physiol. tolerated acid addition salts thereof were prepared I generally exhibit very good affinities for the 5HT6 receptor. Some of them, in particular those having 1,4-phenylene as group A, also exhibit very good affinities for the D3 receptor, and bind selectively to the dopamine D3 receptor over the dopamine D2 receptor. For instance, II·HCl was synthesized by sulfonylation of the corresponding aniline with 2-methylthiophene-2-sulfonyl chloride, and had binding constant Ki values of 1-10 nM for 5HT6 and D3 receptors and binding selectivity of Ki(D2)/Ki(D3) larger than 150. The invented compds. and their pharmaceutical compns. are useful for the treatment of diseases such as CNS disorders.

IT 954376-52-4P 954376-70-6P 954376-77-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of benzenesulfonamides and
(benzo)thiophenesulfonamides as modulators of serotonin 5HT6 receptors
and dopamine D3 receptors for treatment of CNS disorders)

RN 954376-52-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(3-azetidiny1)pheny1]-5-chloro-3-methyl- (CA INDEX NAME)

RN 954376-70-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(3-azetidinyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 954376-77-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(3-azetidinyl)phenyl]-5-chloro-N,3-dimethyl- (CA INDEX NAME)

IT 954376-78-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzenesulfonamides and (benzo)thiophenesulfonamides as
modulators of serotonin 5HT6 receptors and dopamine D3 receptors for
treatment of CNS disorders)

RN 954376-78-4 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

IT 954376-53-5P 954376-79-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzenesulfonamides and (benzo)thiophenesulfonamides as modulators of serotonin  $5\,\mathrm{HT}6$  receptors and dopamine D3 receptors for treatment of CNS disorders)

RN 954376-53-5 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 954376-79-5 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1077171 CAPLUS

DN 147:406699

TI Preparation of substituted tetrahydroisoquinolines as 5-HT6 receptor modulators

IN Torrens Jover, Antoni; Mas Prio, Josep; Port Casamitjana, Adriana; Buschmann, Helmut H.

PA Laboratorios del Dr. Esteve, S.A., Spain

SO Eur. Pat. Appl., 102pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

11111	PATENT NO.					KIN	D	DATE			APPL		ION I			D.	ATE	
ΡI	EP	1837	 332			A1	_	2007	0926	:						2	0060:	323
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
			BA,	HR,	MK,	YU												
	WO	2007	1073	73		A1		2007	0927	1	WO 2	007-	EP25	69		2	0070	323
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	ΒZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,
			GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,
			KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,
																	PT,	
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
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		RW:	•	•	•		•										HU,	
			IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}$ ,	MR,	NE,	SN,	TD,	TG,	BW,
			GH,	GM,	KE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,
			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM									

EP 2006-380059 A 20060323

OS CASREACT 147:406699; MARPAT 147:406699

AB Title compds. I [R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2-5 independently = H, halo, NO2, NH2, etc.], and their pharmaceutically acceptable salts, were prepared and disclosed for the preparation of medicaments,

which are particularly suitable for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT6 receptors. Thus, e.g, II was prepared by sulfonylation of tert-Bu 6-amino-3, 4-dihydroisoquinoline-2(1H)-carboxylate with

4-methylnaphthalene-1-sulfonyl chloride. I were evaluated for their binding to the 5-HT6 receptor, e.g., II exhibited 8.2% binding at 100 nM.

IT 950822-74-9P 950822-76-1P 950822-87-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted tetrahydroisoquinolines as  $5-\mathrm{HT}6$  receptor modulators)

RN 950822-74-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(1,2,3,4-tetrahydro-6-isoquinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 950822-76-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(1,2,3,4-tetrahydro-2-methyl-6-isoquinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 950822-87-4 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 6-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3,4-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

#### ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 22 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2007:892039 CAPLUS
- DN 147:336113
- TI (±) Ketamine-induced prepulse inhibition deficits of an acoustic startle response in rats are not reversed by antipsychotics
- AU Cilia, Jackie; Hatcher, Paula; Reavill, Charlie; Jones, Declan N. C.
- CS Psychiatry CEDD, GlaxoSmithKline, Horlow, UK
- SO Journal of Psychopharmacology (London, United Kingdom) (2007), 21(3), 302-311
- CODEN: JOPSEQ; ISSN: 0269-8811
- PB Sage Publications Ltd.
- DT Journal
- LA English
- Prepulse inhibition (PPI) is the reduction in the startle response caused by a AΒ low intensity non-startling stimulus (the prepulse) which is presented shortly before the startle stimulus and is an operational measure of sensorimotor gating. PPI is impaired in psychiatric disorders such as schizophrenia. Ketamine, a non-competitive N-methyl-D-aspartate antagonist has been shown to induce schizophrenia-like behavioral changes in humans and PPI deficits in rats, which can be reversed by antipsychotics. Thus, ketamine-induced PPI deficits in rats may provide a translational model of schizophrenia. The aim of this study was to investigate the effects of antipsychotic drugs and drugs known to alter the glutamate system upon ketamine-induced PPI deficits in rats. Rats were habituated to the PPI procedure [randomized trials of either pulse alone (110 dB/50 ms) or prepulse + pulse (80 dB/10 ms)]. Animals were assigned to pre-treatments based on the level of PPI on the last habituation test and balanced across startle chambers. Ketamine (1-10 mg/kg s.c; 15 min ptt) increased startle amplitude and induced PPI deficits at 6 and 10 mg/kg. PPI deficits induced by ketamine at 6 mg/kg were not attenuated by clozapine (2.5-10 mg/kg s.c.; 60 min ptt), risperidone (0.1-1 mg/kg i.p.; 60 min ptt), haloperidol (0.1-1 mg/kg i.p.; 60 min ptt), lamotrigine (3-30 mg/kg p.o.; 60 min ptt), or SB-271046-A (5-20 mg/kg p.o.; 2 h ptt) nor potentiated by 2-methyl-6-(phenylethynyl)-pyridine (3-10 mg/kg i.p.; 30 min ptt). These results suggest that under these test conditions ketamine-induced PPI deficits in rats is relatively insensitive and does not represent a translational model for drug discovery in schizophrenia.
- IT 209481-24-3, SB-271046-A
  - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (ketamine-induced prepulse inhibition deficits of acoustic startle response was insensitive to clozapine, risperidone, haloperidol, lamotrigine and SB-271046-A in rat and was not effective model for drug discovery in schizophrenia)
- RN 209481-24-3 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

# RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:872431 CAPLUS

DN 147:211732

- TI Preparation of tetrahydro- $\beta$ -carbolinsulfonamides as 5-HT6 receptor inhibitors
- IN Diaz-Fernandez, Jose Luis; Merce-Vidal, Ramon; Holenz, Joerg
- PA Laboratorios del Dr. Esteve S.A., Spain
- SO Eur. Pat. Appl., 19pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

F'AN.			ENT NO.				D	DATE			APPL	ICAT	ION I	. O <i>r</i>		D	ATE	
ΡΙ	EP	1747 R:	AT, IS,	BE,	BG, LI,	LT,	CY,	2007 CZ, LV,	DE,	DK,		ES,	FI,	FR,	GB,	GR,		IE,
	CA	2616	,	,	,	A1		2007	0315		CA 2 EP 2 WO 2	005-	3801	74	i	A 2	0060 0050 0060	728
	WO	2007	0284	60		A1		2007	0315	,	WO 2	006-	EP73.	58		2	0060	726
		W: RW:	CN, GE, KR, MW, SC, US, AT, IS, CF, GM,	CO, GH, KZ, MX, SD, UZ, BE, IT, CG, KE,	CR, GM, LA, MZ, SE, VC, BG, LT, CI, LS,	CU, HN, LC, NA, SG, VN, CH, LU, CM, MW,	CZ, HR, LK, NG, SK, ZA, CY, LV, GA,		DK, ID, LS, NO, SM, ZW DE, NL, GQ,	DM, IL, LT, NZ, SY, DK, PL, GW,	DZ, IN, LU, OM, TJ, EE, PT, ML,	EC, IS, LV, PG, TM, ES, RO, MR,	EE, JP, LY, PH, TN, FI, SE, NE,	EG, KE, MA, PL, TR, FR, SI, SN,	ES, KG, MD, PT, TT, GB, SK, TD,	FI, KM, MG, RO, TZ, GR, TR, TG,	GB, KN, MK, RS, UA, HU, BF, BW,	GD, KP, MN, RU, UG, IE, BJ, GH,
	EP		GM, KE, KG, KZ, 1919475 R: AT, BE, IS, IT,		BG,	A1 CH,	CY,	2008 CZ,	DE,	DK, NL,	EE, PL, EP 2	006- ES, PT, 005-	8182 FI, RO, 3801	44 FR, SE, 74	GB, SI,	2 GR, SK, A 2	0060 HU, TR	726 IE, 728
	MX	2008	0131	4		A		2008	0602	1	WO 20 MX 20 ES 20	-800	1314			2	0060 0080 0050	128

WO 2006-EP7358 W 20060726 CN 101272785 A 20080924 CN 2006-80035713 20080327 EP 2005-380174 A 20050728 WO 2006-EP7358 W 20060726

OS CASREACT 147:211732; MARPAT 147:211732

AB Title compds. I [R1, R2 = H, alkyl, alkenyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = CONRaRb, COORa; Ra, Rb = H, alkyl, aryl, etc.; R5 = NRcSO2Rd; Rc = H, alkyl, etc.; Rd = aryl, heteroaryl; R6 = H, alkyl, aryl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, N-acylation of amine II with 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride afforded claimed sulfonamide III. In 5-HT6 receptor inhibition assays, 2-examples of compds. I exhibited Ki values ranging from 2.4-2.8 nM.

IT 944835-35-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydro- $\beta$ -carbolinsulfonamides as 5-HT6 receptor inhibitors)

RN 944835-35-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(2,3,4,9-tetrahydro-2-methyl-1H-pyrido[3,4-b]indol-6-yl)- (CA INDEX NAME)

### RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:859258 CAPLUS

DN 147:269514

TI The effects of pharmacological blockade of the 5-HT6 receptor on formalin-evoked nociceptive behavior, locomotor activity and hypothalamo-pituitary-adrenal axis activity in rats

AU Finn, David P.; Fone, Kevin C. F.; Beckett, Simon R. G.; Baxter, Jonathan A.; Ansell, Lucy; Marsden, Charles A.; Chapman, Victoria

CS Department of Pharmacology and Therapeutics, Galway, National University of Ireland, University Road, Galway, Ire.

SO European Journal of Pharmacology (2007), 569(1-2), 59-63 CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

AB 5-Hydroxytryptamine (5-HT) mediates behavioral and neuroendocrine responses to noxious or stressful stimuli. 5-HT6 receptors are expressed in brain regions involved in nociceptive processing, however, their role in nociception is unknown. Here we demonstrate that acute, systemic administration of the 5-HT6 receptor antagonist, 5-chloro-N-(4-methoxy-3-benzothio-phenesulfonamide (SB-271046)), reduces formalin-evoked nociceptive behavior and increases plasma corticosterone. SB-271046 dose-dependently reduced pre-formalin distance moved, rearing, grooming and defecation. These data provide the first evidence for 5-HT6

receptor-mediated regulation of nociception and hypothalamo-pituitary-adrenal axis activity in a model of persistent pain although effects on locomotor activity demand that the putative antinociceptive effect of SB-271046 be interpreted with some caution.

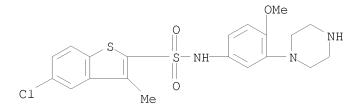
ΙT 209481-20-9, SB-271046

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effect of pharmacol. blockade of 5-HT6 receptor on nociception behavior, locomotor activity and hypothalamo-pituitary-adrenal axis activity)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2007:793635 CAPLUS

DN 147:158506

ΤI Method using a combination of an acetylcholinesterase inhibitor and a 5-HT6 antagonist for the treatment of cognitive dysfunction

Comery, Thomas Anthony; Schechter, Lee Erwin IN

PΑ Wyeth, John, and Brother Ltd., USA

U.S. Pat. Appl. Publ., 15pp. SO

CODEN: USXXCO

Patent DT

English LA

FAN.	N.CNT 1 PATENT NO.					KIN	D	DATE			APP	LI.	CAT	ION 1	NO.		Ι	DATE	
ΡI	US	2007	0167	 431		A1	_	2007	0719		 US	20	07-	6527:	25		2	20070	
												_		7588				20060	_
	ΑU	2007	2085	16		A1		2007	0802		AU	20	07-	2085:	16		2	20070	109
											US	20	06-	7588	41P		P 2	20060	113
											WO	20	07-1	JS35	4	1	W 2	20070	109
	CA	2635	920			A1		2007	0802		CA	20	007-	2635	920		2	20070	109
											US	20	06-	7588	41P	-	P 2	20060	113
											WO	20	07-1	JS35	4	1	W 2	20070	109
	WO	2007	0871	51		A2		2007	0802		WO	20	07-1	JS35	4		2	20070	109
	WO	2007	0871	51		А3		2007	1115										
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			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ	,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL	٠,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,
			KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT	,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	ΝI,	NO	),	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM	1,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM	1,	ZW						
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	3,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 2006-758841P P 20060113

EP 1971334 A2 20080924 EP 2007-716405 20070109

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

US 2006-758841P P 20060113

WO 2007-US354

20070109

OS MARPAT 147:158506

AB The invention provides a method for the treatment of a cognitive disorder, e.g. Alzheimer's disease, in a patient in need thereof which comprises providing to the patient a therapeutically effective amount of a combination of an acetylcholinesterase inhibitor and a 5-HT6 antagonist.

IT 209481-20-9 209481-20-9D, salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(acetylcholinesterase inhibitor combination with  $5-{\rm HT}6$  antagonist for cognitive dysfunction treatment)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 26 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:705941 CAPLUS

DN 147:110267

TI Use of amino alcohol derivatives for the treatment of overactive bladder

IN Trieselmann, Thomas; Hamilton, Bradford S.; Mueller, Stephan G.; Stenkamp, Dirk

PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG

SO PCT Int. Appl., 67pp. CODEN: PIXXD2

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DT Patent
LA English
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FAN.		1 FENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
ΡI	WO	2007	 0716	 53		A1	_	2007	0628		WO 2	 006-:	EP69	 856		2	0061	218
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								DE,										
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KΜ,	KN,
			KΡ,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MΥ,	MΖ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
								MC,					•			•		•
								GN,										
			GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ТJ,	$_{ m TM}$										
											EP 2			-				
											DE 2						0060	
		1020		3697		A1		2007			DE 2						0060	
	EP	1965	-			A1		2008			EP 2						0061	-
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
			IS,	ΙT,	LI,	LT,	LU,	LV,	MC,		•	,	•		,	•		
											EP 2							
											DE 2	006-	1020	0600	3697.	A 2	0060	126

OS MARPAT 147:110267

AB The invention discloses the use of β-agonist amino alc. compds. I [R1, R2, R10, R11 = H, halo, CN, etc.; n = 0-3; R3 = H, (un)substituted C1-10 alkyl, etc.; R4, R5 = H, halo, etc.; R6 = (un)substituted mopholino, (un)substituted thiomorpholino, etc.; R8 = H, (un)substituted C1-10 alkyl, etc.; R9 = H, (un)substituted C1-10 alkyl, etc.; R12 = H, (un)substituted benzyl, etc.] and II [R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heteroaryl or heterocyclyl (R2 contains  $\ge 1$  N atom); R3, R4 = H, (un)substituted C1-5 alkyl, etc.; R5-R7 = H, (un)substituted C1-10 alkyl, etc.], as well as tautomers, enantiomers, diastereomers, mixts., prodrugs, and salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases, for preparing a medicament for the treatment of overactive bladder.

WO 2006-EP69856

IT 942577-51-7

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amino alc. derivative  $\beta$ -agonists for treatment of overactive bladder)

RN 942577-51-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-[2-[[1,1-dimethyl-3-(4-phenyl-1H-imidazol-1-yl)propyl]amino]-1-hydroxyethyl]-2-hydroxyphenyl]-3-methyl- (CA INDEX NAME)

PAGE 1-A

W

\_\_ Ph

#### RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 27 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2007:632414 CAPLUS
- DN 147:110394
- TI Development of a liquid chromatography/tandem mass spectrometry method for the quantitation of acetylcholine and related neurotransmitters in brain microdialysis samples
- AU Zhang, Mei-Yi; Hughes, Zoe A.; Kerns, Edward H.; Lin, Qian; Beyer, Chad E.
- CS Chemical and Screening Sciences, Wyeth Research, Princeton, NJ, 08543, USA
- SO Journal of Pharmaceutical and Biomedical Analysis (2007), 44(2), 586-593 CODEN: JPBADA; ISSN: 0731-7085
- PB Elsevier B.V.
- DT Journal
- LA English
- AB Monitoring concns. of acetylcholine (ACh) in specific brain regions is important in understanding disease pathol., as well as in designing and evaluating novel disease-modifying treatments where cholinergic dysfunction is a hallmark feature. We have developed a sensitive and quant. liquid chromatog./tandem mass spectrometry method to analyze the extracellular concns. of ACh, choline (Ch) and (3-carboxypropyl)-trimethylammonium (iso-ACh) in brain microdialysis samples of freely moving animals. One immediate advantage of this new method is the ability to monitor ACh in its free form without having to use a cholinesterase inhibitor in the perfusate. The separation of ACh, Ch, iso-ACh and related endogenous compds. was carried out based on cation exchange chromatog. with a volatile elution buffer consisting of ammonium formate, ammonium acetate and acetonitrile. An unknown interference of ACh, which was observed in brain microdialyzates from many studies, was well separated from ACh to ensure the accuracy of the measurement. Optimization of electrospray ionization conditions for these quaternary ammonium compds. achieved the limits of detection (S/N = 3) of 0.2 fmol for ACh, 2 fmol for Ch and 0.6 fmol for iso-ACh using a benchtop tandem quadrupole mass spectrometer with moderate sensitivity. The limit of quantitation (S/N =10) was 1 fmol for ACh, 3 fmol for iso-ACh and 10 fmol for Ch. This method was selective, precise (<10% R.S.D.), and sensitive over a range of 0.05-10 nM for ACh, 0.25-50 nM for iso-ACh and 15-3000 nM for Ch. To demonstrate that the developed method can be applied to monitoring changes in ACh concns. in vivo, reference agents that have previously been shown to influence ACh levels were studied in rat dorsal hippocampus. This includes the 5-HT6 receptor antagonist, SB-271046, and the cholinesterase inhibitor, donepezil. Moreover, levels of ACh were demonstrated to be sensitive to infusion of tetrodotoxin (TTX) suggesting that the ACh being measured in vivo was of neuronal origin. Collectively, these biol. data provided in vivo validation of this anal. method. 209481-20-9, SB-271046
- RL: PAC (Pharmacological activity); BIOL (Biological study)
  (acetylcholine and related neurotransmitters determination in brain microdialysis samples by liquid chromatog./tandem mass spectrometry after

SB-271046 administration)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:536929 CAPLUS

DN 146:521555

TI Preparation of indene derivatives for treatment of 5-HT6 receptors mediated diseases

IN Frigola-Constansa, Jordi; Merce-Vidal, Ramon; Holenz, Joerg; Alcalde Pais,
 Maria de las Ermitas; Mesquida Estevez, Maria de les Neus; Lopez Perez,
 Sara

PA Laboratorios del Dr. Esteve, S.A., Spain

SO PCT Int. Appl., 95pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
PI		2007 2007		-		A2 A3		2007 2007			WO 2	006-	EP10	627		2	0061	107
		W:	CN, GE, KP, MN,	CO, GH, KR, MW,	CR, GM, KZ, MX,	CU, GT, LA, MY,	CZ, HN, LC, MZ,	AU, DE, HR, LK, NA,	DK, HU, LR, NG,	DM, ID, LS, NI,	DZ, IL, LT, NO,	EC, IN, LU, NZ,	EE, IS, LV, OM,	EG, JP, LY, PG,	ES, KE, MA, PH,	FI, KG, MD, PL,	GB, KM, MG, PT,	GD, KN, MK, RO,
		DM.	TZ,	UA,	UG,	US,	UZ,	SG, VC,	VN,	ZA,	ZM,	ZW	·	·	·	·	·	,
		KW:	IS, CF, GM,	IT, CG, KE,	LT, CI, LS,	LU, CM, MW,	LV, GA, MZ,	CZ, MC, GN, NA,	NL, GQ, SD,	PL, GW, SL,	PT, ML, SZ,	RO, MR, TZ,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	ВJ, GH,
			KG,	KΖ,	MD,	RU,	ТJ,	TM,	AP,	·		005-					0051: 0051:	
		2274 2274				A1 B1		2007 2008			ES 2						0051	108
	CA	2628	856			A1		2007	0518		CA 2 ES 2 US 2 WO 2	005- 005-	2720 7350	42P	) ]	A 2 P 2	0061: 0051: 0051: 0061:	108 108
	EP	1960 R:	AT,	BE,	BG,		CY,	2008 CZ, LV,	DE,	DK,	EP 2 EE, PL,	006- ES, PT,	8183 FI, RO,	89 FR, SE,	GB, SI,	GR, SK,	0061: HU,	107 IE,

US 2005-735042P Р 20051108 WO 2006-EP10627 20061107 W MX 200805834 Α 20080516 MX 2008-5834 20080506 20051108 ES 2005-2720 Α P US 2005-735042P 20051108 WO 2006-EP10627 W 20061107

OS CASREACT 146:521555; MARPAT 146:521555

AB Title compds. represented by the formula I [wherein n = 0-4; R1 = (un)substituted (un)saturated (hetero)cycloaliph. radical, amino, CO2H, etc.; R2-R5 = independently H, NO2, NH2, etc.; A = C=CR6R6' or CR6R6'; R6, R6' = independently H, NH2, OH, etc.; and pharmaceutically acceptable salts, isomers, prodrugs or solvates thereof] were prepared For example, reaction of 2-methyl-6-nitroindan-1-one with 1.05 equiv of dry AcOEt in the presence of 1 M LHMDS solution in THF gave (2-methyl-6-nitro-3H-inden-1-yl)acetic acid. I had a binding test to 5-HT6 receptors, II showed 4.8 nM (Ki). Thus, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by 5-HT6 receptors, such as obesity (no data).

IT 936573-43-2P, N-[3-(2-Dimethylaminoethyl)-2-methyl-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indene derivs. for treatment of  $5-\mathrm{HT}6$  receptors mediated diseases)

RN 936573-43-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-2-methyl-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)

IT 936573-29-4P 936573-38-5P,

N-[2-Methyl-3-[2-oxo-2-(pyrrolidin-1-yl)ethyl]-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-46-5P,
N-Ethyl-N-[3-(2-dimethylaminoethyl)-2-methyl-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-50-1P
936573-61-4P, N-[2-Methyl-3-[2-(pyrrolidin-1-yl)ethyl]-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-65-8P, 5-Chloro-N-[3-[2-(dimethylamino)ethyl]-1,1-dimethyl-1H-inden-5-yl]-3-methylbenzo[b]thiophene-2-sulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indene derivs. for treatment of  $5-\mathrm{HT}6$  receptors mediated diseases)

RN 936573-29-4 CAPLUS

CN 1H-Indene-3-acetic acid, 5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl- (CA INDEX NAME)

RN 936573-38-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-3-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-inden-5-yl]- (CA INDEX NAME)

RN 936573-46-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-2-methyl-1H-inden-5-yl]-N-ethyl-3-methyl- (CA INDEX NAME)

RN 936573-50-1 CAPLUS

CN 1H-Indene-1-ethanaminium, 6-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]ethylamino]-N-ethyl-2,3-dihydro-N,N,2-trimethyl-, iodide (1:1) (CA INDEX NAME)

● T-

RN 936573-61-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-3-[2-(1-pyrrolidinyl)ethyl]-1H-inden-5-yl]- (CA INDEX NAME)

RN 936573-65-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1,1-dimethyl-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)

IT 936573-77-2P, N-(2-Methyl-3-oxoindan-5-yl)-5-chloro-3-

methylbenzo[b]thiophene-2-sulfonamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indene derivs. for treatment of 5-HT6 receptors mediated diseases)

RN 936573-77-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-2-methyl-3-oxo-1H-inden-5-yl)-3-methyl- (CA INDEX NAME)

- L6 ANSWER 29 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2007:188209 CAPLUS
- DN 146:351556
- TI Whole spectrum analysis of ligand efficacy at constitutively active human wild-type and S267K 5-HT6 receptors in HEK-293F cells
- AU Romero, Gonzalo; Pujol, Marta; Perez, Pilar; Buschmann, Helmut; Pauwels, Petrus J.
- CS Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain
- SO Journal of Pharmacological and Toxicological Methods (2007), 55(2), 144-150
- CODEN: JPTMEZ; ISSN: 1056-8719 PB Elsevier B.V.
- DT Journal
- LA English
- AB Modulation of constitutive activity by the recombinant wild-type human  $5-\mathrm{HT}6$  receptor was investigated with a series of  $5-\mathrm{HT}6$  receptor ligands by

monitoring the cAMP signaling pathway. The impact of the mutation S267K near the B261BXXB265 CIII-loop motif was analyzed on the magnitude of constitutive receptor activity as previously conflicting results have been reported. The wild-type 5-HT6 receptor plasmid was obtained by PCR and the mutant S267K5-HT6 receptor was constructed by site-directed mutagenesis and stably transfected in HEK-293F cells by electroporation. The cAMP signaling pathway was monitored as a functional read-out to investigate ligands' responses using homogeneous time resolved fluorescence. Results showed that constitutive activity was present both at wild-type and mutant \$267K 5-HT6 receptors. Neg. efficacy (Emax, % vs. basal) as observed at nanomolar concns. with SB-271046 was larger for mutant  $(-92\pm1\%)$  than wild-type 5-HT6 receptor  $(-45\pm1\%)$ . Ro 04-6790 also demonstrated neg. efficacy at the wild-type 5-HT6 receptor with a magnitude similar to SB-271046 but with a 36-fold lower potency. MS-245demonstrated at nanomolar concns. intermediate neg. efficacy; - 48±3% and -  $16\pm2\%$  at mutant and wild-type 5-HT6 receptor, resp. The  $5-\mathrm{HT}-\mathrm{mediated}$  cAMP response was blocked by SB-271046, MS-245 and Ro 04-6790 to their resp. level of neg. efficacy with pKB values fitting with their binding pK i values. E-6801 was a highly potent (pEC50: 10.17 to 10.19) and efficacious agonist (+ 98 to + 102% vs. 5-HT) at both wild-type and mutant 5-HT6 receptors. Thus, the recombinant wild-type human 5-HT6 receptor is constitutively active in HEK-293F cells and displays a high resolution to monitor efficacy properties of 5-HT6 receptor ligands. The resolution capacity to differentiate between efficacy properties of 5-HT6 receptor ligands, in particular for neg. efficacy, can be further enhanced by monitoring the mutant S267K 5-HT6 receptor.

IT 209481-20-9, SB-271046

RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)

(whole spectrum anal. of ligand efficacy at constitutively active human wild-type and S267K 5-HT6 receptors in HEK-293F cells)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 30 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2007:113558 CAPLUS
- DN 146:206308
- TI Preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists.
- IN Brooks, Carl; Cleary, Pamela A.; Goodman, Krista B.; Peace, Simon; Philp, Joanne; Sehon, Clark A.; Smethurst, Christian; Watson, Stephen Paul
- PA Glaxo Group Limted, UK
- SO PCT Int. Appl., 114 pp. CODEN: PIXXD2
- DT Patent

LA English FAN.CNT 1

	PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
ΡI		2007				A2		2007		•	WO 2	006-	 US28	 419		2	0060	721
	WO	2007 W:			AT.	A3		2007 AII.		BA.	BB.	BG.	BR.	BW.	BY.	B7.	CA,	CH.
		•••							,								GB,	
						HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,
			KR, KZ, LA,			LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
						NΑ,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
			MW, MX, MZ, SC, SD, SE,			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
			US,	UZ,	VC,	VN,	ZA,	ZM,	ZW									
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
			CF, CG, CI, GM, KE, LS,			MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG, KZ, MD,			RU,	ΤJ,	TM,	ΑP,	EA,	EP,	OA						
										1	GB 2	005-	1519	4		A 2	0050	722
										1	GB 2	005-	1949	2	-	A 2	00509	923

OS MARPAT 146:206308

AB Title compds. [I; R1 = (substituted) aryl, thienyl, benzothienyl, imidazolyl, pyridyl, isoquinolinyl, piperonyl, benxoxathiadiazolyl, benzodiazolyl; m = 1-3; R2 = halo, cyano, OCF3, CF3; R3 = (substituted) heteroaryl, heterocycloalkyl], were prepared as CCR2 chemokine receptor antagonists (no data). Thus, [5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]amine (preparation given) in pyridine was treated with 4-dimethylaminopyridine and 3,4-dichlorobenzoyl chloride followed by heating of the mixture at 90° for 4 h to give 3,4-dichloro-N-[5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]benzenesulfonamide.

IT 922710-52-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

 $(preparation\ of\ azolylmethylbenzene sulfonamides\ as\ CCR2\ chemokine\ receptor\ antagonists)$ 

RN 922710-52-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 31 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:34497 CAPLUS

DN 146:142690

TI Benzoxazepinylbenzenesulfonamide and process for their preparation, intermediates, pharmaceutical compositions and their use in the treatment

of 5-HT6 mediated disorders such as Alzheimer's disease, cognitive disorders, cognitive impairment associated with schizophrenia, obesity and Parkinson's disease

- IN Nordvall, Gunnar; Petersson, Carl; Sehgelmeble, Fernando
- PA Astrazeneca AB, Swed.
- SO PCT Int. Appl., 76pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

1 1 111 •		TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
ΡI	WO	2007	0049	 59		A1	_	2007	0111		 WO 2	 006-	 SE82	 7		2	0060	703
		W:	ΑE,	ΑG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
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OS CASREACT 146:142690; MARPAT 146:142690

AB The invention relates to new compds. of formula I, or salts, solvates or solvated salts thereof, process for their preparation and to new intermediates used in the preparation thereof, pharmaceutical compns. containing said compds. and

to the use of said compds. in the treatment of 5-HT6 mediated disorders such as Alzheimer's disease, cognitive disorders, cognitive impairment associated with schizophrenia, obesity and Parkinson's disease. Compds. of formula I wherein Q is C6-10 aryl-C0-6 alkyl, C5-11 heteroaryl-C0-6 alkyl, C3-7 (hetero)cycloalkyl-C0-6 alkyl, and C1-10 alkyl; R1 and R2 are independently H, OH, halo, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C1-10 alkoxy, etc.; n is 0, 1, 2, 3, 4, and 5; B is 0, and NH and derivs.; X is O, CH2, CO, S, SO, SO2 and NH and derivs.; R3 is H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C6-10 aryl-C0-6 alkyl, etc.; R4 is H, C1-5 (halo)alkyl, and C1-5 (halo)alkoxy, etc.; r5 is H, C1-6 (halo)alkyl, C1-6 (halo)alkoxy, etc.; R9 is H, OH, halo, C1-6 alkyl, C1-6 alkoxy-C0-3 alkyl, etc. and their pharmaceutically acceptable salts, solvates and solvated salts thereof, are claimed. Example compound II was prepared by reductive alkylation of 2-(methylamino)ethanol with 2-hydroxy-5-nitrobenzaldehyde; the resulting 2-[[(2-hydroxyethyl)methylamino]methyl]-4-nitrophenol underwent cyclization to give 4-methyl-7-nitro-2,3,4,5-tetrahydro-1,4benzoxazepine, which underwent reduction to give

 $4\text{-methyl-}2,3,4,5\text{-tetrahydro-}1,4\text{-benzoxazepin-}7\text{-amine, which underwent sulfonylation with 3-bromobenzenesulfonyl chloride to give compound II. All the invention compds. were evaluated for their 5-HT6 binding affinity (data given).$ 

IT 918900-14-8P 918900-27-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzoxazepinylbenzenesulfonamides and their use in the treatment of 5-HT6 mediated disorders such as Alzheimer's disease, cognitive disorders, obesity, and Parkinson's disease)

RN 918900-14-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2,3,4,5-tetrahydro-9-(trifluoromethyl)-1,4-benzoxazepin-7-yl]- (CA INDEX NAME)

RN 918900-27-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(2,3,4,5-tetrahydro-1H-2-benzazepin-8-yl)- (CA INDEX NAME)

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- DN 146:121941
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- IN Ibrahim, Prabha N.; Artis, Dean R.; Bremer, Ryan; Habets, Gaston; Mamo, Shumeye; Nespi, Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Zuckerman, Rebecca; West, Brian; Suzuki, Yoshihisa; Tsai, James; Hirth, Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel J.; Wu, Guoxian; Zhu, Hongyao; Shi, Shenghua
- PA Plexxikon, Inc., USA
- SO PCT Int. Appl., 631 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 3

PATENT NO. KIND DATE APPLICATION NO. DATE

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OS MARPAT 146:121941

AΒ Compds. of formula I which are active on protein kinases are described, as well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un)substituted aryl, (un)substituted indole, (un)substituted heteroaryl, etc.; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO2; R4 - R6 is H, halo, (un) substituted lower alkyl, (un) substituted lower alkenyl, (un) substituted alkynyl, (un) substituted (hetero)cycloalkyl, and (un)substituted (hetero)aryl; and their pharmaceutically acceptable salts, prodrugs, tautomers, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrogenation to give the corresponding benzoic acid, which underwent chlorination, to give the corresponding acid chloride, which underwent reaction with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the tested compds. exhibited good protein kinase inhibitory activity against several kinases.

IT 918506-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 918506-02-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]- (CA INDEX NAME)

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- DN 146:142627
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- IN Ibrahim, Prahbha N.; Artis, Dean R.; Bremer, Ryan; Mamo, Shumeye; Nespi, Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Tsai, James; Hirth, Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel J.; Wu, Guoxiam; Zhu, Hongyao; Shi, Shenghua
- PA Plexxikon, Inc., USA
- SO PCT Int. Appl., 291 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 3

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NO 2007005	5992	А	20080213	NO 2007-5992 US 2005-682042P US 2005-682051P US 2005-682063P US 2005-692750P US 2005-692960P WO 2006-US18726	P P P P W	20071123 20050517 20050517 20050517 20050622 20050622 20060516
KR 2008027	7775	A	20080328	KR 2007-729428 US 2005-682042P US 2005-682051P US 2005-682063P US 2005-692750P US 2005-692960P WO 2006-US18726	P P P P	20071217 20050517 20050517 20050517 20050622 20050622 20060516
CN 1012231	69	А	20080716	US 2005-682042P US 2005-682051P US 2005-682063P US 2005-692750P	P P P P	20060516 20080116 20050517 20050517 20050517 20050622

OS MARPAT 146:142627

Compds. of formula I which are active on protein kinases are described, as AB well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un) substituted (hetero) aryl, and (un) substituted indole; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO2; R4 - R6 are independently H, halo, (un) substituted lower alkyl, (un) substituted lower alkenyl, (un) substituted lower alkynyl, (un) substituted (hetero) cycloalkyl, (un) substituted (hetero) aryl, etc.; and their pharmaceutically acceptable salts, prodrugs, tautomer, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrolysis to give the corresponding benzoic acid, which underwent chlorination and coupling with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the invention compds. exhibited good inhibitory activity against various protein kinases.

IT 918506-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 918506-02-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]- (CA INDEX NAME)

### RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1252827 CAPLUS

DN 146:27726

TI Preparation of 8-sulfonylamino-3-amino-substituted chroman or tetrahydronaphthalene derivatives modulating the 5HT6 receptor for treating Alzheimer's disease, cognitive impairment associated with schizophrenia, obesity and/or Parkinson's disease

IN Chu, Chester; Lister, Andrew; Nordvall, Gunnar; Petersson, Carl; Rotticci, Didier; Sohn, Daniel

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 146pp. CODEN: PIXXD2

DT Patent

FAN.		1 IENT :	NO.					DATE			APPI	LICAT	ION	NO.				
ΡI	WO	2006	 1269	 39		 A1		2006			WO 2	 2006-	 SE59	 3			0060	
		₩:	CN, GE, KZ, MZ, SG,	CO, GH, LC, NA, SK,	CR, GM, LK, NG,	CU, HR, LR, NI, SM,	AT, CZ, HU, LS, NO, SY,	AU, DE, ID, LT, NZ,	AZ, DK, IL, LU, OM,	BA, DM, IN, LV, PG,	BB, DZ, IS, LY, PH,	BG, EC, JP, MA, PL,	BR, EE, KE, MD, PT,	BW, EG, KG, MG, RO,	ES, KM, MK, RU,	FI, KN, MN, SC,	GB, KP, MW, SD,	GD, KR, MX, SE,
		RW:	AT, IS, CF, GM,	BE, IT, CG, KE,	BG, LT, CI,	CH, LU, CM, MW,	CY, LV, GA, MZ,	MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	ES, RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,
	AU	2006						2006	1130		SE 2 AU 2 SE 2	2005- 2005- 2006- 2005- 2005-	1168 2501 1166	17		A 2 2 A 2	0050 0050 0060 0050 0050	523 522 523
	CA	2609	9747			A1		2006	1130		CA 2 SE 2 SE 2	2006- 2006- 2005- 2005-	2609 1166 1168	747		2 A 2	0060 0060 0050 0050	522 523
	EP	1888	517			A1		2008	N22N			2006- 2006-					0060 0060	
	БI	R:	AT,			CH,	CY,	CZ,	DE,	DK, NL,	EE, PL, SE 2 SE 2	ES, PT, 2005- 2005-	FI, RO, 1166 1168	FR, SE,	SI,	GR, SK, A 2 A 2	HU, TR, 0050 0050	IE, HR 523 523
	IN	2007	DN08	713		A		2008	0627		IN 2 SE 2	2006- 2007- 2005- 2006-	DN87 1166	13		2 A 2	0060 0071 0050 0060	113 523
	MX	2007	1426	3		A		2008	0122		MX 2 SE 2 SE 2	2007- 2005- 2005-	1426 1166 1168	3		2 A 2 A 2	0071 0050 0050	114 523 523
	KR	2008	0168	10		А		2008	0222		KR 2 SE 2	2006- 2007- 2005- 2005-	7271 1166	67	•	2 A 2	0060 0071 0050 0050	122 523
	NO	2007	0066	38		А		2007	1221		WO 2 NO 2 SE 2	2006- 2007- 2005- 2005-	SE59 6638 1166	3		W 2 2 A 2	0060 0071 0050 0050	522 221 523
												2006-					0060	

CN 101228119 A

CN 2006-80026971

SE 2005-1166 SE 2005-1168

WO 2006-SE593

20080123

A 20050523 A 20050523

W 20060522

20080723

OS MARPAT 146:27726

AB The present invention relates to 8-sulfonylamino-3-amino-substituted chroman or tetrahydronaphthalene derivs. (shown as I; variables defined below; e.g. (3R)-5-methoxy-N,N-dimethyl-8-[(phenylsulfonyl)amino]chroman-3-ammonium acetate (1)) or salts, solvates or solvated salts thereof, processes for their preparation and to new intermediates used in the preparation

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thereof, pharmaceutical formulations containing said compds. and to the use of
     said compds. for treating Alzheimer's disease, cognitive impairment
     associated with schizophrenia, obesity and/or Parkinson's disease (no data).
     For I: P is C6-10arylC0-6-alkyl, C5-11-heteroarylC0-6-alkyl,
     C3-7cycloalkylC0-6-alkyl, C3-7heterocycloalkylC0-6alkyl or C2-10alkyl; R1
     is H, hydroxy, halogen, C1-10alkyl, C2-10alkenyl, C2-10alkynyl,
     C1-10alkoxy, amino, C6-10arylC0-6alkyl, et al.; n is 0-5; X is a single
     bond, C1-3alkyl, NR6, or X is N in a heteroalkyl or C5-11heteroaryl; or N,
     SO2, X and P form together a C8-11heteroaryl or C8-11bicycloheteroalkyl; O
     is CH or O; R2 is H, hydroxy, halogen, C1-10alkyl, C2-10alkenyl,
     C2-10alkynyl, C1-10alkoxy, amino, et al. R3 is H, hydroxy, halogen,
     C1-10alkyl, C2-10alkenyl, C2-10alkynyl, C1-10alkoxy, amino, et al.; R4 and
     R5 = H, C1-5alkyl, C1-5haloalkyl, C2-5alkenyl, C2-5alkynyl,
     C3-6cycloalkyl, C5-6arylC1-2alkyl and C5-6heteroarylC1-2alkyl and may be
     substituted or R4 and R5 form together (un)substituted
     C3-7heterocycloalkyl; R6 is H, C1-6alkyl, C3-6cycloalkyl, R7OC1-6alkyl,
     C1-6haloalkyl, et al.; R9 is H, halogen, hydroxy, C1-6alkoxy,
     C1-6haloalkoxy, C1-6haloalkyl, C1-6alkyl or acyl; R10 is H, C1-6alkyl,
     C1-6alkoxy or C1-6haloalkyl; addnl. details are given in the claims.
     Binding consts. are tabulated for the 5HT6 receptor for 8 examples of I.
     Although the methods of preparation are not claimed, prepns. and/or
     characterization data for .apprx.320 examples of I are included. For
     example, 1 was prepared in 4 steps (68, 45, not given, and 45 % yields,
     resp.) involving the following intermediates:
     (3R)-8-bromo-5-methyloxy-N, N-dimethylchroman-3-amine,
     (3R)-N'-(diphenylmethylene)-5-methoxy-N, N-dimethylchromane-3, 8-diamine and
     (3R)-5-methoxy-N, N-dimethylchromane-3, 8-diamine.
     915939-94-5P, 5-Chloro-N-[(3R)-3-(dimethylamino)-5-methoxy-3,4-
     dihydro-2H-chromen-8-yl]-3-methyl-1-benzothiophene-2-sulfonamide
     915941-30-9P, N-[(3R)-3-(Dimethylamino)-5-methoxy-3,4-dihydro-2H-
     chromen-8-y1]-5-fluoro-3-methyl-1-benzothiophene-2-sulfonamide
     915941-65-0P, N-[(6S)-6-(Dimethylamino)-4-methoxy-5,6,7,8-
     tetrahydronaphthalen-1-yl]-5-fluoro-3-methyl-1-benzothiophene-2-
     sulfonamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (drug candidate; preparation of 8-sulfonylamino-3-amino-substituted chroman
        or tetrahydronaphthalene derivs. modulating 5HT6 receptor for treating
        Alzheimer's disease and other disorders)
     915939-94-5 CAPLUS
RN
CN
     Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3R)-3-(dimethylamino)-3,4-
     dihydro-5-methoxy-2H-1-benzopyran-8-yl]-3-methyl- (CA INDEX NAME)
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Absolute stereochemistry.

RN 915941-30-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(3R)-3-(dimethylamino)-3,4-dihydro-5-methoxy-2H-1-benzopyran-8-yl]-5-fluoro-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 915941-65-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(6S)-6-(dimethylamino)-5,6,7,8-tetrahydro-4-methoxy-1-naphthalenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

#### RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2006:1173311 CAPLUS

DN 145:483686

ΤI Substituted aromatic compound tRNA synthetase inhibitors as antimicrobial agents

Das, Biswajit; Arora, Jasbir Singh; Ahmed, Shahadat; Bandyopadhyay, Anish; ΙN Katoch, Rita; Kurhade, Santosh Haribhau; Rathy, Sujata; Ghosh, Soma; Khoje, Abhijit Datta; Gujrati, Arti; Upadhyay, Dilip J.

PΑ Ranbaxy Laboratories Limited, India

SO PCT Int. Appl., 187pp.

CODEN: PIXXD2

DT Patent

English LA

FAN.	1 TENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
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		CN, GE, KZ, MZ, SG, VN, AT, IS, CF,	CO, GH, LC, NA, SK, YU, BE, IT, CG, KE,	CR, GM, LK, NG, SL, ZA, BG, LT, CI, LS,	CU, HR, LR, NI, SM, ZM, CH, LU, CM, MW,	CZ, HU, LS, NO, SY, ZW CY, LV, GA, MZ,	AU, DE, ID, LT, NZ, TJ, CZ, MC, GN, NA,	DK, IL, LU, OM, TM, DE, NL, GQ,	DM, IN, LV, PG, TN, DK, PL, GW,	DZ, IS, LY, PH, TR, EE, PT, ML,	EC, JP, MA, PL, TT, ES, RO, MR,	EE, KE, MD, PT, TZ, FI, SE, NE,	EG, KG, MG, RO, UA, FR, SI, SN,	ES, KM, MK, RU, UG, GB, SK, TD,	FI, KN, MN, SC, US, GR, TR,	GB, KP, MW, SD, UZ, HU, BF, BW,	GD, KR, MX, SE, VC, IE, BJ, GH,
	2006	2428	·	·	A1		TM 2006 2006				005- 006- 006- 005- 005- 006- 006- 006-	DE19. DE97 2428. DE11 DE19. DE97 IB51. 2606 DE11	36 8 224 02 336 8 397 804	;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;;	A 2 A 2 A 2 A 2 A 2 W 2 W 2	0050 0050 0060 0060 0050 0060 0060 0060	722 410 503 503 722 410 503 503

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A 20060410
                                       IN 2006-DE978
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EP 1879877
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                                                              20060503
    R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
        IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
                                                          A 20050503
                                       IN 2005-DE1102
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CN 101203504
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                                       IN 2006-DE978
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                                       WO 2006-IB51397
                                                          W
                                                             20060503
MARPAT 145:483686
The invention provides substituted aromatic compds. which are tRNA synthetase
inhibitors and can be used as antimicrobial agents. The compds. of the
invention can be used for the treatment or prevention of a condition
caused by or contributed to by gram pos., gram neg., anaerobic bacteria or
fungal organisms, more particularly against a bacterium, e.g.
Staphylococci, Enterococci, Streptococci, Haemophilus, Moraxalla,
Escherichia, Chlamydia, Rickettsiae, Mycoplasm, Legionella, Mycobacterium,
Helicobacter, Clostridium, Bacteroides, Corynebacterium, Bacillus or
Enterobactericeae, and fungal organisms, e.g. Aspergillus, Blastomyces,
Candida, Coccidiodes, Cryptococcus, Epidermophyton, Hendersonula,
Histoplasma, Microsporum, Paecilomyces, Paracoccidiodes, Pneumocystis,
Trichophyton, or Trichosporium. Processes for the preparation of these
compds., pharmaceutical compns. thereof, and methods of treating microbial
infections are also provided.
914371-02-1 914371-09-8 914371-10-1
914371-24-7 914371-32-7 914371-90-7
914373-73-2 914373-80-1 914374-18-8
914375-35-2 914375-37-4 914376-03-7
914376-23-1 914376-32-2 914376-33-3
914376-34-4 914376-35-5 914376-36-6
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914375-73-2 914375-80-1 914374-18-8 914375-35-2 914375-37-4 914376-03-7 914376-23-1 914376-32-2 914376-33-3 914376-34-4 914376-79-7 914376-81-1 914376-94-6 914377-12-1 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (substituted aromatic compound tRNA synthetase inhibitors as antimicrobial agents) 914371-02-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

OS

AΒ

ΙT

RN

RN 914371-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 914371-10-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cycloheptyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 914371-24-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 914371-32-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cycloheptyl-1-methylethyl]amino]methyl]phenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 914371-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 914373-73-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S,2R)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 914373-80-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S,2S)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 914374-18-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S,2R)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 914375-35-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(2-cyclohexyl-1-methylethyl)amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 914375-37-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 914376-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 914376-23-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopropyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 914376-32-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[(2-cyclohexyl-1-methylethyl)amino]methyl]phenyl]- (CA INDEX NAME)

RN 914376-33-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 914376-34-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 914376-35-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(2-cyclohexyl-1-methylethyl)amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)

RN 914376-36-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 914376-37-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[1-(cyclohexylmethyl)-2,2,2-trifluoroethyl]amino]methyl]phenyl]- (CA INDEX NAME)

RN 914376-79-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 914376-81-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1R)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 914376-94-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(2-cyclohexyl-1,1-dimethylethyl)amino]methyl]phenyl]- (CA INDEX NAME)

RN 914377-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[1-methyl-2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]methyl]phenyl]- (CA INDEX NAME)

L6 ANSWER 36 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:944402 CAPLUS

DN 145:336062

TI Preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of  $11\beta$ -hydroxysteroid dehydrogenase type 1 ( $11\beta$ -HSD1)

IN Egashira, Hiromu; Nishiyama, Eiji

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 94pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

r An.		ENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		Di	ATE	
ΡI	WO	2006	 0958	 22		A1	_	2006	0914		WO 2	006-	JP30	 4623		2	00603	309
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚM,	KN,	KP,	KR,
			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
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			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	ZW											
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}$ ,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ΤJ,	TM										
											JP 2	005-	6973	8	Ĭ	A 2	00503	311

OS MARPAT 145:336062

AB The title compds. [I; ring A = (un) substituted cyclic group; X, Y = a single bond, a spacer having 1-8 atoms in the main chain; R1, R2, R3 = U,

each (un) substituted cyclic group or hydrocarbon group; or substituent on the spacer Y having 1-8 atoms in the main chain, R2, and atoms to which they are bonded may form an (un) substituted N-containing heterocylic ring], their salts or solvates, or prodrugs thereof are prepared Compds. of the general formula: (wherein all the characters have the same meanings as defined in the description), their salts or hydrates and prodrugs thereof. These compds. have an  $11\beta$ -HSD1 inhibiting potency and thus are useful in the prevention and/or treatment of diseases attributed to overprodn. of adrenocortical hormone, for example, metabolic diseases (for example, diabetes mellitus (e.q., type II diabetes mellitus, etc.), impaired glucose tolerance, hyperglycemia, insulin resistance, elevated levels of insulin in the plasma, lipid metabolism abnormality, fatty liver, dyslipidemia, hyperlipemia, hypertriglyceridemia, hyper-LDL-cholesterolemia, hypo-HDL-cholesterolemia, obesity, atherosclerosis, syndrome X, metabolic syndrome, Cushing's syndrome, osteoporosis, etc.), hypertension, receptive defect, memory disorder, depression, anxiety, dementia, Alzheimer disease, glaucoma, immunol. disease, etc. Thus, a solution of 770 mg 3-methylbenzenesulfonamide and 445mg 3,6-dichloropyridazine in 3 mL DMSO was treated with 1.25 g K2CO3, and stirred at 120° for 3.5 h to give 696 mg N-(6-chloro-pyridazin-3-y1)-3-methylbenzenesulfonamide (II). A solution of 98 mg 3-phenyl-1-propanol in 1 mL dioxane was treated with 163 mg potassium tert-butoxide, treated with a solution of 170 mg II in 1 mL dioxane, and stirred at 100° for 1.5 h to give 149 mg 3-methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide (III). III showed IC50 of 250 nM against human 11 $\beta$ -HSD1. A tablet and an ampule formulation containing 3-Methyl-N-[6-(3-phenylpropoxy)pyridazin-3yl]benzenesulfonamide were described. ΙT 909422-65-7P, 5-Chloro-3-methyl-N-[3-[1-(2-methyl-4phenylpentyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909422-78-2P, 5-Chloro-3-methyl-N-[3-[1-[(3-methylthien-2y1)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909422-84-0P, 5-Chloro-N-[3-(1-hexylpiperidin-4-yl)benzyl]-3methyl-1-benzothiophene-2-sulfonamide 909422-90-8P, benzothiophene-2-sulfonamide 909422-97-5P, 5-Chloro-3-methyl-N-[3-[1-[(1-methyl-1H-indol-3-yl)methyl]piperidin-4yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-08-1P, 5-Chloro-N-[3-[1-(2-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1benzothiophene-2-sulfonamide 909423-19-4P, 5-Chloro-3-methyl-N-[3-[1-(4-phenoxybenzyl)piperidin-4-yl]benzyl]-1benzothiophene-2-sulfonamide 909423-26-3P, 5-Chloro-N-[3-[1-(3-chloro-4-methoxybenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909423-34-3P, 5-Chloro-N-[3-[1-(4-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1benzothiophene-2-sulfonamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of  $11\beta$ -hydroxysteroid dehydrogenase type 1  $(11\beta-HSD1)$ RN 909422-65-7 CAPLUS Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-(2-methyl-4phenylpentyl)-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

RN 909422-78-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(3-methyl-2-thienyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

RN 909422-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-(1-hexyl-4-piperidinyl)phenyl]methyl]-3-methyl- (CA INDEX NAME)

RN 909422-90-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[[4-(diethylamino)phenyl]methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)

RN 909422-97-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

RN 909423-08-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} S & O & N - CH_2 \\ \hline S & NH - CH_2 \\ \hline \end{array}$$

RN 909423-19-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

RN 909423-26-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(3-chloro-4-methoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)

RN 909423-34-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} S & O \\ S & NH-CH_2 \\ \hline \\ C1 & Me \\ \end{array}$$

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:823341 CAPLUS

DN 145:249229

TI Preparation of dihydroindolyl methanones as  $\alpha 1a/1d$  adrenoreceptor modulators for the treatment of benign prostatic hypertrophy and lower urinary tract symptoms

IN Baxter, Ellen W.; Nortey, Samuel O.; Reitz, Allen B.; Pulito, Virginia L.; Middleton, Steven A.

PA USA

SO U.S. Pat. Appl. Publ., 52pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIN	D	DATE			APPL	ICAT	ION I	. O <i>l</i>		D.	ATE	
ΡI	US	2006	0183	902		A1	_	2006	0817		 US 2 US 2						0060 0050	
	WO	2006	0889	54		A1		2006	0824					-			0060	
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,
			VN,	YU,	ZA,	ZM,	ZW											
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	TJ,	TM										

OS MARPAT 145:249229

AB The title compds. I ["a" represents a point of attachment selected from the 3 or 4 position on the Ph ring relative to the point of attachment of the methanone group; A = CH or N; R1 = H, halo, NO2, etc.; R2 = H, SO2(alky1), SO2NH2, etc.; R3 = RB, alky1RB, CO(alkoxy); RB = cycloalky1, heterocycly1, ary1, etc.; with the proviso], useful for treating an  $\alpha$ 1a and/or  $\alpha$ 1d adrenoreceptor mediated disorders, were prepared E.g., a 3-step synthesis of II, starting from 3-(chloromethy1)benzoy1 chloride and 5-nitro-2,3-dihydro-1H-indole, was given. Exemplified compds. I were tested in  $\alpha$ 1-adrenergic receptor binding assay (data given). Pharmaceutical composition comprising compound I is also disclosed.

US 2005-653218P

P 20050215

IT 906088-10-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of dihydroindolyl methanones as  $\alpha$ la/ld adrenoreceptor modulators for the treatment of benign prostatic hypertrophy and lower urinary tract symptoms)

RN 906088-10-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-1-[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]benzoyl]-1H-indol-6-yl]-3-methyl-(CA INDEX NAME)

PAGE 1-B



L6 ANSWER 38 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:808633 CAPLUS

DN 145:410494

TI Efficacy of selective 5-HT6 receptor ligands determined by monitoring 5-HT6 receptor-mediated cAMP signaling pathways

AU Romero, Gonzalo; Sanchez, Elisabeth; Pujol, Marta; Perez, Pilar; Codony, Xavier; Holenz, Joerg; Buschmann, Helmut; Pauwels, Petrus J.

CS Laboratorios Dr Esteve SA, Barcelona, 08041, Spain

SO British Journal of Pharmacology (2006), 148(8), 1133-1143 CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB Two novel selective 5-HT6 receptor ligands E-6801 (6-chloro-N-(3-(2-(dimethylamino)ethyl)-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide) and E-6837 (5-chloro-N-(3-(2-(dimethylamino)ethyl)-1H-indol-5-yl)naphthalene-2-sulfonamide) were investigated and compared to the putative 5-HT6 receptor antagonists SB-271046 (5-chloro-N-(4-methoxy-3-(piperazin-1-yl)phenyl)-3-methylbenzo[b]thiophene-2-sulfonamide) and Ro 04-06790

(N-(2,6-bis(methylamino)pyrimidin-4-yl)-4-aminobenzenesulfonamide) using a cAMP-mediated pathway. Forskolin stimulation, to increase the magnitude of agonist cAMP responses, and site-directed mutagenesis of the 5-HT6 receptor, in order to yield constitutively active receptor, were applied. 5-HT (Emax, % over basal: 200), E-6801 (120) and E-6837 (23) induced cAMP formation at the rat 5-HT6 receptor. In the copresence of forskolin, cAMP responses were more potent and enhanced to 294 (5-HT, % over forskolin), 250 (E-6801) and 207 (E-6837), resp. 5-HT-mediated cAMP formation was dose-dependently blocked by SB-271046 (pA2:  $8.76\pm0.22$ ) and Ro 04-6790 (pA2:  $7.89\pm0.10$ ) and not affected by the copresence of forskolin. Both E-6801 and E-6837 yielded partial antagonism of the 5-HT response in the

absence of forskolin, whereas antagonism was either completely absent (E-6801) or attenuated (E-6837) in the copresence of forskolin. Intrinsic activity of these 5-HT6 receptor ligands at a constitutively active human S267K 5-HT6 receptor in Cos-7 cells indicated similar efficacy (Emax, % over basal) for 5-HT (97), E-6801 (91) and E-6837 (100), while Ro 04-6790 (-33) and SB-271046 (-39) were equi-efficacious inverse agonists. The use of either forskolin or a constitutively active S267K 5-HT6 receptor enhances the resolution for monitoring the efficacy of 5-HT6 receptor ligands. E-6801 and E-6837 are potent partial agonists at the 5-HT6 receptor. Ro 04-6790 and SB-271046 appear to act as inverse agonists/antagonists.

IT 209481-20-9, SB-271046

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(efficacy of selective 5-HT6 receptor ligands determined by monitoring 5-HT6 receptor-mediated cAMP signaling pathways)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 39 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:753776 CAPLUS

DN 145:249088

TI Preparation of 9H-carbazole-3-sulfonamide derivatives as anticancer agents

IN Hu, Laixing; Li, Zhuorong; Jiang, Jiandong

PA Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences, Peop. Rep. China; Georgia State University Research Foundation

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 34 pp. CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

FAN.	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
ΡI	CN 1807 WO 2007		31		 А А1		 2006 2007	. – -		CN 2 WO 2					_	0050 0060	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW							
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CN 2005-10105255 A 20050928

OS CASREACT 145:249088; MARPAT 145:249088

AB The title derivs. with general formula I [wherein R1 = H, one or multiple nitro groups, (un)substituted amino, halogen, cyano, etc.; R2 = H or lower alkyl; X = (un)substituted SO2NH or NHSO2; Ar = (un)substituted Ph, pyridinyl, or pyrimidinyl] or pharmaceutically acceptable salts thereof are prepared as anticancer agents. The title derivs. can be prepared by reacting corresponding sulfonyl chloride compds. with amino compds. For example, the compound II was prepared in a multi-step synthesis. Some of the title compds. showed good anticancer activities. The title compds. have the advantages of low toxicity, less side effect, and simple synthesis. Also claimed is the pharmaceutical composition containing the title derivs.

IT 905978-91-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 9H-carbazole-3-sulfonamide derivs. as anticancer agents)

RN 905978-91-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)

L6 ANSWER 40 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:733724 CAPLUS

DN 145:167113

TI Preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders

IN Neitzel, Martin

PA Elan Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	I NOI	. O <i>V</i>		D	ATE	
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ΡI	WO	2006	0787	53		A1		2006	0727	1	WO 2	006-1	JS17	92		2	0060	118
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			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚM,	KN,	KP,	KR,
			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MΖ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	ZW											
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,

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IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
        CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
        GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
        KG, KZ, MD, RU, TJ, TM
                                        US 2005-645137P
                                                            Ρ
                                                               20050118
CA 2595173
                           20060727
                                        CA 2006-2595173
                                                               20060118
                     Α1
                                        US 2005-645137P
                                                            Р
                                                               20050118
                                        WO 2006-US1792
                                                            W
                                                               20060118
US 20060270657
                     Α1
                           20061130
                                        US 2006-334131
                                                               20060118
                                        US 2005-645137P
                                                               20050118
EP 1838701
                           20071003
                                        EP 2006-718810
                                                               20060118
                     Α1
       AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
        IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
        BA, HR, MK, YU
                                        US 2005-645137P
                                                            Ρ
                                                               20050118
                                        WO 2006-US1792
                                                               20060118
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OS MARPAT 145:167113

AΒ The invention provides N-substituted heterocyclic-sulfonamides for use in treating or preventing cognitive disorders, such as Alzheimer's Disease, by inhibiting  $\beta$ -amyloid peptide release or synthesis. Compds. of particular interest are defined by Formula I (wherein n = 1-3; Z =(un) substituted heteroaryl or heterocycloalkyl; R1 = (un) substituted arylC1-C8alkyl, arylC2-C6alkenyl, C3-C7cycloalkyl(C1-C6alkyl), C1-C14alkyl, etc.; R2 is H, C1-C6 alkyl, or phenyl(C1-C4)alkyl). tested in a Notch signaling assay for selective inhibitors of  $\gamma$ -secretase to identify compds. that are potent inhibitors of  $\beta$ -amyloid synthesis with minimal inhibition of Notch signaling. The invention also encompasses pharmaceutical compns. comprising I as well as methods of treating cognitive disorders using I. General procedures are given for synthesizing I, such as II, via a lactam intermediate. ΙT 900532-06-1P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-bromobenzy1)-N-((R)-2-oxoazepan-3-y1) amide 900532-42-5P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-bromobenzyl)-N-(2-oxoazepan-3-yl)amide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders)

RN 900532-06-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N[(3R)-hexahydro-2-oxo-1H-azepin-3-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 900532-42-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-methyl- (CA INDEX NAME)

# RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 41 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:733307 CAPLUS

DN 145:145724

TI Preparation of aromatic sulfone, sulfonamide, and sulfonate compounds as aldosterone receptor (mineralocorticoid receptor) (MR) modulators

IN Katayama, Seiji

PA Dainippon Sumitomo Pharma Co., Ltd., Japan

SO PCT Int. Appl., 135 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

CNT	1																
PA]	CENT	NO.			KIN:	D	DATE		-	APPL	ICAT	ION I	NO.		D.	ATE	
WO	2006	0778	21		A1		2006	0727	,	WO 2	006-	JP30	0509		2	0060	117
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
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		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	TM										
										JP 2	005-	1118	7		A 2	0050	119
EP	1844	768			A1		2007	1017		EP 2	006-	7117	89		2	0060	117
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		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR	
									1	JP 2	005-	1118	7		A 2	0050	119
									,	WO 2	006-	JP30	0509	1	W 2	0060	117
	PAT WO	WO 2006 W: RW:	PATENT NO WO 20060778 W: AE, CN, GE, KZ, MZ, SG, VN, RW: AT, IS, CF, GM, KG,	PATENT NO.  WO 2006077821  W: AE, AG, CN, CO, GE, GH, KZ, LC, MZ, NA, SG, SK, VN, YU, RW: AT, BE, IS, IT, CF, CG, GM, KE, KG, KZ, EP 1844768 R: AT, BE,	PATENT NO.  WO 2006077821  W: AE, AG, AL, CN, CO, CR, GE, GH, GM, KZ, LC, LK, MZ, NA, NG, SG, SK, SL, VN, YU, ZA, RW: AT, BE, BG, IS, IT, LT, CF, CG, CI, GM, KE, LS, KG, KZ, MD,  EP 1844768 R: AT, BE, BG,	PATENT NO. KIN.  WO 2006077821 A1  W: AE, AG, AL, AM, CN, CO, CR, CU, GE, GH, GM, HR, KZ, LC, LK, LR, MZ, NA, NG, NI, SG, SK, SL, SM, VN, YU, ZA, ZM, RW: AT, BE, BG, CH, IS, IT, LT, LU, CF, CG, CI, CM, GM, KE, LS, MW, KG, KZ, MD, RU,  EP 1844768 A1 R: AT, BE, BG, CH,	PATENT NO.  WO 2006077821  W: AE, AG, AL, AM, AT, CN, CO, CR, CU, CZ, GE, GH, GM, HR, HU, KZ, LC, LK, LR, LS, MZ, NA, NG, NI, NO, SG, SK, SL, SM, SY, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, IS, IT, LT, LU, LV, CF, CG, CI, CM, GA, GM, KE, LS, MW, MZ, KG, KZ, MD, RU, TJ,  EP 1844768  R: AT, BE, BG, CH, CY,	PATENT NO. KIND DATE	PATENT NO. KIND DATE  WO 2006077821 A1 20060727  W: AE, AG, AL, AM, AT, AU, AZ, CN, CO, CR, CU, CZ, DE, DK, GE, GH, GM, HR, HU, ID, IL, KZ, LC, LK, LR, LS, LT, LU, MZ, NA, NG, NI, NO, NZ, OM, SG, SK, SL, SM, SY, TJ, TM, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, CZ, DE, IS, IT, LT, LU, LV, MC, NL, CF, CG, CI, CM, GA, GN, GQ, GM, KE, LS, MW, MZ, NA, SD, KG, KZ, MD, RU, TJ, TM  EP 1844768 A1 20071017  R: AT, BE, BG, CH, CY, CZ, DE,	PATENT NO.  WO 2006077821  W: AE, AG, AL, AM, AT, AU, AZ, BA, CN, CO, CR, CU, CZ, DE, DK, DM, GE, GH, GM, HR, HU, ID, IL, IN, KZ, LC, LK, LR, LS, LT, LU, LV, MZ, NA, NG, NI, NO, NZ, OM, PG, SG, SK, SL, SM, SY, TJ, TM, TN, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, CZ, DE, DK, IS, IT, LT, LU, LV, MC, NL, PL, CF, CG, CI, CM, GA, GN, GQ, GW, GM, KE, LS, MW, MZ, NA, SD, SL, KG, KZ, MD, RU, TJ, TM  EP 1844768  A1 20071017  R: AT, BE, BG, CH, CY, CZ, DE, DK, IS, IT, LI, LT, LU, LV, MC, NL,	PATENT NO. KIND DATE APPL  WO 2006077821 A1 20060727 WO 2  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, GE, GH, GM, HR, HU, ID, IL, IN, IS, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, SG, SK, SL, SM, SY, TJ, TM, TN, TR, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LT, LU, LV, MC, NL, PL, PT, CF, CG, CI, CM, GA, GN, GQ, GW, ML, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, KG, KZ, MD, RU, TJ, TM  EP 1844768 A1 20071017 EP 2  R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, IS, IT, LI, LT, LU, LV, MC, NL, PL, JP 2	PATENT NO. KIND DATE APPLICAT  WO 2006077821 A1 20060727 WO 2006— W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, KG, KZ, MD, RU, TJ, TM  PP 2005—  EP 1844768 A1 20071017 EP 2006—  R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, JP 2005—	PATENT NO. KIND DATE APPLICATION :  WO 2006077821 A1 20060727 WO 2006-JP30  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, KG, KZ, MD, RU, TJ, TM  EP 1844768 A1 20071017 EP 2006-7117  R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, JP 2005-1118	PATENT NO.  KIND DATE  APPLICATION NO.  WO 2006077821  A1 20060727  WO 2006-JP300509  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, KG, KZ, MD, RU, TJ, TM  EP 1844768  A1 20071017  EP 2005-11187  EP 2005-11187	PATENT NO.  WO 2006077821  A1 20060727  WO 2006-JP300509  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, KG, KZ, MD, RU, TJ, TM  EP 1844768  A1 20071017  EP 2005-11187  EP 2005-11187  EP 1844768  A1 20071017  EP 2005-11187	PATENT NO.	PATENT NO. KIND DATE APPLICATION NO. DATE  WO 2006077821 A1 20060727 WO 2006-JP300509 20060  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW  RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, KG, KZ, MD, RU, TJ, TM  PJP 2005-11187 A 20050  EP 1844768 A1 20071017 EP 2006-711789 20060  R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  JP 2005-11187 A 20050

OS MARPAT 145:145724

AB Compds. represented by the following formula (I), prodrugs thereof, or pharmaceutically acceptable salts of either  $[A=Q1,\ Q2,\ Q3,\ Q4,\ Q5;\ R1,\ R2=H,\ each\ (un)substituted\ alkyl,\ alkenyl,\ alkynyl,\ cycloalkyl,\ aryl,\ or\ heteroaryl;\ or\ CR1R2\ together\ represents\ each\ (un)substituted\ cycloalkane$ 

or saturated heterocyclic ring; Z = N, (un)substituted CR3; W = N, CR4; Q = N, CR5; R3, R3a, R4, R5, R6, R7, R8, R9 = H, halo, each (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, NH2, alkoxy, alkanoyl, alkoxycarbonyl, CONH2, alkylthio, alkylsulfinyl, SO2NH2, or alkylsulfonyl, cyano, NO2, HO; R10 = (un)substituted alkyl; Y = O, S; X = O, NR11, CR12R13; R11 = H, each (un) substituted alkyl, alkanoyl, aroyl, alkoxycarbonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, COCO2R11a; R11a = H, (un) substituted alkyl; R12, R13 = H, each (un) substituted alkyl or cycloalkyl; or CR12R13 = (un) substituted cycloalkane ring] are prepared These compds. have a preventive or therapeutic effect on various diseases including hypertension, cerebral stroke, cardiac failure, arrhythmia, cardiac hypertrophy, arteriosclerosis, vascular restenosis, renal fibrosis, myocardial infarction, diabetes complications, kidney diseases, edema, primary aldosteronism, and inflammation. Thus, bromination 6-(hydroxymethyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one by NBS and Ph3P in DMF at  $20-25^{\circ}$  for 1.5 h followed by p-tolylsulfonylation with p-toluenesulfinic acid sodium salt in the presence of NaI at 70° for 2.5 h gave 26% 4,4-dimethyl-6-[[(4-methylphenyl)sulfonyl]methyl]-1,4-dihydro-2H-3,1benzoxazin-2-one which was treated with Lawesson reagent in toluene under refluxing for 3 h to give 4,4-dimethyl-6-[[(4methylphenyl)sulfonyl]methyl]-1,4-dihydro-2H-3,1-benzoxazine-2-thione The compound II in vitro inhibited the binding of [3H]aldosterone to rat aldosterone receptor with IC50 of 0.007  $\mu M$ .

899437-88-8P, N-(2,2,4-Trimethyl-1,2-dihydroquinolin-6-yl)-1-ΙT benzothiophene-2-sulfonamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (preparation of aromatic sulfone, sulfonamide, and sulfonate compds. as aldosterone receptor (mineralocorticoid receptor) (MR) modulators)

899437-88-8 CAPLUS Benzo[b]thiophene-2-sulfonamide, N-(1,2-dihydro-2,2,4-trimethyl-6-CN quinolinyl) - (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 7 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 42 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2006:707665 CAPLUS

DN 145:159843

ΤI Pharmaceutical composition comprising p25/cdk5 inhibitor for treating neurodegenerative disease

Chung, Sul-Hee; Ha, Ilho; Son, Mi-Young; Lee, Hye-Won ΙN

Inje University, S. Korea PA

SO PCT Int. Appl., 56 pp. CODEN: PIXXD2

DT Patent

RN

LA English FAN.CNT 1

	PAT	CENT :	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
ΡI	WO	2006	 0758	08		A1	_	2006	0720		WO 2	005-	 KR98			2	0050	 112	
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
			NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
			SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
			IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	
			CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	GM,	
			KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,	KG,	
			KZ,	MD,	RU,	ΤJ,	TM												
	KR	2007	0949	47		Α		2007	0927		KR 2	007-	7176	81		2	0070	731	
										,	WO 2	005-	KR98		•	W 2	0050	112	

AB A pharmaceutical composition for preventing or treating a neurodegenerative disease comprises a compound inhibiting a P25/CDK (cycline-dependent kinase 5) complex as an active ingredient. The pharmaceutical composition of formula (I) or (II) inhibits the phosphorylation of BACE1 ( $\beta$ -amyloid precursor protein (APP)-cleaving enzyme 1), inhibits an increase in  $\beta$ -secretase activity, and reduces the secretion of  $\beta$ -amyloid. The compound inhibiting the P25/CDK5 complex may be useful for preventing or treating a neurodegenerative disease such as Alzheimer's disease, Parkinson's disease, and Huntington's disease.

RN 691355-58-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-methyl- (CA INDEX NAME)

RN 694436-97-0 CAPLUS

CN Benzamide, 2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N-(cyclopropylmethyl)- (CA INDEX NAME)

RN 708988-53-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperidinyl)phenyl]- (CA INDEX NAME)

RN 883027-32-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxyphenyl)-3-methyl-(CA INDEX NAME)

RN 900514-15-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-1H-indol-6-yl-3-methyl- (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 43 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:649301 CAPLUS

DN 145:124553

TI Preparation of substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compounds, their preparation and use in medicaments

Merce-Vidal, Ramon; Codony Soler, Xavier; Dordal-Zueras, Alberto PΑ Esteve Laboratorios Dr. Esteve S. A., Spain SO Eur. Pat. Appl., 64 pp. CODEN: EPXXDW DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_ A1 20060705 EP 2004-380290 EP 1676841 20041230 PΙ R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU CA 2005-2592858 CA 2592858 A 1 20060706 20051229 A 20041230 EP 2004-380290 WO 2005-EP14192 W 20051229 WO 2006069809 20060706 WO 2005-EP14192 20051229 A1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM EP 2004-380290 A 20041230 20071226 EP 1869002 EP 2005-824427 20051229 Α1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR EP 2004-380290 A 20041230 WO 2005-EP14192 W 20051229 JP 2008526707 Τ 20080724 JP 2007-548772 20051229 EP 2004-380290 A 20041230 WO 2005-EP14192 W 20051229 MX 200707918 20070820 MX 2007-7918 20070627 A 20041230 W 20051229 EP 2004-380290 WO 2005-EP14192 CN 101133034 Α 20080227 CN 2005-80048825 20070829 A 20041230 W 20051229 EP 2004-380290 WO 2005-EP14192 CASREACT 145:124553; MARPAT 145:124553 OS Title compds. I [R2-5 independently = H, NO2, NH2, SH, OH, etc.; X-Y from AΒ left to right represents CR1=N and Z=N[(CH2)nR6], or CR7=N and Z=NH, or C[(CH2)nR9]=N and Z=NR10, or CH2CH2 and Z=N[(CH2)nR11]; n=0-4; R1= H, NO2, SH, OH, CN, etc.; R6, R9 and R11 independently = N heterocycle; R7 = heterocycle; R10 = (un)substituted alkyl], and their pharmaceuticallyacceptable salts, are prepared and disclosed as capable of binding to  $5-{
m HT6}$ receptors. Thus, e.g., II was prepared by reaction of 1-(2-dimethylaminoethyl)-1H-indazol-6-ylamine and naphthalene-2-sulfonyl chloride. Title compds. were evaluated for binding to 5-HT6 receptors, e.g., II demonstrated a Ki = 72.6 nM. Further disclosed are medicaments comprising said substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds. as well as the use of said substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds. for the preparation of medicaments, which are particularly suitable for the prophylaxis and/or

TN

treatment of disorders or diseases that are at least partially mediated via 5-HT6 receptors.

IT 896712-74-6P 896712-76-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds., their preparation and use in medicaments for diseases associated with 5-HT6 receptors)

RN 896712-74-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indazol-6-yl]-3-methyl- (CA INDEX NAME)

RN 896712-76-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indazol-5-yl]- (CA INDEX NAME)

# RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 44 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:464674 CAPLUS

DN 144:488511

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta\text{--lactamase}$ 

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 131 pp., Cont.-in-part of U.S. Ser. No. 411,484. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

11114	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡΙ	US 20060105999	A1	20060518	US 2005-535391 US 2002-302124 US 2003-411484 WO 2003-US36929	 20050518 20021122 20030408 20031119
	US 20040029836 US 6884791	A1 B2	20040212 20050426	US 2002-302124	20021122

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US 1999-142362P P 19990706
US 2000-610456 A2 20000705
US 2002-266213
                                                                               A2 20021008
                                                       US 2002-266213
      US 20040082546
                              A1
                                        20040429
                                                       US 2003-411484
                                                                                     20030408
      US 6921756
                                В2
                                        20050726
                                                       US 1999-142362P P 19990706
US 2000-610456 A2 20000705
US 2002-266213 A2 20021008
                                                       US 2002-302124
                                                                               A2 20021122
                                        20040610
      WO 2004048393
                                Α2
                                                       WO 2003-US36929
                                                                                     20031119
      WO 2004048393
                               A3 20040819
               AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
                GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
                LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
                PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
                TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
           RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
                ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
                TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
                                                       US 2002-302124 A1 20021122
US 2003-411484 A1 20030408
PATENT FAMILY INFORMATION:
FAN 2001:31512
       ---- NO. KIND DATE
                                       DATE APPLICATION NO.
      PATENT NO.
                               A1 20010111 WO 2000-US18344
      WO 2001002411
PΙ
           W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
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                MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
                SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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                CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                                              P 19990706
                                                      US 1999-142362P
      CA 2377762
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                                                      CA 2000-2377762
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      CA 2377762
                                С
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EP 2000-943381 20000705
      EP 1194436
                      A1 20020410
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO
                                                       US 1999-142362P
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WO 2000-US18344 W 20000705
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MX 2002-PA246 20020107
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      JP 2003503505
                                        20030128
      AU 770599
                                В2
                                        20040226
                                 Τ
      AT 311397
                                        20051215
      ES 2250150
                                Т3
                                        20060416
      MX 2002PA00246
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                                        20030820
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FAN	2004:120574 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040029836 US 6884791	A1 B2	20040212 20050426		20021122
	05 0004791	DZ	20030420	US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
	US 6472406	В1	20021029	US 2002-266213 US 2000-610456	A2 20021008 20000705
	05 64/2406	BI	20021029	US 1999-142362P	20000703 P 19990706
	US 20040059115	A1	20040325		
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	US 20040082546	A1	20040429		A1 20000705 20030408
		B2	20050726	00 2003 111101	20030100
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				US 2000-610456	A2 20000705
				US 2002-266213 US 2002-302124	A2 20021008
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				SD, SL, SZ, TZ, UG, AT, BE, BG, CH, CY,	
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		A1 B2	20050224 20070821	US 2004-884435	20040702
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				US 1999-142362P US 2000-610456	P 19990706 A1 20000705
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FAN	2004:353142 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040082546	A1	20040429	US 2003-411484	20030408

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US	S 20040059115	A1	20040325	US 2002-266213	20021008
	5 7030103		20060418		
				US 1999-142362P	P 19990706
				US 2000-610456	
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			20050426	05 2002 302121	20021122
	3 0001,31	22	20000120	US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
TAT C	2004048393	A2	20040610	WO 2003-US36929	20031119
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				JP, KE, KG, KP, KR,	
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				IT, LU, MC, NL, PT,	
				GA, GN, GQ, GW, ML,	
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				US 2003-411484	
ΑI	J 2003295638	A1	20040618	AU 2003-295638	20031119
	2 2000230000		20010010	US 2002-302124	A 20021122
				US 2003-411484	A 20030408
				WO 2003-US36929	W 20031119
U.S	5 20060105999	A1	20060518	US 2005-535391	
Ü.			_ : 0 0 0 0 1 0	US 2002-302124	
					A2 20030408
				WO 2003-US36929	W 20031119

OS MARPAT 144:488511

AΒ

The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] such as II [R1 = (un)substituted Ph or thien-2-yl; L =a bond, CH2O, CO, or C(:NOMe); R5 = halo, or OR10 (wherein R10 = (un) substituted Ph, pyridinyl, or quinolinyl); provided that when L =CH2O, R5 is not F or 4-NO2C6H4] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of III which showed IC50 of 622  $\mu\text{M}$  against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta\text{--lactamase}$  inhibitors and their antibacterial use)

RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

#### ● NH3

RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

### ● NH3

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ANSWER 45 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
L6
     2006:440564 CAPLUS
ΑN
     144:467908
DN
     N-benzyl sulfonamides and related derivatives as 11\beta-HSD1 inhibitors,
ΤI
     their preparation, pharmaceutical compositions, and use in therapy
ΙN
     Coulter, Thomas, Stephen; Steven, Taylor; Fryatt, Tara; Aicher, Babette;
     Schnieder, Martin
PA
     Evotec AG, Germany
     PCT Int. Appl., 105 pp.
SO
     CODEN: PIXXD2
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     Patent
    English
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FAN.CNT 1
     PATENT NO.
                        KIND
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                                                                   DATE
                         A1
PΙ
     WO 2006048330
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                                                                A 20041108
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     EP 1655283
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                                           EP 2005-806462
     EP 1814846
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                                                                A 20041108
                                            WO 2005-EP11933
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                                            EP 2004-26441
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                                                                    20041108
                                            WO 2005-EP11933
                                                                W
                                                                    20051108
OS
     CASREACT 144:467908; MARPAT 144:467908
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The invention relates to N-benzyl sulfonamide compds. of formula I [X, Z,

W, T = independently N, CH and derivs.; R1, R2 = independently H,

AΒ

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cyclo/alkyl, halo; or R1R2 = (:O); Y = NHSO2 and derivs., SO2NH and
     derivs.; NHSO2NH and derivs.; A = cyclo/alkyl, Ph, tetralinyl,
     heterocyclyl, etc.; V = O, S; or V = N-R15 and R15, R3 jointly form
     together with the atoms to which they are attached a heterocycle or
     heterobicycle; B = O, S, NH and derivs.; R3 = H, cyclo/alkyl, Ph,
     heterocyclyl, etc.; with provisos], and their pharmaceutically acceptable
     salts, prodrugs and metabolites, which are inhibitors of
     11\beta-hydroxysteroid dehydrogenase type 1 (11\beta-HSD1). The
     invention also relates to the preparation of I, pharmaceutical compns.
     comprising a compound I together with a pharmaceutically acceptable carrier,
     optionally comprising one or more addnl. therapeutic compds., as well as
     to the use of the compns. for the treatment of type 2 diabetes mellitus
     and associated conditions, such as metabolic syndrome, obesity, and lipid
     disorders. E.g., a 6-step synthesis starting from 3-cyanobenzoic acid was
     given for sulfonamide II. I typically express IC50 values below 50 \mu\text{M}
     in a cell-based assay with a human adipocyte cell line, endogenously
     expressing 11\beta-HSD1, while showing no activity against 11\beta-HSD2.
ΙT
     886732-45-2P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]amino]methyl]-N, N-diethylbenzamide 886732-46-3P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-
     cyclohexylbenzamide 886732-68-9P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N, N-diethylbenzamide
     886732-69-0P, Benzo[b]thiophene-2-sulfonic acid
     N-[3-[(4-methylpiperazin-1-yl)carbonyl]benzyl]amide 886732-70-3P
     , 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide
     886732-71-4P, 3-[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-
     (cyclohexylmethyl) benzamide 886733-21-7P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N,N-
     diethylbenzamide 886733-22-8P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     cyclohexylbenzamide 886733-23-9P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     (cyclohexylmethyl) benzamide 886733-24-0P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-(4-
     trifluoromethylbenzyl)benzamide 886733-27-3P,
     3-[[[(Benzo[b]thien-2-y1)sulfony1](methy1)amino]methy1]-N-(p-
     toly1)benzamide 886733-38-6P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-
     N, N-diethylbenzamide 886733-39-7P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     cyclohexylbenzamide 886733-40-0P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     (cyclohexylmethyl)benzamide 886733-41-1P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     (4-trifluoromethylbenzyl)benzamide 886733-80-8P,
     4-[[3-[[(Benzo[b]thien-2-
     yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzamide
     886733-82-0P, 4-[[3-[[(5-Chloro-3-methylbenzo[b]thien-2-
     y1)sulfony1](methy1)amino]methy1]benzoylamino]methy1]benzamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of N-benzyl sulfonamides as 11\beta-HSD1
        inhibitors)
RN
     886732-45-2 CAPLUS
     Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]amino]methyl]-N,N-diethyl- (CA INDEX NAME)
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RN 886732-46-3 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexyl- (CA INDEX NAME)

RN 886732-68-9 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 886732-69-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[[3-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (CA INDEX NAME)

RN 886732-70-3 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N-cyclohexyl-(CA INDEX NAME)

RN 886732-71-4 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)

RN 886733-21-7 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N,N-diethyl-(CA INDEX NAME)

RN 886733-22-8 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)

RN 886733-23-9 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)

RN 886733-24-0 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 886733-27-3 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(4-methylphenyl)- (CA INDEX NAME)

RN 886733-38-6 CAPLUS

CN Benzamide, 3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 886733-39-7 CAPLUS

CN Benzamide, 3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)

RN 886733-40-0 CAPLUS

CN Benzamide, 3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)

RN 886733-41-1 CAPLUS

CN Benzamide, 3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]-(CA INDEX NAME)

RN 886733-80-8 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)

RN 886733-82-0 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)

IT 886732-42-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid methyl ester 886732-43-0P, 3-[[((5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid methyl ester 886732-44-1P, 3-[1-[((5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid 886732-47-4P, 4-[[3-[[((5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoylamino]methyl]benzoic acid methyl ester 886732-67-8P, 3-[[(Benzo[b]thien-2-

yl)sulfonyl]amino]methyl]benzoic acid 886733-19-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]benzoic acid methyl ester 886733-20-6P, 3-[[[(Benzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoic acid 886733-25-1P, 4-[[3-[[(Benzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzoic acid methyl ester 886733-26-2P, 4-[[3-[[(Benzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzoic acid 886733-36-4P, 3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoic acid methyl ester 886733-37-5P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoic acid 886733-42-2P, 4-[[3-[1-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzoic acid methyl ester 886733-43-3P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzoic acid RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of N-benzyl sulfonamides as  $11\beta$ -HSD1 inhibitors) RN 886732-42-9 CAPLUS CN Benzoic acid, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-, methyl ester (CA INDEX NAME)

S S NH CH<sub>2</sub> C OMe

RN 886732-43-0 CAPLUS
CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-, methyl ester (CA INDEX NAME)

RN 886732-44-1 CAPLUS
CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} S & O \\ S & NH-CH_2 \\ \hline \\ C1 & Me \\ \end{array}$$

RN 886732-47-4 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)

RN 886732-67-8 CAPLUS

CN Benzoic acid, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]- (CA INDEX NAME)

RN 886733-19-3 CAPLUS

CN Benzoic acid, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-, methyl ester (CA INDEX NAME)

RN 886733-20-6 CAPLUS

CN Benzoic acid, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)

RN 886733-25-1 CAPLUS

CN Benzoic acid, 4-[[[3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)

RN 886733-26-2 CAPLUS

CN Benzoic acid, 4-[[[3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)

RN 886733-36-4 CAPLUS

CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-, methyl ester (CA INDEX NAME)

RN 886733-37-5 CAPLUS

CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)

RN 886733-42-2 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)

RN 886733-43-3 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)

#### RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:411661 CAPLUS

DN 144:432684

TI Bis-sulfonamide compounds as agonists of GalR1, their preparation, pharmaceutical compositions, and use in therapy

IN Mjalli, Adnan M. M.; Gaddam, Bapu; Rao, Mohan; Bondlela, Muralidhar;
 Gopalaswamy, Ramesh; Andrews, Robert C.; Davis, Stephen; Simila, Suvi;
 Ren, Tan

PA Transtech Pharma, Inc., USA

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. PΙ WO 2006047302 A1 20060504 WO 2005-US37932 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2004-620699P Ρ 20041021 US 2005-670752P Ρ 20050413 AU 2005299771 Α1 20060504 AU 2005-299771 20051020

US 2004-620699P

P 20041021

WO 2005-US37932 W 20051 CA 2580690 A1 20060504 CA 2005-2580690 20051 US 2004-620699P P 20041
IIS 2004-620699P P 20041
US 2005-670752P P 20050
WO 2005-US37932 W 20051
US 20060106089 A1 20060518 US 2005-255000 20051
US 2004-620699P P 20041
US 2005-670752P P 20050
EP 1809619 A1 20070725 EP 2005-816086 20051
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,
BA, HR, MK, YU
US 2004-620699P P 20041
US 2005-670752P P 20050
WO 2005-US37932 W 20051
CN 101068804 A 20071107 CN 2005-80036347 20051
US 2004-620699P P 20041 US 2005-670752P P 20050
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JP 2008517930 T 20080529 JP 2007-538071 20051
US 2004-620699P P 20041
US 2005-670752P P 20050
WO 2005-US37932 W 20051
IN 2007KN01639 A 20070817 IN 2007-KN1639 20070
US 2004-620699P P 20041
WO 2005-US37932 W 20051

OS MARPAT 144:432684

AB The invention relates to bis-sulfonamide compds. I (Ar2-SO2NH-Ar1-NHSO2-Ar3), which are agonists of galanin receptor type 1 (GalR1). In compds. I, Ar1 is (un)substituted arylene, (un)substituted heteroarylene, (un)substituted fused cycloalkylarylene, (un)substituted fused heterocyclylarylene, (un)substituted fused cycloalkylheteroarylene, or (un)substituted fused heterocyclylheteroarylene; and Ar2 and Ar3 are independently selected from (un)substituted aryl, (un)substituted heteroaryl, (un)substituted fused cycloalkylaryl, (un)substituted fused cycloalkylheteroaryl, (un)substituted fused heterocyclylaryl, and (un)substituted fused heterocyclylheteroaryl, where at least one of Ar2 and Ar3 contains an oxygen or sulfur atom vicinal or geminal to the point of attachment to the -NHSO2- group. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I

with

a pharmaceutically suitable carrier, excipient, diluent, or mixture thereof, optionally containing one or more addnl. therapeutic agents, as well as to the use of the compns. for the treatment of diseases responding to activation of GalR1, such as cancer. Sulfonamidation of benzene-1,2-diamine with benzenesulfonyl chloride II followed by sulfonamidation with benzenesulfonyl chloride III gave bis-sulfonamide IV. The compds. of the invention, e.g., IV, expressed EC50 values of less than or about 10  $\mu\rm M$  in a functional assay using Bowes melanoma cells and were determined to be GalR1 agonists.

IT 885052-13-1P, Benzo[b]thiophene-2-sulfonamide
N-[2-(((2-chloro-5-trifluoromethylbenzene)sulfonyl)amino)phenyl]
885052-17-5P, 3-[[2-[[(Benzo[b]thien-2-yl)sulfonyl]amino]phenyl]sulfamoyl]-4-methoxybenzoic acid methyl ester
885052-18-6P, 3-[[2-[[(Benzo[b]thien-2-yl)sulfonyl]amino]phenyl]sulfamoyl]-4-methoxybenzoic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of bis-sulfonamides as galanin receptor type 1
 agonists)
RN 885052-13-1 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[2-chloro-5 (trifluoromethyl)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-17-5 CAPLUS
CN Benzoic acid, 3-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-4-methoxy-, methyl ester (CA INDEX NAME)

RN 885052-18-6 CAPLUS
CN Benzoic acid, 3-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-4-methoxy- (CA INDEX NAME)

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ΙT
    885052-16-4P, Benzo[b]thiophene-2-sulfonamide
    N-[2-[[[2-methoxy-5-((propan-2-yl)sulfonyl)benzene]sulfonyl]amino]phenyl]
    885052-25-5P 885052-27-7P,
    Benzo[b]thiophene-2-sulfonamide N-[2-(((5-bromo-2-
    methoxybenzene)sulfonyl)amino)phenyl] 885052-32-4P,
    Benzo[b]thiophene-2-sulfonamide N-[2-(((4-
    chlorobenzene)sulfonyl)amino)phenyl] 885052-35-7P,
    Benzo[b]thiophene-2-sulfonamide N-[2-(((4-methoxy-2-
    nitrobenzene) sulfonyl) amino) phenyl] 885052-36-8P,
    Benzo[b]thiophene-2-sulfonamide N-[2-(((4-(methanesulfonyl)-2-
    methoxybenzene)sulfonyl)amino)phenyl] 885052-37-9P,
    Benzo[b]thiophene-2-sulfonamide N-[2-(((2-methoxy-5-
    methylbenzene)sulfonyl)amino)phenyl] 885052-38-0P,
    Benzo[b]thiophene-2-sulfonamide N-[2-(((2-methoxy-5-
    trifluoromethylbenzene)sulfonyl)amino)phenyl] 885052-41-5P,
    Benzo[b]thiophene-2-sulfonamide N-[2-[[[5-((2-
     (dimethylamino)ethane)sulfonyl)-2-methoxybenzene]sulfonyl]amino]phenyl]
    885052-42-6P, Benzo[b]thiophene-2-sulfonamide
    N-[2-[[[2-methoxy-5-(2-(2H-tetrazol-2-
    yl)ethanesulfonyl)benzene]sulfonyl]amino]phenyl] 885052-43-7P,
    Benzo[b]thiophene-2-sulfonamide N-[2-[[[2-methoxy-5-((2-(pyrrolidin-1-
    yl)ethane)sulfonyl)benzene]sulfonyl]amino]phenyl] 885052-44-8P,
    Benzo[b]thiophene-2-sulfonamide N-[2-[[[2-methoxy-5-((2-(4-methylpiperazin-
    1-yl)ethane)sulfonyl)benzene]sulfonyl]amino]phenyl] 885052-46-0P
     , Benzo[b]thiophene-2-sulfonamide N-[2-[[[5-(1,1-dichloro-2,2,2-
    trifluoroethyl)-2-methoxybenzene|sulfonyl|amino|phenyl|
    885052-51-7P, Benzo[b]thiophene-2-sulfonamide
    N-[2-(((4-(imidazol-1-yl))-2-methoxybenzene)sulfonyl)amino)phenyl]
    885052-52-8P, N-[2-[(Benzothiophene-2-
    sulfonyl)amino]phenyl]benzothiophene-2-sulfonamide 885052-62-0P,
    N, N'-(4-Fluoro-1, 2-phenylene) bis (benzothiophene-2-sulfonamide)
    885052-63-1P, N,N'-(4-Cyano-1,2-phenylene)bis(benzothiophene-2-
    sulfonamide) 885052-64-2P,
    N, N'-(4-Chloro-1, 2-phenylene) bis (benzothiophene-2-sulfonamide)
    885052-65-3P, N,N'-(4-Bromo-1,2-phenylene)bis(benzothiophene-2-
    sulfonamide) 885052-66-4P,
    N, N'-(4-Methoxy-1, 2-phenylene) bis (benzothiophene-2-sulfonamide)
    885052-68-6P, Benzo[b]thiophene-2-sulfonamide
    N-[2-(((5-cyano-2-methoxybenzene)sulfonyl)amino)phenyl]
    885052-70-0P, Benzo[b]thiophene-2-sulfonamide
    N-[2-[[[2-methoxy-5-(3-methyl-[1,2,4]oxadiazol-5-
    yl)benzene]sulfonyl]amino]phenyl] 885052-72-2P,
     2-[[2-[[Benzo[b]thien-2-yl]sulfonyl]amino]phenyl]sulfamoyl]-6,7-dihydro-
     4H-thieno[3,2-c]pyridine-5-carboxylic acid tert-butyl ester
     885052-73-3P, N,N'-(4,5-Dichloro-1,2-phenylene)bis(benzothiophene-
```

RN 885052-25-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[2-methoxy-5-[(trifluoromethyl)sulfonyl]phenyl]sulfonyl]amino]phenyl]-3-methyl- (CA INDEX NAME)

RN 885052-27-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(5-bromo-2-methoxyphenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-32-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(4-chlorophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-35-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(4-methoxy-2-nitrophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-36-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[2-methoxy-4-(methylsulfonyl)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-37-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(2-methoxy-5-methylphenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-38-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[2-methoxy-5- (trifluoromethyl)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-41-5 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-5-[[2-insert formula of the context formu

(dimethylamino)ethyl]sulfonyl]-2-methoxy- (CA INDEX NAME)

RN 885052-42-6 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-methoxy-5-[[2-(2H-tetrazol-2-yl)ethyl]sulfonyl]- (CA INDEX NAME)

PAGE 1-A

RN 885052-43-7 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-methoxy-5-[[2-(1-pyrrolidinyl)ethyl]sulfonyl]- (CA INDEX NAME)

PAGE 2-A

RN 885052-44-8 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-methoxy-5-[[2-(4-methyl-1-piperazinyl)ethyl]sulfonyl]- (CA INDEX NAME)

RN 885052-46-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[5-(1,1-dichloro-2,2,2-trifluoroethy1)-2-methoxypheny1]sulfony1]amino]pheny1]- (CA INDEX NAME)

RN 885052-51-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[4-(1H-imidazol-1-yl))-2-methoxyphenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-52-8 CAPLUS CN Benzo[b]thiophene-2-sulfonamide, N,N'-1,2-phenylenebis- (CA INDEX NAME)

RN 885052-62-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4-fluoro-1,2-phenylene)bis- (CA INDEX NAME)

RN 885052-63-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4-cyano-1,2-phenylene)bis- (CA INDEX NAME)

RN 885052-64-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4-chloro-1,2-phenylene)bis- (CA INDEX NAME)

RN 885052-65-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4-bromo-1,2-phenylene)bis- (9CI) (CA INDEX NAME)

RN 885052-66-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4-methoxy-1,2-phenylene)bis- (CA INDEX NAME)

RN 885052-68-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(5-cyano-2-methoxyphenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-70-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[2-methoxy-5-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-72-2 CAPLUS

CN Thieno[3,2-c]pyridine-5(4H)-carboxylic acid, 2-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-6,7-

#### dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 885052-73-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4,5-dichloro-1,2-phenylene)bis-(9CI) (CA INDEX NAME)

RN 885052-74-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-[4-(trifluoromethyl)-1,2-phenylene]bis- (CA INDEX NAME)

RN 885052-75-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4-chloro-5-fluoro-1,2-phenylene)bis-(9CI) (CA INDEX NAME)

RN 885052-76-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N,N'-(4,5-difluoro-1,2-phenylene)bis-(9CI) (CA INDEX NAME)

IT 885052-12-0P, Benzo[b]thiophene-2-sulfonamide N-(2-aminophenyl) 885052-40-4P, Benzo[b]thiophene-2-sulfonamide N-[2-(((5-(ethenesulfonyl)-2-methoxybenzene)sulfonyl)amino)phenyl]

RN 885052-40-4 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-5-(ethenylsulfonyl)-2-methoxy- (CA INDEX NAME)

RN 885052-49-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(2-methoxy-4-nitrophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-50-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[(4-amino-2-methoxyphenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

RN 885052-67-5 CAPLUS

CN Benzamide, 3-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-N-(1,1-dimethylethyl)-4-methoxy-(CA INDEX NAME)

RN 885052-69-7 CAPLUS

CN Benzamide, 3-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-N-[1-(hydroxyamino)ethylidene]-4-methoxy- (CA INDEX NAME)

## RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:365167 CAPLUS

DN 144:412383

TI Preparation of 3-phenyl-3-methylquinoline-2,4-diones as 5-HT6 serotonin receptor antagonists for the treatment of central nervous system disorders

IN Seong, Churlmin; Park, Nosang; Jung, Yungsik; Choi, Jinil; Park, Wookyu; Cho, Heeyung; Kong, Jaeyang; Jung, Daeyoung; Kang, Sunhee; Song, Sukjin; Kwark, Kyungran

PA S. Korea

SO U.S. Pat. Appl. Publ., 33 pp. CODEN: USXXCO

DТ Patent English LΑ

FAN.CNT 1

PAT	CENT	NO.			KIN	D	DATE			APPLICATION NO.						DATE		
US	S 20060084676				A1	_	20060420			US 2005-242665					20051004			
EP	2 1650190			A1 20060426				EP 2005-256424					20041020 20051017					
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		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	ΑL	٠,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,
		BA,	HR,	IS,	YU													
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KR	2006	0540	45		А		2006	0522	K	R	20	05-9	97491	1		2	0051	017
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									K	R	20	04-8	34081	1	Ž	A 2	0041	020
	US EP JP	US 2006 EP 1650 R:  JP 2006 KR 2006	EP 1650190 R: AT, IE, BA, JP 20061176	US 20060084676  EP 1650190 R: AT, BE, IE, SI, BA, HR,  JP 2006117667  KR 2006054045	US 20060084676  EP 1650190 R: AT, BE, CH, IE, SI, LT, BA, HR, IS,  JP 2006117667  KR 2006054045	US 20060084676 A1  EP 1650190 A1  R: AT, BE, CH, DE,	US 20060084676 A1  EP 1650190 A1  R: AT, BE, CH, DE, DK,	US 20060084676 A1 2006  EP 1650190 A1 2006  R: AT, BE, CH, DE, DK, ES,	US 20060084676 A1 20060420  EP 1650190 A1 20060426  R: AT, BE, CH, DE, DK, ES, FR,	US 20060084676 A1 20060420 U  EP 1650190 A1 20060426 E  R: AT, BE, CH, DE, DK, ES, FR, GB,	US 20060084676 A1 20060420 US KR EP 1650190 A1 20060426 EP R: AT, BE, CH, DE, DK, ES, FR, GB, GF IE, SI, LT, LV, FI, RO, MK, CY, AI BA, HR, IS, YU  KR JP 2006117667 A 20060511 JP KR KR 2006054045 A 20060522 KR KR 825040 B1 20080424	US 20060084676 A1 20060420 US 20 KR 20 KR 20 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, SI, LT, LV, FI, RO, MK, CY, AL, BA, HR, IS, YU  The state of	US 20060084676 A1 20060420 US 2005-2  EP 1650190 A1 20060426 EP 2005-2  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT,  IE, SI, LT, LV, FI, RO, MK, CY, AL, TR,  BA, HR, IS, YU   KR 2004-8  JP 2006117667 A 20060511 JP 2005-3  KR 2004-8  KR 2004-8  KR 2006054045 A 20060522 KR 2005-9  KR 825040 B1 20080424	US 20060084676 A1 20060420 US 2005-24266  KR 2004-84083  EP 1650190 A1 20060426 EP 2005-25643  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI,	US 20060084676 A1 20060420 US 2005-242665  KR 2004-84081  EP 1650190 A1 20060426 EP 2005-256424  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU,	US 20060084676 A1 20060420 US 2005-242665  KR 2004-84081  EP 1650190 A1 20060426 EP 2005-256424  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL,	US 20060084676 A1 20060420 US 2005-242665 22	US 20060084676 A1 20060420 US 2005-242665 20051

CASREACT 144:412383; MARPAT 144:412383 OS

AΒ The invention relates to 3-aryl-3-methylquinoline-2,4-diones I [wherein R1 - R4, X, Y = H, halo, NO2, etc.] were prepared as 5HT6 receptor antagonists. For instance, acylation of 2-amino-4,6-dichlorobenzoic acid Me ester (preparation given) with an acyl chloride, which was generated in situ from 2-phenylpropionic acid with thionyl chloride, led to an amide in 92% yield, which underwent LiHDMS-mediated intramol. cyclization to give quinolinedione II in 78% yield. This product showed 5-HT6 receptor binding affinity with IC50 of 0.089  $\mu M$ . Other biol. data were also given, indicating binding selectivity of I for 5-HT6 receptor over dopamine receptors and other serotonin receptor subtypes. Therefore, I and their pharmaceutical compns. are useful for the treatment of the central nervous system disorders.

ΙT 209481-20-9, SB-271046

> RL: PAC (Pharmacological activity); BIOL (Biological study) (reference; preparation of phenyl(methyl)quinolinediones as 5HT6 serotonin receptor antagonists for the treatment of central nervous system disorders)

RN 209481-20-9 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-CN piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 48 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

2006:333299 CAPLUS ΑN

DN 144:343645

ΤI Hydroxamic acid derivative histone deacetylase inhibitors, and their therapeutic use

Chakravarty, Prasun K.; Kuo, Howard; Matthews, Jay M.; Meinke, Peter T. ΙN

PAMerck & Co., Inc., USA

SO PCT Int. Appl., 46 pp. CODEN: PIXXD2

DT	Patent
LA	English
FAN	CNT 1

1 7111	PA:	TENT		KIND DATE			APPLICATION NO.						DATE					
ΡI						A2 20060216 A3 20060601			WO 2005-US24512						20050708			
		W:	CN, GE, LC, NG, SL,	CO, GH, LK, NI,	CR, GM, LR, NO, SY,	CU, HR, LS, NZ,	CZ, HU, LT, OM,	DE, ID, LU, PG,	DK, IL, LV, PH,	DM, IN, MA, PL,	DZ IS MD PT	Z, EC S, JP D, MG I, RO	, BR, , EE, , KE, , MK, , RU, , UG,	EG, KG, MN, SC,	ES, KM, MW, SD,	FI, KP, MX, SE,	GB, KR, MZ, SG,	GD, KZ, NA, SK,
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	EP	1789 R:	AT,				CY,		DE,	DK, NL,	EP EE PL US	2005 E, ES E, PT 2004	-7700 , FI, , RO, -5872	22 FR, SE, 33P	GB, SI,	2 GR, SK, P 2	0050 HU, TR 0040	708 IE, 712
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	JP	2008	5059	69		Т		2008	0228		JP US	2007 2004	-5215 -5872 -US24	30 33P		2 P 2	0050 0050 0040 0050	708 712
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$\circ$	1 C 7 T		1 1 1	2126	4 -													

OS MARPAT 144:343645

AB The invention discloses hydroxamic acid derivs. that are inhibitors of histone deacetylase. The compds. are useful for treating cellular proliferative diseases, including cancer. Further, the compds. are useful for treating neurodegenerative diseases, schizophrenia, and stroke, among other diseases. The compds. also have antiprotozoal properties. Compound preparation is included.

IT 881004-10-0P 881004-99-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

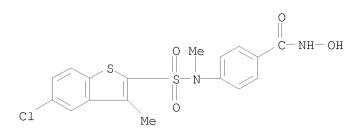
<sup>(</sup>hydroxamic acid derivative histone deacetylase inhibitors, and therapeutic use)

RN 881004-10-0 CAPLUS

CN Benzamide, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N-hydroxy- (CA INDEX NAME)

RN 881004-99-5 CAPLUS

CN Benzamide, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]-N-hydroxy- (CA INDEX NAME)



L6 ANSWER 49 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:301792 CAPLUS

DN 144:324862

TI Compositions and methods using  $5-{\rm HT}6$  receptor antagonists and  $5-{\rm HT}2{\rm A}$  receptor antagonists for treating cognitive disorders

IN Bonhaus, Douglas William; Martin, Renee Sharon

PA Roche Palo Alto LLC, USA

SO U.S. Pat. Appl. Publ., 25 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

FAN	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	US 20060069094	A1	20060330	US 2005-241316		20051114	
				US 2004-614705P	P	20040930	
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WO 2005-EP10251 W 20050922 WO 2005-EP10238 20050922
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RR	2005016749	A	20080923		2005-EP10238 2005-16749	W	20050922 20050922
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MX	200703545	A	20070518	MX	2007-3545		20070326
				US	2004-614705P	Ρ	20040930
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ИО	2007001616	A	20070425	NO	2007-1616		20070327
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KR	2007046205	A	20070502	KR	2007-707141		20070329
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				WO	2005-EP10238	W	20050922

OS MARPAT 144:324862

AB The invention discloses methods and pharmaceutical compns. comprising selective antagonists of the 5-HT6 receptor and 5-HT2A receptor which are useful for the treatment of cognitive disorders.

IT 209480-56-8 209481-20-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 and 5-HT2A receptor antagonists for treatment of cognitive disorders)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 50 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2006:222682 CAPLUS

DN 145:21510

ΤI A comparison of multiple 5-HT receptors in two tasks measuring impulsivity

Talpos, John C.; Wilkinson, Lawrence S.; Robbins, Trevor W. ΑU

CS Department of Experimental Psychology, University of Cambridge, Cambridge, CB2 3EB, UK

SO Journal of Psychopharmacology (London, United Kingdom) (2006), 20(1), 47 - 58CODEN: JOPSEQ; ISSN: 0269-8811

Sage Publications Ltd.

DT Journal

PB

LA English

Impulsivity has often been assumed to be a unitary construct. AB dissociable forms of impulsive behavior may exist, each with distinct neurochem. underpinnings. To test this hypothesis, behavioral effects of three partially selective serotonergic (5-HT) ligands, ketanserin (5-HT2A,C receptor antagonist), SER-082 (5-HT2C,B receptor antagonist) and SB-270146-A (5-HT6 receptor antagonist) were compared in two tests of impulsivity. The five-choice serial reaction time task (5-csrtt) and a delayed reward task were chosen as they measure theor. different types of impulsivity, behavioral inhibition vs. choice preference for a delayed reward. Dissociation was seen between the effects of ketanserin, which decreased impulsivity in the 5-csrtt, but had no effect on the delayed reward task, and SER-082, which had no effect on the 5-csrtt, but decreased impulsive responding in the delayed reward task. SB-270146-A had no effect in either paradigm. The results suggest that the 5-csrtt and the delayed reward task do in fact measure different types of impulsive behavior, which are at least partially neurochem. distinct. ΙT

209481-24-3, SB 271046-A

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(5-HT6 receptor antagonist SB-270146-A exhibit no effect on both impulsive responding in delayed reward task and 5-csrtt in rat)

209481-24-3 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-CN piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

# RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 51 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN ΑN 2006:209599 CAPLUS DN 144:274133 ΤI Preparation of substituted indole compounds and their use as 5-HT6 receptor modulators Merce Vidal, Ramon INLaboratorios Del Dr. Esteve, S.A., Spain PASO Eur. Pat. Appl., 46 pp. CODEN: EPXXDW DT Patent LA English FAN.CNT 1 Ρ

	PAT	ENT	NO.			KIN		DATE								D.	ATE		
ΡI	EP	1632 R:	AT,	BE,	CH,	DE,	DK,	ES,	0308 FR,	GB,	EP 2 GR,	004- IT,	LI,	5 LU,	NL,	SE,	MC,	PT,	
	CA	2577						RO, 2006		ŕ	CA 2 EP 2	005- 004-		925 5	,	2 A 2	0050 0040	830 <sup>°</sup> 830	HR
	WO	2006	0245	35		A1		2006	0309										
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	EP	1786 R:	804 AT,	BE,	BG,	A1 CH,	CY,	2007 CZ, LV,	DE,	DK, NL,	EP 2 EE, PL, EP 2	005- ES, PT, 004-	FI, RO, 2053	80 FR, SE,	GB, SI,	2 GR, SK, A 2	0050 HU, TR 0040	830 IE, 830	
	CN	1010	6880	9		А		2007	1107		CN 2	005-	EP94 8003 2053	6369		2	0050	830	

WO 2005-EP9459

W 20050830

JP	2008511575	T	20080417	JΡ	2007-528783		20050830
				ΕP	2004-20535	Α	20040830
				WO	2005-EP9459	W	20050830
MX	200702393	A	20070814	MX	2007-2393		20070227
				EP	2004-20535	Α	20040830
				WO	2005-EP9459	W	20050830
US	20070213326	A1	20070913	US	2007-679344		20070227
				ΕP	2004-20535	Α	20040830
				WO	2005-EP9459	Α1	20050830

OS MARPAT 144:274133

AB The indole derivs. (I) [wherein n = 0-4; R1 = H, (a) linear or branched, (un)saturated, or (un)substituted aliphatic radical, (b) (un)saturated, (un)substituted optionally at least one heteroatom as a ring member containing cyclo aliphatic radical (optionally containing at least one heteroatom in the ring or bonded via a linear or branched alkylene), (c) (un)substituted aryl or heteroaryl (optionally bonded via a linear or branched alkylene), (d) C(O)R8, (d) SO2R9; R2 = H, NO2, NH2, SH, OH, cyano, CO2H, OR10, SR11, CO2R12, halo, (a)-(c) in R1; R3 = (un)saturated, (un)substituted cyclo aliphatic

radical (optionally containing at least one heteroatom as a ring member or condensed with an optionally at least monosubstituted mono- or polycyclic ring system) (e), (un)substituted NH2; R4-R7 = H, NO2, NH2, SH, OH, cyano, CO2H, CHO, SO3H, CONH2, SO2NH2, COR8, S(O)2R9, OR10, SR11, CO2R12, N(R15)S(O)2R16, NHR17, NR18R19, C(O)NHR20, C(O)NR21R22, S(O)2NHR23, S(O)2NR24R25, O-COR26, NHCO-R27, NR28CO-R29, NHCO-OR30, NR31CO-OR32, S(0)20-R33, halo, (a)-(c) described in R1; R12, R17-R33 = (a)-(c) in R1; R9 = (e) in R3; R10, R11 = (a) or (c) described in R1; R15 = (a) described R1 S(0) 2R16 (R16 = (a) or (c) of R3, etc.)], their stereoisomers or their mixts., physiol. acceptable salts thereof, or corresponding solvates thereof are prepared These compds., e.g. (II), are 5-HT6 receptor modulators (no data). They are suitable for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT6 receptors, including irritable colon syndrome, disorders of the central nervous system, anxiety, panic attacks, depression, bipolar disorders, cognitive disorders, memory disorders, senile dementia, psychosis, or neurodegenerative disorders (preferably selected from the group consisting of Alzheimer's disease, Parkinson's disease, Huntington's disease, and multiple sclerosis), schizophrenia, or hyperactivity disorder (ADHD, attention deficit/hyperactivity disorder) or for the improvement of cognition (cognitive enhancement), preferably for the improvement of cognition (cognitive enhancement). They are also useful for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of a disorder or a disease related to food intake, preferably for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (non insulin dependent diabetes mellitus), more preferably for the prophylaxis and/or treatment of obesity.

IT 877875-56-4P, N-[3-(2-Dimethylamino-1-ethoxyethyl)indol-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-58-6P, N-[3-(2-Dimethylaminoethyl)indol-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-60-0P,

N-[3-(2-Diethylaminoethyl)indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-77-9P,

N-[3-(2-Dimethylaminoethyl)indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indole compds. and their use as 5-HT6 receptor

modulators)

RN 877875-56-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)-1-ethoxyethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)

RN 877875-58-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)

RN 877875-60-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)

RN 877875-77-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)

## RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 52 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:152784 CAPLUS

DN 144:212654

 ${\tt TI}$  Preparation of substituted indole compounds as 5-HT6 receptor modulators for use in medicaments

IN Merce Vidal, Ramon; Dordal Zueras, Alberto; Codony Soler, Xavier

PA Laboratorios Del Dr. Esteve, S.A., Spain

SO PCT Int. Appl., 230 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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EP 2004-21314 A 20040908

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CN 101044113	А	20070926	CN 2005-80034451		20050809
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			EP 2004-21314	A	20040908
			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
MX 200701541	A	20080304	MX 2007-1541		20070207
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			EP 2004-21314	A	20040908
			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
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			EP 2004-21314	A	20040908
			US 2004-935983	A1	20040908
			WO 2005-EP8754	A1	20050809

OS CASREACT 144:212654; MARPAT 144:212654

AΒ The present invention relates to substituted indoles (shown as I; variables defined below; e.g. 2-[5-[[(6-chloroimidazo[2,1-b]thiazol-5yl)sulfonyl]amino]-1H-indol-3-yl]-N, N-dimethyl-2-(oxo)acetamide (shown as II)), a process for their preparation, medicaments comprising substituted indole compds. as well as the use of substituted indole compds. for the preparation of medicaments, which are suitable e.g. for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT6 receptors. For I: n = 0-4; R1 = H, a linear or branched, (un)saturated, optionally at least monosubstituted aliphatic radical, a (un) saturated, optionally at least monosubstituted, optionally at least one heteroatom as a ring member containing cycloaliph. radical, which may be bonded via a linear or branched alkylene group, an optionally at least monosubstituted aryl or heteroaryl radical, which may be bonded via a linear or branched alkylene group, -S(0)2R9, or C(0)R10. For n = 0: R2 =-NO2, -NH2, -SH, -OH, -CN, halo, a linear or branched, (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a chain member containing aliphatic radical, et al.; for n = 1-4: R2 = -H, -NO2,

-NH2, -SH, -OH, -CN, halo, a linear or branched, (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a chain member containing aliphatic radical, et al.; R3 and R4, identical or different, =

 ${\rm H}$ , a linear or branched, (un)saturated aliphatic radical, an optionally at least

monosubstituted aryl or heteroaryl radical, which may be bonded via a linear or branched alkylene group, a (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a ring member containing cycloaliph. radical, which may be bonded via a linear or branched alkylene group and/or which may be condensed with an optionally at least monosubstituted mono- or polycyclic ring system, or R3 and R4 together with the bridging N form an optionally at least monosubstituted, saturated, unsatd. or aromatic heterocyclic ring that may contain at least one further heteroatom as a ring member and/or that may be condensed with an optionally at least monosubstituted mono- or polycyclic ring-system. R5, R6, R7 and R8, identical or different, = -H, -NO2, -CN, - N(R11)S(0)2R12, -OR13, -SR14, -C(0)OR15, -NR16R17, -C(0)R18, -(C:0)NR19R20, -O(C:0)R21,

-S(0)2R22, -S(0)2NR23R24, et al.; addnl. details including provisos are given in the claims. Methods of preparation are claimed and prepns. and/or characterization data for 34 examples of I are included. For example, II was prepared (13 %) from 2-(5-amino-1H-indol-3-yl)-N,N-dimethyl-2-(oxo)acetamide and 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride in DMF in the presence of iPr2EtN. Inhibition consts. (Ki) are tabulated for 5 examples of I to 5-HT6 receptors, e.g. 18.4 nM for II. ΙT 753021-00-0P, 2-[5-[[(5-Chloro-3-methylbenzo[b]thien-2v1)sulfonyl]amino]-1H-indol-3-v1]-N, N-dimethyl-2-(oxo)acetamide 875767-41-2P, 2-[5-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-diethyl-2-(oxo)acetamide 875767-47-8P, 2-[4-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide 875767-56-9P, 2-[5-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]-2-methyl-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide 875767-58-1P, 2-[6-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted indole-containing carboxamides

as

5-HT6 receptor modulators for use in medicaments)

RN 753021-00-0 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl-α-oxo- (CA INDEX NAME)

RN 875767-41-2 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-diethyl- $\alpha$ -oxo- (CA INDEX NAME)

RN 875767-47-8 CAPLUS

CN 1H-Indole-3-acetamide, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl- $\alpha$ -oxo- (CA INDEX NAME)

RN 875767-56-9 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N,2-trimethyl- $\alpha$ -oxo- (CA INDEX NAME)

RN 875767-58-1 CAPLUS

CN 1H-Indole-3-acetamide, 6-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl- $\alpha$ -oxo- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \parallel & \parallel \\ Me_2N-C-C \\ \hline \\ N \\ H \\ \end{array}$$
 
$$\begin{array}{c|c} O & S \\ \hline \\ NH-S \\ \hline \\ O \\ Me \\ \end{array}$$
 
$$\begin{array}{c|c} C1 \\ \end{array}$$

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AN 2006:123201 CAPLUS

DN 144:191976

TI Preparation of multicyclic sulfonamide compounds as inhibitors of histone deacetylase

IN Malecha, James William; Noble, Stewart Alwyn; Hassig, Christian Andreus;
Wash, Paul L.; Wiley, Brandon M.; Lawrence, Charles Maxwell; Hoffman,
Timothy Z.

PA USA

SO U.S. Pat. Appl. Publ., 94 pp., Cont.-in-part of U.S. Ser. No. 865,743. CODEN: USXXCO

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WO 2004110418 20050317 Α3 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2003-477721P 20030610 20050203 US 20050026907 Α1 US 2004-865743 20040610 US 7271195 В2 20070918 US 2003-477721P 20030610

OS MARPAT 144:191976

Title compds. represented by the formula I [wherein R1-R5 = independently AΒ H, alkyl, (hetero)aryl, etc.; T = O, S, amino; R6, R7 = independently H, alkyl, or R6R7 = (un)substituted cycloalkyl; Q = a bond, alkylene(amino),alkylenecarbonyl, etc.; R8 = H, cyano, pyrrolidinyl, etc.; and pharmaceutically acceptable salts, amides, esters or prodrugs thereof] were prepared as histone deacetylase (HDAC) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 4-aminoacetophenone with naphthalenesulfonyl chloride. I were tested for inhibition of histone deacetylase with IC50 values of less than 1  $\mu M$ . Methods and compns. are disclosed for treating disease states including, but not limited to cancers, autoimmune diseases, tissue damage, central nervous system disorders, neurodegenerative disorders, fibrosis, bone disorders, polyglutamine-repeat disorders, anemias, thalassemias, inflammatory conditions, cardiovascular conditions, and disorders in which angiogenesis play a role in pathogenesis, using the compds. of the invention.

IT 872371-93-2P 872372-01-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of multicyclic sulfonamide compds. as inhibitors of histone deacetylase for disease treatment)

RN 872371-93-2 CAPLUS

CN Ethanethioic acid, S-[2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)

RN 872372-01-5 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)

ANSWER 54 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN L6

ΑN 2006:101303 CAPLUS

DN 144:192279

ΤI Piperazine derivatives and their preparation, pharmaceutical compositions, and agonistic activity of growth hormone secretagogue (GHS) receptors for the treatment of gastrointestinal disorders

IN Gaiba, Alessandra; King, Nigel Paul; Takle, Andrew Kenneth; Witherington, Jason

PΑ Glaxo Group Limited, UK

SO PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DT Patent

English LA

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BR 2005013713	А	20080513	WO 2005-EP8263 BR 2005-13713 GB 2004-16844	W	20050726 20050726 20040728
			GB 2005-14029 WO 2005-EP8263	A W	20050708 20050726
IN 2007DN00370	A	20070803	IN 2007-DN370 GB 2004-16844	А	20070115 20040728
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			GB 2005-14029 WO 2005-EP8263	A W	20050708 20050726
US 20070259877 US 7381728	A1 B2	20071108 20080603	US 2007-572715		20070126
			GB 2004-16844 GB 2005-14029	A A	20040728 20050708
KR 2007041762	A	20070419	WO 2005-EP8263 KR 2007-704797	W	20050726
NN 2007041702	A	20070413	GB 2004-16844	W	20040728
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NO 2007001138	А	20070228	NO 2007-1138 GB 2004-16844	А	20070228 20040728
			GB 2005-14029 WO 2005-EP8263	A W	20050708 20050726

OS CASREACT 144:192279; MARPAT 144:192279

AΒ The invention provides compds. of formulas I and II or pharmaceutically acceptable salts thereof are as defined in the specification. Compds. for formulas I and II wherein Y is a single bond, CH2, CH2CH2, or CH=CH; R1 is (hetero)aryl, R2 is H, or C1-6alkyl; R3 is H or Me; R4 is C1-6 alkyl; R5 is H, C1-6alkyl, C3-6cycloalkyl, C0C1-6alkyl, C1-6alkoxy, halo, OH, CF3, OCF3, or CN; R6 is H, C1-6alkyl, C3-6cycloalkyl, COC1-6alkyl, C1-6alkoxy, C1-6alkoxy-C1-6alkyl, halo, OH, CF3, OCF3, or CN; or pharmaceutically acceptable salts thereof are claimed in this invention. The compds. are partial or full agonists at the growth hormone secretagogue (GHS) receptors, which may be useful for the treatment of gastrointestinal disorders. Pharmaceutical compns. comprising the compds., methods of preparing the compds., uses of the compds. and methods involving the compds. are also provided. Example compound III was prepared by amination of 2-bromo-4-nitroanisole with cis-2,6-dimethylpiperazine and the resulting [(methoxy)nitrophenyl]dimethylpiperazine underwent hydrogenation to give intermediate IV, which was sulfonylated with 5-(2-pyridiny1)-2-thiophenesulfonyl chloride to give example compound III.

Addnl. 316 example compds. were prepared in this invention. All the example compds. were evaluated for their selective agonistic activity at the GHS receptors. All 317 example compds. have an activity of <1  $\mu M$  in the GHS-R GTP $\gamma S$  functional assays. In the GHS-R agonist BACMAM FLIPR assay, all the example compds. have an EC50 value of <1  $\mu M$ .

IT 874955-90-5P 874955-94-9P 874956-03-3P 874956-28-2P 874956-43-1P 874956-55-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperazines and their agonistic activity of growth hormone secretagogue (GHS) receptors for the treatment of gastrointestinal disorders)

RN 874955-90-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-methoxyphenyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 874955-94-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-methoxyphenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 874956-03-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-2-methoxyphenyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 874956-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[5-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-2-methoxyphenyl]-5-fluoro-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 874956-43-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-2-methoxyphenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 874956-55-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 55 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:1351085 CAPLUS
- DN 144:88043
- TI Preparation of phenylcarboxylic acid derivatives as glucose-stimulated insulin secretors useful in the treatment of diabetes and related diseases
- IN Moinet, Gerard; Botton, Gerard; Kergoat, Micheline
- PA Merck Sante, Fr.

SO Fr. Demande, 222 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

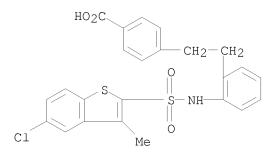
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## OS MARPAT 144:88043

AB Title compds. I [wherein B, E = independently CH2, O; R1 = H, (un) substituted alk(en/yn)yl, heterocyclyl, etc.; R2, R2' = independently H, NH2, OH, CO2H, Z, etc.; Z = (un) substituted alk(en/yn)yl, aryl, hetero/arylalkyl, cycloalkyl, etc.; R3 = H, Z (Z defined as above); R4 = COR5, SO2R5, CONHR5; R5 = Z (Z defined as above); D, A = independently a simple bond, (un) substituted alkyl; n, m = independently 1-3; and their tautomers, enantiomers, diastereomers, and their pharmaceutically acceptable salts; with the exception of certain compds.] were prepared as antidiabetic agents for treating diseases associated with insulin resistance syndrome. E.q., a 7-step synthesis starting from Me 2-methylbenzoate is given for phenylcarboxylic acid II. In an in vitro test, selected I, at 10-5M and 10-7 M, displayed a glucose-induced stimulation factor of insulin secretion of  $\geq$  130% at a dose of 2.8 mM or 8 mM glucose digested by the pancreatic exocrine tissue of rats. Thus, I and their compns. are used for treating hyperglycemia, diabetes, dyslipidemia, obesity, and microvascular and macrovascular complications arising from diabetes.

IT 872439-97-9P, 4-[2-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]amino]phenyl]ethyl]benzoic acid 872442-38-1P, 3-[2-[2-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]phenyl]ethyl]benzoic acid 872442-93-8P, 3-[2-[3-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]phenyl]ethyl]benzoic acid 872443-45-3P, 3-[2-[4-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]phenyl]ethyl]benzoic acid 872443-85-1P, 2-[2-[2-[(5-Chloro-3-methylbenzo[b]thien-2v1)sulfonyl]amino]phenyl]ethyl]benzoic acid 872444-39-8P, 2-[2-[3-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]phenyl]ethyl]benzoic acid 872444-92-3P, 2-[2-[4-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]phenyl]ethyl]benzoic acid 872445-48-2P, 2-[3-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]phenyl]propyl]benzoic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of phenylcarboxylic acid derivs. as antidiabetic agents) RN 872439-97-9 CAPLUS CN Benzoic acid, 4-[2-[2-[[(5-chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)



RN 872442-38-1 CAPLUS
CN Benzoic acid, 3-[2-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{HO}_2\text{C} & & \\ & & \\ & & \\ \text{C1} & & \\$$

RN 872442-93-8 CAPLUS
CN Benzoic acid, 3-[2-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)

RN 872443-45-3 CAPLUS

CN Benzoic acid, 3-[2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 872443-85-1 CAPLUS

CN Benzoic acid, 2-[2-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{CO}_2\text{H} \\ \hline \text{CH}_2\text{-CH}_2 \\ \hline \text{O} \\ \hline \text{S} \\ \hline \text{NH} \\ \hline \end{array}$$

RN 872444-39-8 CAPLUS

CN Benzoic acid, 2-[2-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 872444-92-3 CAPLUS

CN Benzoic acid, 2-[2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]ethyl]- (CA INDEX NAME)

RN 872445-48-2 CAPLUS

CN Benzoic acid, 2-[3-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]propyl]- (CA INDEX NAME)

## RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 56 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1350665 CAPLUS

DN 144:88049

TI Preparation of multi cyclic sulfonamide compounds as inhibitors of histone deacetylase

IN Malecha, James; Noble, Stewart; Hassig, Christian; Wash, Paul; Wiley, Brandon; Lawrence, Charles; Hoffman, Timothy

PA Kalypsys, Inc., USA

SO PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

FAN.	PATENT NO.				KIND DATE				APPL	ICAT	ION :	NO.		D	ATE			
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             SN, TD, TG
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CASREACT 144:88049; MARPAT 144:88049

OS

AB Title compds. represented by the formula I [wherein R1-R5 = independently H, alkyl, (hetero)aryl, etc.; T = O, S, amino; R6, R7 = independently H, alkyl, or R6R7 = (un)substituted cycloalkyl; Q = a bond, alkylene(amino),

alkylenecarbonyl, etc.; R8 = H, cyano, pyrrolidinyl, etc.; and pharmaceutically acceptable salts, amides, esters or prodrugs thereof] were prepared as histone deacetylase (HDAC) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 4-aminoacetophenone with naphthalenesulfonyl chloride. I were tested for inhibition of histone deacetylase with IC50 values of less than 1  $\mu M$ . Thus, I and their pharmaceutical compns. are useful as histone deacetylase inhibitors for the treatment of HDAC-related diseases, such as cancers (not in claim).

IT 872371-93-2P 872372-01-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of multi cyclic sulfonamide compds. as inhibitors of histone deacetylase)

RN 872371-93-2 CAPLUS

CN Ethanethioic acid, S-[2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)

RN 872372-01-5 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)

L6 ANSWER 57 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1148637 CAPLUS

DN 144:16915

 $ext{TI}$  5-HT6 receptor antagonists improve performance in an attentional set shifting task in rats

AU Hatcher, Paula D.; Brown, Verity J.; Tait, David S.; Bate, Simon; Overend, Philip; Hagan, Jim J.; Jones, Declan N. C.

CS Schizophrenia and Bipolar Disorders Research, Psychiatry CEDD, Essex, Harlow, CM19 5AW, UK

SO Psychopharmacology (Berlin, Germany) (2005), 181(2), 253-259 CODEN: PSCHDL; ISSN: 0033-3158

PB Springer GmbH

DT Journal

LA English

Rationale and Objective: Performance on the Wisconsin Card Sorting Test AΒ (WCST), which requires patients to shift attention between stimulus dimensions (sorting categories), is impaired in diseases such as schizophrenia. The rat attentional set shifting task is an analog of the WCST. Given that 5-HT6 receptor antagonists improve cognitive performance and influence cortical neurochem. in rats, the present study investigated the effects of 5-HT6 receptor antagonists upon attentional set shifting in rats. Methods: Rats were tested in this paradigm following sub-chronic SB-399885-T or SB-271046-A (both 10 mg kg-1 bid, p.o. for 8 days prior to testing and either 4 or 2 h prior to testing on day 9, resp.). Rats were trained to dig in baited bowls for a food reward and to discriminate based on odor or digging media (Habituation, day 8). In a single session (day 9), rats performed a series of discriminations, including reversals (REV), intradimensional (ID) and extra-dimensional (ED) shifts. Results: Neither compound altered performance during Habituation. On the test day, both SB-399885-T and SB-271046-A reduced the total trials to reach criterion and the total errors made when data were collapsed across all discriminations (P<0.05-0.01). Further, both compds. significantly reduced the trials to criterion for REV-1 (P<0.05-0.01) and abolished the ID/ED shift. SB-399885-T, but not SB-271046-A, reduced trials required to complete the ED shift (P<0.05) and the number of errors made during completion of the ID (P<0.05) and ED shifts (P<0.01). Conclusion: 5-HT6 receptor antagonists improved performance in the attentional set shifting task and may have therapeutic potential in the treatment of disorders where cognitive deficits are a feature, including schizophrenia.

IT 209481-24-3, SB-271046-A

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $(5-HT6\ receptor\ antagonists\ improve\ performance\ in\ an\ attentional\ set\ shifting\ task\ in\ rats)$ 

RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 58 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1024945 CAPLUS

DN 143:398885

TI Bicyclic heteroarylpiperazines as selective brain penetrant 5-HT6 receptor antagonists

AU Ahmed, Mahmood; Briggs, Michael A.; Bromidge, Steven M.; Buck, Tania; Campbell, Lorraine; Deeks, Nigel J.; Garner, Ashley; Gordon, Laurie; Hamprecht, Dieter W.; Holland, Vicky; Johnson, Christopher N.; Medhurst,

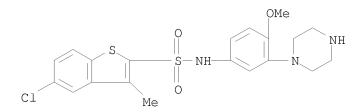
Andrew D.; Mitchell, Darren J.; Moss, Stephen F.; Powles, Jenifer; Seal, Jon T.; Stean, Tania O.; Stemp, Geoffrey; Thompson, Mervyn; Trail, Brenda; Upton, Neil; Winborn, Kim; Witty, David R.

- CS Neurology and GI Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, CM19 5AW, UK
- SO Bioorganic & Medicinal Chemistry Letters (2005), 15(21), 4867-4871 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier B.V.
- DT Journal
- LA English
- OS CASREACT 143:398885
- AB Starting from the potent and selective but poorly brain penetrant 5-HT6 receptor antagonist SB-271046, a successful strategy for improving brain penetration was adopted involving conformational constraint with concomitant reduction in hydrogen bond count. This provided a series of bicyclic heteroarylpiperazines with high 5-HT6 receptor affinity. 5-Chloroindole I combined high 5-HT6 receptor affinity with excellent brain penetration and also had good oral bioavailability in both rat and dog.
- IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(bicyclic heteroarylpiperazines as selective brain penetrant  $5-{\rm HT6}$  receptor antagonists)

- RN 209481-20-9 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



## RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 59 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:693723 CAPLUS
- DN 143:172647
- TI Preparation of sulfonamides and their use as acyl-CoA:diacylglycerol acyltransferase (DGAT) inhibitors
- IN Yoshida, Masao; Hayakawa, Ichio; Kanno, Yuichi; Furuhama, Takafumi; Tanimoto, Tatsuo; Karasawa, Hiroshi
- PA Sankyo Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 186 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡΙ	JP 2005206492	А	20050804	JP 2004-13099 JP 2004-13099	20040121 20040121

OS MARPAT 143:172647

AB Title inhibitors, useful for prophylactic and therapeutic treatment of obesity, hyperlipidemia, diabetes, arteriosclerosis, etc., contain A1R1CHR2NA2SO2A3 [I: A1 = (un)substituted C1-8 alkyl, (un)substituted phenyl-(C1-6 alkyl), (un)substituted phenoxy-(C1-6 alkyl), (un)substituted C3-8 cycloalkyl, (un)substituted naphthyl, etc.; A2 = (un)substituted di(C1-6 alkyl)amino-(C1-6 alkyl), similar groups as in A1; A3 = (un)substituted naphthylmethyl, similar groups as in A1; R1 = NHCO (substituted with C1-6 alkyl), CO; R2 = H, C1-6 alkyl] or their pharmacol. acceptable salts as active ingredients. Thus, p-phenetidine was bromoacetylated, aminated with 3-trifluoromethylaniline, and amidated with PhSO2Cl in microreactor containing 2-(3,5-dimethoxy-4-formylphenoxy)ethoxymethylated polystyrene using the encoding method to give I (A1 = 4-EtOPh, A2 = 3-CF3Ph, A3 = Ph, R1 = NHCO, R2 = H), which at 1 μg/mL inhibited ≥40% murine DGAT1.

IT 861245-60-5P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as acyl-CoA:diacylglycerol acyltransferase inhibitors for treatment of diseases)

RN 861245-60-5 CAPLUS

CN Acetamide, 2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][3-(trifluoromethyl)phenyl]amino]-N-(4-ethoxyphenyl)- (CA INDEX NAME)

L6 ANSWER 60 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:474939 CAPLUS

DN 143:1317

TI Method of treating mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists

IN Buntinx, Erik

PA Belg.

SO U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

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	US 20050203130	A1	20050915	US 2004-984683		20041109
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AB The present invention relates to methods of treating the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-..) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

The

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combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.

IT 209481-20-9, SB-271046

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (as neuroleptic agent, augmenting therapeutic effect of; treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists) 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

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ΑN 2005:474936 CAPLUS

DN 143:1315

ΤI Method of treating mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists

IN Buntinx, Erik

PΑ Belg.

SO U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 725,965. CODEN: USXXCO

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                                                            20041202
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
        BA, HR, IS, YU
                                     CA 2003-2451798
                                                         A 20031202
                                                         A 20031202
                                      EP 2003-447279
                                                         A 20031202
                                      US 2003-725965
                                                         A 20040105
                                      EP 2004-447001
                                                         A 20040106
                                     US 2004-752423
                                                         A 20040318
                                     CA 2004-2461248
                                      EP 2004-447066
                                                           20040318
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                                     US 2004-803793
                                                           20040318
                                                         Α
                                                         A 20041021
                                      EP 2004-25035
                                      JP 2004-349085
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                                                           20041104
                                      US 2004-984683
                                                        A 20041109
                                      CA 2004-2487529
                                                         A 20041115
                                     WO 2004-BE172
                                                         W 20041202
JP 2007513095
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                          20070524
                                      JP 2006-541759
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                                      CA 2003-2451798
                                                        A 20031202
                                      EP 2003-447279
                                                        A 20031202
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                                     CA 2004-2461248
                                                        A 20040318
                                     EP 2004-447066
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                                     US 2004-803793
                                                         A 20040318
                                     EP 2004-25035
                                                        A 20041021
                                     US 2004-984683
                                                        A 20041109
                                     WO 2004-BE172
                                                         W 20041202
US 20070078162
                  A1
                          20070405
                                     US 2006-580962
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                                     CA 2003-2451798
                                                       A 20031202
                                     EP 2003-447279
                                                         A 20031202
                                     US 2003-725965
                                                         A1 20031202
                                     EP 2004-447001
                                                         A 20040105
                                     US 2004-752423
                                                         A1 20040106
                                     CA 2004-2461248
                                                         A 20040318
                                                         A 20040318
                                     EP 2004-447066
                                                         A1 20040318
                                     US 2004-803793
                                     EP 2004-25035
                                                         A 20041021
                                                         A 20041104
                                      JP 2004-349085
                                      US 2004-984683
                                                         A1 20041109
                                      CA 2004-2487529
                                                         A 20041115
                                     WO 2004-BE172
                                                         W 20041202
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AB The present invention relates to methods of treating of the underlying dysregulation of the emotional functionality of mental disorders (i.e.

affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

The

RN

combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, an NK1 antagonist, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.

IT 209481-20-9, SB-271046

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (as neuroleptic agent, augmenting therapeutic effect of; treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists) 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 62 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:470334 CAPLUS

DN 143:125834

TI A Three-Dimensional Pharmacophore Model for 5-Hydroxytryptamine6 (5-HT6) Receptor Antagonists

AU Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de la Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo; Campillo, Mercedes

CS Departamento de Quimica Organica I, Facultad de Ciencias Quimicas, Universidad Complutense, Madrid, E-28040, Spain

SO Journal of Medicinal Chemistry (2005), 48(13), 4216-4219 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB Forty-five structurally diverse 5-hydroxytryptamine6 receptor (5-HT6R) antagonists were selected to develop a 3D pharmacophore model with the Catalyst software. The structural features for antagonism at this receptor are a pos. ionizable atom interacting with Asp3.32, a hydrogen bond acceptor group interacting with Ser5.43 and Asn6.55, a hydrophobic site interacting with residues in a hydrophobic pocket between transmembranes 3, 4, and 5, and an aromatic-ring hydrophobic site interacting

RN 239122-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 239122-29-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 389622-71-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(4-methyl-1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

389637-13-2 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-CN quinolinyl]- (CA INDEX NAME)

RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1Hindol-4-yl]-3-methyl- (CA INDEX NAME)

#### RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 63 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

2005:177913 CAPLUS ΑN

142:266775 DN

Drug containing chymase inhibitor as the active ingredient ΤI

Urata, Hidenori; Hase, Naoki; Tsuchiya, Naoki Teijin Pharma Limited, Japan ΙN

PΑ

SO PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

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FAN.CNT 1
                                                                 DATE
    WO 2005018672 A1 200
    PATENT NO.
                       KIND DATE
                                         APPLICATION NO.
                                           _____
                                                                  _____
                        A1 20050303 WO 2004-JP12335 20040820
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            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
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                         A1
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            IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
                                           JP 2003-298639
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JP 2003-298639 A 20030822

WO 2004-JP12335 W 20040820

US 2006-568711 20060217
    CN 1871029
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                               20061129
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    US 20070032466 A1
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                                                             A 20030822
                                           WO 2004-JP12335
                                                             W 20040820
OS
    MARPAT 142:266775
    Disclosed is an agent for improving abnormal glucose tolerance or a
AΒ
    preventive and/or a remedy for diseases caused by abnormal glucose
    tolerance containing a chymase inhibitor as the active ingredient. Examples
    of the diseases caused by abnormal glucose tolerance include diabetes
    and/or complications of diabetes. Examples of the complications of
    diabetes include diabetic nephropathy, diabetic retinopathy, diabetic
    peripheral neuropathy, hyperinsulinemia, insulin resistance syndrome,
    arteriosclerosis, acute coronary syndrome, arteriosclerosis obliterans,
    vasculitis, brain infarction, hypertension, renal insufficiency,
    neuropathy, nephritis, renal aneurysm, renal infarction, obesity and so
    on. Claimed chymase inhibitors include
    4-[1-[(3-indoly1)methy1]benzimidazol-2-ylthio]butanoic acid and
    2-[2-[5-amino-2-(4-fluorophenyl)-6-oxo-1,6-dihydropyrimidin-1-
    yl]acetamido]-3-phenylpropionylbenzoxazol-5-carboxylic acid Me ester.
    404963-99-1 404964-01-8 404964-02-9
ΙT
    404964-03-0 404964-12-1
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (chymase inhibitors for treatment of abnormal glucose tolerance-related
       disorders)
    404963-99-1 CAPLUS
RN
    4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-
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yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)

RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-03-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl-(CA INDEX NAME)

RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

# RE.CNT 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 64 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:136598 CAPLUS

DN 142:240323

TI Active substance combination comprising a compound with NPY receptor affinity and a compound with  $5-\mathrm{HT}6$  receptor affinity

IN Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich

PA Laboratorios del Esteve S. A., Spain

SO PCT Int. Appl., 427 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.		I ENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
ΡI	WO	2005	0140	 45		A1	_	2005	0217	,	WO 2	004-	 EP85	 14		2	0040	729
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		EE, ES, F SI, SK, T																
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MX	2006PA01230	A	20060515	MX	2006-PA1230		20060130
				ES	2003-1815	Α	20030730
				WO	2004-EP8514	W	20040729
US	20070009597	A1	20070111	US	2006-566402		20060705
				ES	2003-1815	Α	20030730
				WO	2004-EP8514	W	20040729

OS CASREACT 142:240323; MARPAT 142:240323

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.;

A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

15 and 3-H16 binding (data given for re 528858-69-7P 528858-94-8P 528859-09-8P 528859-12-3P 528859-48-5P 528859-75-8P 528859-84-9P 528859-90-7P 528859-93-0P 528860-08-4P 528860-23-3P 528860-26-6P 753020-71-2P 844477-59-4P 844477-72-1P 844486-22-2P 844486-25-5P 844831-84-1P

844831-97-6P 844832-03-7P 844832-06-0P

844832-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and  $5-{\rm HT}6$  receptor affinity)

RN 528858-69-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528858-94-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-12-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

### ● HCl

RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dibutylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (CA INDEX NAME)

RN 528860-23-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(diethylamino)propyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

Et<sub>2</sub>N- (CH<sub>2</sub>)<sub>3</sub> 
$$\begin{array}{c} O \\ NH \\ N \end{array}$$
  $\begin{array}{c} O \\ NH \\ O \end{array}$   $\begin{array}{c} O \\ Me \end{array}$ 

RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)

RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)

RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)

RN 844486-22-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)

RN 844486-25-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-7-yl]- (CA INDEX NAME)

RN 844831-84-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 844831-97-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 844832-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 844832-06-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)

# RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 65 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:136568 CAPLUS

DN 142:240322

TI Active substance combination comprising a compound with NPY receptor affinity and a compound with  $5-\mathrm{HT}6$  receptor affinity

IN Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich

PA Laboratorios del Esteve S. A., Spain

SO PCT Int. Appl., 451 pp.

CODEN: PIXXD2

DT Patent

LA English

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US 20070059364 A1 20070315 US 2006-566100 20061026
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WO 2004-EP8515 W 20040729

OS CASREACT 142:240322; MARPAT 142:240322

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated (hetero)cycloalkyl; R6-R9 = H, alkyl, (un)saturated (hetero)cycloalkyl, etc.; A = CHR18, CHR18CH2; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.]

with

neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61% III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

1T 528858-69-7P 528858-94-8P 528859-09-8P 528859-12-3P 528859-48-5P 528859-75-8P 528859-84-9P 528859-90-7P 528859-93-0P 528860-08-4P 528860-23-3P 528860-26-6P 753020-71-2P 844477-59-4P 844477-72-1P

844486-22-2P 844486-25-5P 844831-84-1P

844831-97-6P 844832-03-7P 844832-06-0P

844832-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and  $5-\mathrm{HT}6$  receptor affinity)

RN 528858-69-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528858-94-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-12-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

### ● HCl

RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

$$(\text{n-Pr})_{2}\text{N-CH}_{2}-\text{CH}_{2}$$

RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dibutylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (CA INDEX NAME)

RN 528860-23-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(diethylamino)propyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

Et<sub>2</sub>N-(CH<sub>2</sub>)<sub>3</sub>

$$NH-S$$

$$NH-S$$

$$Me$$

RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)

RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)

RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)

RN 844486-22-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)

RN 844486-25-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-7-yl]- (CA INDEX NAME)

RN 844831-84-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 844831-97-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 844832-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 844832-06-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)

# RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 66 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:136551 CAPLUS

DN 142:219149

 ${\tt TI}$  Preparation of indol-7-sulfonamide derivatives and their use as 5-HT6 modulators

IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto

PA Laboratorios del Esteve S. A., Spain

SO PCT Int. Appl., 86 pp. CODEN: PIXXD2

Patent

LA English

FAN.CNT 1

DT

	PATENT NO.				KIN:		DATE			API	PLI	CAT	ION :	NO.		Γ	ATE		
ΡI	WO	2005	 0139	 79		A1		2005	 0217		WO	20	004-	 EP85	 13		2	0040	729
		W:						ΑU,											
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	CA	2534	136			A1		2005	0217		CA	20	004-	2534	136		7	20040	729
											ES	20	03-	1808			A 2	0040 0030 0040	730
											WO	20	004-	EP85	13		W 2	0040	729
	EP	1648	444			A1		2006	0426		EΡ	20	004-	7413	20		2	0040	729
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	CIV	1032	133			A		2000	0913		E.C	20	103-	1202	2333		Z 2	0040	723 730
											WO	2.0	) 0 4 – <sup>.</sup>	EP85	1.3		W 2	20040	729
	BR	2004	0130	01		А		2006	0926		BR	20	004-	1300	1		2	20030 20040 20040 20030	729
											ES	20	003-	1808			A 2	0030	730
										WO	20	004-	EP85	13		W 2	0040	729	
	JP	2007	5001	67		Τ		2007	0111		JΡ	20	06-	5215	31		2	0040	729

				ES	2003-1808	Α	20030730
				WO	2004-EP8513	W	20040729
ΝZ	545298	A	20080630	NZ	2004-545298		20040729
				ES	2003-1808	Α	20030730
				WO	2004-EP8513	W	20040729
IN	2005DN06112	A	20080711	ΙN	2005-DN6112		20051228
				ES	2003-1808	Α	20030730
				WO	2004-EP8513	W	20040729
MX	2006PA01130	A	20060424	MX	2006-PA1130		20060127
				ES	2003-1808	Α	20030730
				WO	2004-EP8513	W	20040729
ИО	2006000506	A	20060131	ИО	2006-506		20060131
				ES	2003-1808	Α	20030730
				WO	2004-EP8513	W	20040729
US	20070185207	A1	20070809	US	2006-566403		20060811
US	7414070	B2	20080819				
				ES	2003-1808	Α	20030730
				WO	2004-EP8513	W	20040729

OS CASREACT 142:219149; MARPAT 142:219149

AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-6 independently = H, halo, NO2, alkoxy, etc.; R7 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n=0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of naphthalene-1-sulfonyl chloride with

7-amino-3-(2-dimethylaminoethyl)-1H-indole. I are disclosed as modulators for the 5HT6-receptor (no data).

IT 844486-22-2P 844486-25-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-7-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 844486-22-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)

RN 844486-25-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-7-yl]- (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 67 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:136550 CAPLUS

DN 142:219148

TI Preparation of indol-4-yl sulfonamide derivatives and their use as  $5-\mathrm{HT6}$  modulators

IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto

PA Laboratorios del Esteve S. A., Spain

SO PCT Int. Appl., 86 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	-	TENT	NO.			KIN	D	DATE			APPI	LICAT	ION :	NO.		D	ATE	
ΡI	WO	2005	 0139	 78		A1	_	2005	0217		 WO 2	2004-1	 EP85	 12		2	0040	729
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	, JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
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			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	, LU,	MC,	NL,	PL,	PT,	RO,	SE,
			SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	, GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,
		SI, SK, TR, SN, TD, TG			ΤG													
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	EP	EP 1648446			A1		2006	0426		EP 2	2004-	7636	11		2	0040	729	
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	IE, SI, LT			LT,	LV,	FI,	RO,	CY,	TR,	BG,	, CZ,	EE,	HU,	PL,	SK			
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CN	1829508	A	20060906	CN	2004-80022169		20040729
				ES	2003-1807	Α	20030730
				WO	2004-EP8512	W	20040729
BR	2004013068	A	20061017	BR	2004-13068		20040729
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JP	2007500166	T	20070111	JΡ	2006-521530		20040729
				ES	2003-1807	Α	20030730
				WO	2004-EP8512	W	20040729
NΖ	545300	A	20080530	NZ	2004-545300		20040729
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				WO	2004-EP8512	W	20040729
IN	2005DN06116	A	20080711	IN	2005-DN6116		20051228
				ES	2003-1807	Α	20030730
				WO	2004-EP8512	W	20040729
NO	2006000155	A	20060110	ИО	2006-155		20060110
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				WO	2004-EP8512	W	20040729
MX	2006PA01137	A	20060424	MX	2006-PA1137		20060127
				ES	2003-1807	Α	20030730
				WO	2004-EP8512	W	20040729
US	20070185158	A1	20070809	US	2007-566164		20070116
				ES	2003-1807	А	20030730
				WO	2004-EP8512	W	20040729

OS CASREACT 142:219148; MARPAT 142:219148

AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-3,5-7 independently = H, halo, NO2, alkoxy, etc.; R4 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n=0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 4-amino-3-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 46.6-104.3 at 10-6M concns. 753020-71-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of indol-4-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)

ΙT

#### RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 68 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

2005:136549 CAPLUS ΑN

DN 142:240310

Preparation of indol-5-yl sulfonamide derivatives and their use as 5-HT6 TImodulators

Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto IN

PALaboratorios del Esteve S. A., Spain

SO PCT Int. Appl., 123 pp. CODEN: PIXXD2

DT Patent

LA English

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WO 2004-: BR 2004013110 A 20061003 BR 2004-	EP8511 W 13110	20040729 20040729
ES 2003-		20030730
WO 2004-:	EP8511 W	20040729
JP 2007500165 T 20070111 JP 2006-	521529	20040729
ES 2003-	1805 A	20030730
WO 2004-	EP8511 W	20040729
MX 2006PA01159 A 20060424 MX 2006-	PA1159	20060127
ES 2003-	1805 A	20030730
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NO 2006000865 A 20060222 NO 2006-	865	20060222
ES 2003-	1805 A	20030730
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US 20070032520 A1 20070208 US 2006-	566094	20061003
ES 2003-	1805 A	20030730
WO 2004-	EP8511 W	20040729

OS CASREACT 142:240310; MARPAT 142:240310

AB Title compds. I [R1 = NR8R9 radical or (un)saturated-(un)substituted cycloaliph. radical optionally containing at least one heteroatom; R2-4,6-7 independently = H, NO2, alkoxy, CN, etc.; R5 = H or (un)saturated alkyl optionally at least monosubstituted; R8 or R9 independently = H or (un)saturated alkyl optionally at least monosubstituted with provisions; or R8 and R9 together with the bridging N atom form a (un)saturated-(un)substituted heterocyclic ring; A = (un)substituted mono or polycyclic aromatic ring; n = 0-4] and their pharmaceutically acceptable salts are prepared and disclosed as 5-HT6 modulators. Thus, e.g., II, was prepared via reaction of naphthalene-2-sulfonyl chloride with

5-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected data from 5-HT6 receptor binding studies revealed Ki values (nM) ranging from 1.89-112.4.

IT 844831-84-1P 844831-97-6P 844832-03-7P

844832-06-0P 844832-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-5-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 844831-84-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2 \\ \hline \\ \text{N} \\ \hline \\ \text{O} \\ \\ \text{Me} \end{array}$$

RN 844831-97-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 844832-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 844832-06-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 69 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:136548 CAPLUS
- DN 142:240309
- ${\tt TI}$  Preparation of indol-6-ylsulfonamide derivatives and their use as 5-HT6 modulators

Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zueras, Alberto ΙN

Laboratorios del Esteve S. A., Spain PA

PCT Int. Appl., 92 pp. SO

CODEN: PIXXD2

DT Patent LA English

FAN.		TENT	NO.			KIN	D	DATE			APP	LICAT	ION 1	NO.		D	ATE	
PI	WO	2005 W: RW:	AE, CN, GE, LK, NO, TJ, BW, AZ, EE, SI,	AG, CO, GH, LR, NZ, TM, GH, BY, ES,	AL, CR, GM, LS, OM, TN, GM, KG, FI,	CU, HR, LT, PG, TR, KE, KZ, FR,	AT, CZ, HU, LU, PH, TT, LS, MD, GB,	DE, ID, LV, PL, TZ, MW, RU, GR,	AZ, DK, IL, MA, PT, UA, MZ, TJ, HU,	BA, DM, IN, MD, RO, UG, NA, TM, IE,	BB DZ IS MG RU US SD AT	2004- , BG, , EC, , JP, , MK, , SC, , UZ, , SL, , BE, , LU,	BR, EE, KE, MN, SD, VC, SZ, BG, MC,	BW, EG, KG, MW, SE, VN, TZ, CH,	ES, KP, MX, SG, YU, UG, CY, PL,	BZ, FI, KR, MZ, SK, ZA, ZM, CZ, PT,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	CH, GD, LC, NI, SY, ZW AM, DK, SE,
		2222 2222				A1 B1		2005 2006			ES ES	2003- 2003-	1810 1810				0030 0030	
		2004262484						2005			ES	2004- 2003- 2004-	1810			A 2	0040 0030 0040	730
	CA	2533	970			A1		2005	0217		CA ES	2004- 2003- 2004-	2533 1810	970		A 2	0040 0030 0040	730
	EP	1660 R:	AT,						FR,	GB, TR,	GR BG	2004- , IT, , CZ, 2003-	LI, EE,	LU,	PL,	SE, SK A 2	0030	PT, 730
	CN	1832	738			А		2006	0913		CN ES	2004- 2004- 2003- 2004-	8002. 1810	2271	· ·	2 A 2	0040 0040 0030 0040	729 730
	BR	2004	0131	12		A		2006	1003		BR ES	2004- 2004- 2003- 2004-	1311. 1810	2		2 A 2	0040 0040 0030 0040	729 730
	JP	2007	5001	64		Т		2007	0111		JP ES	2004 - 2003 - 2004 -	5215. 1810	28		2 A 2	0040 0040 0030 0040	729 730
	ΝZ	5453	01			A		2008	0530		NZ ES	2004- 2003- 2004-	5453 1810	01	-	2 A 2	0040 0030 0040	729 730
	MX	2006	PA01	141		A		2006	0424		MX ES	2006-: 2003- 2004-:	PA11 1810	41		2 A 2	0060 0030 0040	127 730
	NO	2006	0006	82		A		2006	0210		NO ES	2004- 2006- 2003- 2004-	682 1810			2 A 2	0040 0060 0030 0040	210 730
	US	2007	0043	041		A1		2007	0222		US ES	2004- 2006- 2003- 2004-	5661 1810	01		2 A 2	0060 0030	810 730
ΩS	C7.0	SDEAC	т 1/1	2.24	0200	• 1/17\	די ער כו כו	1/10	• 240		WU	ZUU4-	COPL	ΤO		W 2	0040	129

CASREACT 142:240309; MARPAT 142:240309 OS

Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one AΒ

heteroatom; R2-5,7 independently = H, halo, NO2, alkoxy, etc.; R6 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n=0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 6-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 86.9-98.6 at 10-6M concns.

IT 844477-59-4P 844477-72-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-6-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)

RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 70 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:48564 CAPLUS

DN 142:211413

TI Discovery of 5-Arylsulfonamido-3- (pyrrolidin-2-ylmethyl)-1H-indole Derivatives as Potent, Selective 5-HT6 Receptor Agonists and Antagonists

AU Cole, Derek C.; Lennox, William J.; Lombardi, Sabrina; Ellingboe, John W.; Bernotas, Ronald C.; Tawa, Gregory J.; Mazandarani, Hossein; Smith, Deborah L.; Zhang, Guoming; Coupet, Joseph; Schechter, Lee E.

CS Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10965,

USA

SO Journal of Medicinal Chemistry (2005), 48(2), 353-356 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 142:211413

AB 5-Arylsulfonylamido-3-(pyrrolidin-2-ylmethyl)-1H-indoles have been identified as high-affinity 5-HT6 receptor ligands. Within this class, several of the (R)-enantiomers were potent agonists having EC50 values of 1 nM or less and functioning as full agonists while the (S)-enantiomers displayed moderate antagonist activity.

IT 840527-41-5P 840527-64-2P 840527-92-6P

840528-24-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Discovery of Arylsulfonamido(pyrrolidinylmethyl)indole Derivs. as Potent, Selective 5-HT6 Receptor Agonists and Antagonists)

RN 840527-41-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[[(2R)-1-methyl-2-pyrrolidinyl]methyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 840527-64-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[[(2S)-1-methyl-2-pyrrolidinyl]methyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 840527-92-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(2R)-2-pyrrolidinylmethyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 840528-24-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(2S)-2pyrrolidinylmethyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

## THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 21 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 71 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN L6

2004:995963 CAPLUS ΑN

141:410813 DN

- ΤI Preparation of N-(1H-indol-5-y1) sulfonamide derivatives with 5-HT6 receptor binding activity, their pharmaceutical compositions, and their use as medicaments for treatment of food ingestion disorders.
- IN Merce-Vidal, Ramon; Andaluz, Mataro Blas; Frigola Constansa, Jordi
- PΑ Laboratorios Del Esteve S.A., Spain
- SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
ΡI	WO	2004	0985	88		A1	_	2004	1118	1	==== WO 2	 004-:	==== EP48:	====: 82		2	0040	507
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BΖ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	ΝI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	ΤΤ,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
			SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
			SN,	TD,	ΤG													

ES 2003-1077 A 20030509

									2003-				A	20030	
	2219181 2219181			A1 B1		2004 2005		ES	2003-	1077				20030	1509
	2004237			A1		2003		AU	2004-	2374:	20			20040	507
									2003-				A	20030	
									2003-				A	20030	728
								WO	2004-	EP48	82	1	M	20040	507
CA	2524682			A1		2004	1118	CA	2004-	2524	682			20040	507
								ES	2003-	1077			A	20030	509
								ES	2003-	1782			A	20030	728
								WO	2004-	EP48	82	1	M	20040	1507
US	2005006	5202		A1		2005	0324		2004-		66			20040	
									2003-				A	20030	
								_	2003-				A	20030	
	1626715			A1		2006		EP	2004-	7315	75			20040	1507
EP	1626715		~	B1		2008							~-		
								GB, GI							PT,
	IE	, 51,	ъΙ,	ь∨,	FΙ,	RO,	CY,	TR, BO			HU,				EAA
									2003- 2003-				A A	20030	
									2003-		8.2		M M	20030	
BB	2004010	189		А		2006	N523		2004				VV	20040	
DIC	2004010	100		7.1		2000	0323		2003-				A	20030	
									2003-				A	20030	
									2004-		82		W	20040	
CN	1816334			А		2006	0809		2004-					20040	
								ES	2003-	1077			A	20030	509
								ES	2003-	1782			A	20030	728
								WO	2004-	EP48	82	1	W	20040	507
JP	2006525	972		T		2006	1116	JP	2006-	5053	97			20040	507
									2003-				A	20030	
								_	2003-	-			A	20030	
				_					2004-			1	M	20040	
ΑT	407671			T		2008	0915		2004-		75		_	20040	
									2003-				A	20030	
т ъ т	200EDM0	E100		70		2007	1100		2003-		2.2		A	20030	
ΤIΛ	2005DN0	5122		А		2007	1102		2005- 2003-		<i>L L</i>		7\	20051 20030	
									2003-		9.2		A. W	20030	
MY	2005PA1	2052		А		2006	N 7 3 1		2005-				VV	20051	
1.127	70001111	2002		11		_000	U , J I		2003		002		A	20031	
									2003				A	20030	
								_	2003		82		W	20040	
ИО	2005005	492		Α		2005	1121		2005-					20051	
								ES	2003-	1077			A	20030	1509
								ES	2003-	1782			A	20030	728
								WO	2004-	EP48	82	1	M	20040	507

MARPAT 141:410813

OS

AΒ

The invention relates to the use of N-(1H-indol-5-yl)-substituted sulfonamide derivs. I, including stereoisomers (especially enantiomers or diastereomers), racemates or other stereochem. mixts., and their physiol. acceptable salts and solvates, for the manufacture of medicaments for the prophylaxis and/or treatment of disorders of food ingestion [wherein: A = (un)substituted mono- or polycyclic (hetero)aromatic ring which may be bonded via an (un)substituted alk(en/yn)ylene; R1 = H, (un)substituted alkyl, Ph, or benzyl; n = 0-4; R2 = NR4R5, (un)saturated (un)substituted (hetero)cycloaliph. radical, which may be condensed with a similar ring; R3 = H, (un)substituted alkyl; R4, R5 = H, (un)substituted alkyl; or NR4R5 = (un)saturated, (un)substituted heterocyclyl which may be condensed with a

the preparation of I. The use of 53 specific example compds. is claimed. Specifically claimed uses include appetite regulation, body weight modulation, and the treatment of obesity, bulimia, anorexia, cachexia, and type II diabetes. Phys. data for the same compds. is provided, and 5 example prepns. are shown. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II. In a test for inhibition of binding of [3H]-LSD to recombinant human 5-HT6 receptors expressed in HEK-293 cell membranes, II had a Ki of 0.13 nM, and gave complete (103.0%) inhibition at 10-6 M. Thirteen other I had Ki values ranging from 0.28 nM to 24.3ΙT 528858-69-7P, N-[3-[2-(Diethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528858-94-8P, N-[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-09-8P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-12-3P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide hydrochloride 528859-48-5P , N-[3-[(4-Methylpiperazin-1-y1)methyl]-1H-indol-5-y1]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P, N-[3-[2-(Morpholin-4-y1)]-1H-indol-5-y1]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P, N-[3-[(Dimethylamino)methyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-90-7P, N-[3-[2-(Dipropylamino)ethyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-93-0P, N-[3-[2-(Dibutylamino)ethyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528860-08-4P, N-[3-(Octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528860-23-3P, N-[3-[3-(Diethylamino)propyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528860-26-6P, N-[3-[2-(Pyrrolidin-1-yl)]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; preparation of N-indolyl sulfonamide derivs. with 5-HT6 receptor binding activity for treatment of food ingestion disorders) RN 528858-69-7 CAPLUS Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-CN

similar ring]. Included in the disclosure are methods for and examples of

$$\mathsf{Et}_2\mathsf{N}^-\mathsf{CH}_2^-\mathsf{CH}_2$$
 
$$\mathsf{NH}^-\mathsf{S}$$
 
$$\mathsf{O}$$
 
$$\mathsf{Me}$$

indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528858-94-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-12-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

## ● HCl

RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dibutylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (CA INDEX NAME)

RN 528860-23-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(diethylamino)propyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

Et<sub>2</sub>N- (CH<sub>2</sub>)<sub>3</sub> 
$$\begin{array}{c} O \\ NH - S \\ O \\ Me \end{array}$$
 CI

RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

## RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 72 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:902361 CAPLUS

DN 141:395802

TI Preparation of substituted phenylalkanoic acids, including amino acid derivatives

IN Van Zandt, Michael C.; Fang, Haiquan; Hu, Shaojing; Whitehouse, Darren

PA The Institutes for Pharmaceutical Discovery, LLC, USA

SO PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.	_	1 [ENT ]	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		Di	ATE	
ΡΙ	WO	2004	0921	 46		A2	_	 2004	 1028	,	 WO 2	004-	 US11	650		2	0040	414
	WO	2004	0921	46		А3		2004	1229									
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,

	RW:	TJ, BW, BY, ES,	TM, GH, KG, FI, TR,	TN, GM, KZ, FR,	TR, KE, MD, GB,	TT, LS, RU, GR,	TZ, MW, TJ, HU,	UA, MZ, TM, IE,	UG, SD, AT, IT,	US SL BE LU	, SC, , UZ, , SZ, , BG, , MC, , GN,	VC, TZ, CH, NL,	VN, UG, CY, PL,	YU, ZM, CZ, PT,	ZA, ZW, DE, RO,	ZM, AM, DK, SE,	ZW AZ, EE, SI,	
AU 2	2004:	2311	06		A1		2004	1028		AU :	2003- 2004- 2003- 2004-	2311 4631	06 02P	]	2 2 2	0030 0040 0030 0040	414 414	
CA 2	2522	080			A1		2004	1028		CA : US :	2004- 2004- 2003- 2004-	2522 4631	080 02P	]	2 2	0040 0040 0030 0040	414 414	
US 2	2004	0248	937		A1		2004	1209		US :	2004- 2003-	8240	57		2	0040 0030	414	
	1633: 1633:	354			A2 B1		2008			EP :	2004-	7501	70		2	0040	414	
	R:								CY,	AL US :	, IT, , TR, 2003-	вG, 4631	CZ, 02P	EE,	HU, ⊇ 2	PL, 0030	SK, 414	HR
BR 2	2004	0094	47		A		2006	0418		BR :	2004- 2004- 2003-	9447	02P	]	2 2 2	0040 0030	414 414	
CN 3	1794	989			A		2006	0628	1	CN :	2004- 2004-	8001	4576		2	0040	414	
JP 2	2006	5242	48		T		2006	1026		JP :	2003- 2006- 2003-	5100	73		2	0030 0040 0030	414	
AT (	3845	26			T		2008	0215		AT :	2004- 2004-	7501	70	·	2	0040	414	
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OS MARPAT 141:395802

AΒ

The invention relates to compds. I [n is 0-3; R1 is H, alkyl, phenylalkyl or alkenyl; R2 is Ph, phenylalkyl, alkyl, carbamoylalkyl, alkylsulfonylalkyl, heterocycloalkyl, etc.; R3 is H or CO2R1; R20-R23 are independently H, arylalkoxy, arylalkyl, halo, alkyl, OH, alkoxy, NO2, NH2, alkylamino, etc.; L is SO2NH, sulfonyl(alkylimino), NHSO2, O, CONH, carbonyl(alkylimino), SO2, carbonylalkylene, alkylenecarbonyl, NH or alkylimino (the alkyl group are optionally substituted with Ph or substituted phenyl); L2 is a bond, CONR9, NR9CO, alkylene-CONR9, NR9, etc. (R9 is H or alkyl optionally substituted with CO2H, arylsulfonyl or arylalkyl); ring A is (un)substituted Ph, naphthyl, thiazolyl, pyrazolyl, furanyl, dihydropyrazolyl, benzofuranyl, dibenzofuranyl, pyrimidyl, pyridyl, quinolinyl, naphthyl, quinazolinyl, benzo[b]thiophene, imidazolyl, isothiazolyl, pyrrolyl, oxazolyl or triazolyl; Q is H, aryl, arylcarbonylaryl, alkyl, halo, etc.; L3 is a bond, alkyleneoxy, oxyalkylene, alkylene, alkenylene or CO; Z is absent, H, aroylamino, (un) substituted Ph or cycloalkylcycloalkanoyl(alkyl)amino] and their pharmaceutically-acceptable salts, which are useful in the treatment of metabolic disorders related to insulin resistance or hyperglycemia. These compds. include inhibitors of protein tyrosine phosphatase (PTP-1B) that are useful in the treatment of diabetes and other PTP-1B mediated diseases such as cancer and neurodegenerative diseases. Thus,  $2-[4-[4-(4-{\rm chlorophenyl})-5-(4-{\rm ethylphenyl}){\rm thiazol-2-}$  ylcarbamoyl]benzenesulfonylamino]-3-phenylpropionic acid was prepared by cyclocondensation of  $4-{\rm ClC6H4COCH2C6H4Et-4}$  (preparation given) with thiourea, acylation with  $4-{\rm ClSO2C6H4CO2H}$ , and coupling with phenylalanine tert-Bu ester hydrochloride. The product was shown to increase the glucose infusion rate in rats at 30 mg/kg.

IT 782484-11-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted phenylalkanoic acids, including amino acid derivs., for treatment of diabetes)

RN 782484-11-1 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[3-[(4-butylphenyl)amino]-4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenoxy]- (CA INDEX NAME)

L6 ANSWER 73 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:725572 CAPLUS

DN 142:211383

TI Medicinal Chemistry Driven Approaches Toward Novel and Selective Serotonin 5-HT6 Receptor Ligands

AU Holenz, Joerg; Merce, Ramon; Diaz, Jose Luis; Guitart, Xavier; Codony, Xavier; Dordal, Alberto; Romero, Gonzalo; Torrens, Antoni; Mas, Josep; Andaluz, Blas; Hernandez, Susana; Monroy, Xavier; Sanchez, Elisabeth; Hernandez, Enrique; Perez, Raquel; Cubi, Roger; Sanfeliu, Olga; Buschmann, Helmut.

CS Departments of Medicinal Chemistry, Discovery Biology and Discovery Chemistry, Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain

SO Journal of Medicinal Chemistry (2005), 48(6), 1781-1795 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 142:211383

AB Based on a medicinal chemical guided hypothetical pharmacophore model, novel series of indolyl sulfonamides have been designed and prepared as selective and high-affinity serotonin 5-HT6 receptor ligands. Furthermore, based on a screening approach of a discovery library, a series of benzoxazinepiperidinyl sulfonamides were identified as selective 5-HT6 ligands. Many of the compds. described in this paper possess excellent affinities, displaying pKi values greater than 8 (some even >9) and high selectivities against a wide range (>50) of other CNS relevant receptors.

First, structure-affinity relationships of these ligands are discussed. In terms of functionality, high-affinity antagonists, as well as agonists and even partial agonists, were prepared Compds. 19c and 19g represent the highest-affinity 5-HT6 agonists ever reported in the literature. These valuable tool compds. should allow for the detailed study of the role of the 5-HT6 receptor in relevant animal models of disorders such as cognition deficits, depression, anxiety, or obesity.

528858-69-7P 528858-94-8P, N-[3-(2-Dimethylaminoethyl)-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-09-8P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P, N-[3-[2-(Morpholin-4-yl)ethyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P 528859-90-7P 528860-26-6P 753020-71-2P

753020-89-2P 753020-93-8P 753021-00-0P 844477-72-1P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(medicinal chemical driven approaches toward novel and selective serotonin 5-HT6 receptor ligands)

RN 528858-69-7 CAPLUS

ΙT

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-CN indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528858-94-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1Hindol-5-yl]-3-methyl- (CA INDEX NAME)

528859-09-8 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-CN piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)

RN 753020-89-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)

RN 753020-93-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)

RN 753021-00-0 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl- $\alpha$ -oxo- (CA INDEX NAME)

844477-72-1 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)

## THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 68 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 74 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2004:718289 CAPLUS

141:243332 DN

Preparation of sulfonamide derivatives, in particular ΤI N, N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR agonists

ΙN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones, Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh, Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong; Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu, Guoxin

PΑ Eli Lilly and Company, USA

PCT Int. Appl., 435 pp.

CODEN: PIXXD2

Patent DT

LA English

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											2003-				Р	2003	
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									1	US	2003-	4483	07P		Р	2003	0214
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OS MARPAT 141:243332

Title compds. I [wherein A = II, III; D = (CH2)o; B = R1b-[C]q-R1a; E = O, AB S, NH and derivs.; W = -Y - (CR4R5) - Q, H, cyclo/halo/alkyl, acyl; Q = CO2Hand derivs.; CO2NH2, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = (un) substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R1, R2 = independently H, wherein when Z = Ph or naphthyl and R2 = H, R1 is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R1 and R2 form a 5- to 8-membered heterocycle; R1a, R1b = independently H, alkyl, or R1 and R1a, Rland Rlb, R2 and Rlb, or Rla and Rlb form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R1a and or R1b is not H; R2a = H, halo, (un) substituted alkyl and wherein R2 and R2a together being a 3- to 8-membered ringR3 = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl) heterocyclyl, etc.; R4, R5 = independently H, halo, alkyl, alkoxy, aryloxy, NH2 and derivs., SH and derivs., or R4CR5 = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.

A multistep synthesis is given for sulfonamide IV. I displayed IC50 and EC50 in the range of about 1 nM to about 5  $\mu\text{M}$  for binding to PPAR alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 752133-50-9P 752137-73-8P,

2-[5-[3-[(5-Fluoro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses) (PPAR agonist; preparation of sulfonamides, in particular

N, N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752133-50-9 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-

RN 752137-73-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ -methyl- (CA INDEX NAME)

ΙT 752131-91-2P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-94-5P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]phenethylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-96-7P, 4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid 752131-97-8P, 3-[4-[2-[(5-Chloro-3-methylbenzo[b]thien-2-]]yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid 752131-98-9P, 2-[[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic acid 752131-99-0P, [5-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid 752132-00-6P 752132-03-9P, 3-[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-04-0P, 3-[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid 752133-45-2P, [4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]acetic acid 752133-46-3P, 4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-(methyl)phenoxyacetic acid 752133-52-1P, 4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-(methyl)phenoxyacetic acid 752136-19-9P, 2-[3-[3-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 752136-21-3P, 2-[4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid sodium salt 752136-24-6P, 2-[4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 2-(morpholin-4-yl)ethyl ester hydrochloride 752136-44-0P

752136-69-9P 752136-91-7P 752136-99-5P 752137-11-4P 752137-12-5P 752137-14-7P 752137-15-8P 752137-16-9P 752137-18-1P 752137-19-2P 752137-20-5P 752137-21-6P 752137-23-8P 752137-24-9P 752137-25-0P 752137-27-2P 752137-28-3P 752137-29-4P 752137-30-7P 752137-31-8P 752137-32-9P 752137-33-0P 752137-34-1P 752137-36-3P 752137-37-4P 752137-50-1P, 3-[4-[2-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-51-2P , 3-[4-[2-[(5-Fluoro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-81-8P , 2-[5-[3-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid 752137-82-9P, 2-[5-[3-[[(3-Methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid 752137-83-0P, 2-[5-[3-[[(5-Fluoro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid 752137-89-6P, 2-[5-[3-[[(Benzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid 752137-90-9P, 2-[5-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists) 752131-91-2 CAPLUS

Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

$$S = N - CH_2 - CH_2 - S$$
 $S = N - CH_2 - CH_2 - S$ 
 $O = CH_2 - CO_2H$ 
 $O = CH_2 - CO_2H$ 

RN 752131-94-5 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752131-96-7 CAPLUS

CN Acetic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-

RN

CN

phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)

RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)

RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 752131-99-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)

RN 752132-00-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)

RN 752132-03-9 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

RN 752132-04-0 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

RN 752133-45-2 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

RN 752133-46-3 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)

RN 752133-52-1 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]- (CA INDEX NAME)

RN 752136-19-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752136-21-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, sodium salt (1:1) (CA INDEX NAME)

Na

RN 752136-24-6 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, 2-(4-morpholinyl)ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A

● HCl

PAGE 1-B

RN 752136-44-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752136-69-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[4-[3-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

RN 752136-91-7 CAPLUS

CN Propanoic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752136-99-5 CAPLUS

CN Benzenepropanoic acid, 4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & O & \text{Pr-n} \\ & & & \\ & & \\ S & N - \text{ (CH2)} \text{ 3} \end{array}$$

RN 752137-11-4 CAPLUS

CN Benzenepropanoic acid, 4-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]- (CA INDEX NAME)

RN 752137-12-5 CAPLUS

CN Benzenepropanoic acid, 4-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

RN 752137-14-7 CAPLUS

CN Propanoic acid, 2-[4-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-15-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-16-9 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-18-1 CAPLUS

CN Propanoic acid, 2-[3-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-19-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[3-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

RN 752137-20-5 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} S & O & Pr-n \\ & & \\ S-N- & (CH_2)_3 \end{array} \qquad \begin{array}{c} Me \\ O-C-CO_2H \\ Me \end{array}$$

RN 752137-21-6 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-23-8 CAPLUS

CN Propanoic acid, 2-[3-[2-[(benzo[b]thien-2-ylsulfonyl)propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-24-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[2-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]- (CA INDEX NAME)

RN 752137-25-0 CAPLUS

CN Propanoic acid, 2-[3-[2-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-27-2 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-chloro-3-methyl-1-oxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-28-3 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-chloro-3-methyl-1,1-dioxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-29-4 CAPLUS

CN Propanoic acid, 2-[3-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-30-7 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-31-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-32-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-33-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-34-1 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl](2-methoxyethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-36-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-37-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-50-1 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]- (CA INDEX NAME)

RN 752137-51-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{CH}_2-\text{CH}_2-\text{CO}_2\text{H} \\ & \text{S}-\text{N}-\text{CH}_2-\text{CH}_2 \\ & \text{O} \end{array}$$

RN 752137-81-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ -methyl- (CA INDEX NAME)

RN 752137-82-9 CAPLUS

CN 1H-Indole-1-acetic acid,  $\alpha$ -methyl-5-[3-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

RN 752137-83-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ ,  $\alpha$ -dimethyl- (CA INDEX NAME)

RN 752137-89-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]-\alpha,\alpha-dimethyl- (CA INDEX NAME)

RN 752137-90-9 CAPLUS

CN 1H-Indole-1-acetic acid,  $5-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-<math>\alpha$ ,  $\alpha$ -dimethyl- (CA INDEX NAME)

ΙT 752131-92-3P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(2-bromoethyl)-N-(3-phenylpropyl) amide 752132-01-7P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-benzyl-N-(2-bromoethyl) amide 752132-02-8P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-benzyl-N-(2-hydroxyethyl)amide 752132-14-2P, Ethyl 2-[4-[[1-[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](4-interval)]methoxybenzyl)amino]methyl]propyl]sulfanyl]-2-(methyl)phenoxy]acetate 752133-51-0P, Ethyl 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2y1)sulfony1](methy1)amino]propy1]-2-(methy1)phenoxy]acetate 752133-53-2P, Ethyl 2-[4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]propyl]-2-(methyl)phenoxy]acetate 752136-22-4P , 2-[4-[3-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid ethyl ester 752136-23-5P, 2-[4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of sulfonamides, in particular

N, N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752131-92-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)

RN 752132-01-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

RN 752132-02-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

RN 752132-14-2 CAPLUS

CN Acetic acid, 2-[4-[[1-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][(4-methoxyphenyl)methyl]amino]methyl]propyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752133-51-0 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 752133-53-2 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752136-22-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 752136-23-5 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

IT 752131-93-4, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(2-hydroxyethyl)-N-(3-phenylpropyl) amide 752131-95-6,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(2-bromoethyl)-N-phenethylamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752131-93-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)

RN 752131-95-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(2-phenylethyl)- (CA INDEX NAME)

L6 ANSWER 75 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:701804 CAPLUS

DN 141:173972

TI Preparation of sulfonamides having antiangiogenic and anticancer activity

IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.; Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chang Hoon; Sheppard, George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve D.; Kolaczkowski, Lawrence; Mantei, Robert A.; Park, David C.; Sanders, William J.; Tedrow, Jason S.; Wang, Gary T.

PA USA

SO U.S. Pat. Appl. Publ., 127 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 20040167128	A1	20040826	US 2003-681784	20031008
				US 2002-416793P P	20021008

OS MARPAT 141:173972

AB The title compds. [I; A=5-6 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3=H, alkenyl, alkoxy, etc.; R4=H, alkyl, alkoxy, etc.; R5=A, alkyl, NH2, aminoalkyl, aryl, etc.; R6=H, alkyl, aryl, etc.; provided that when A=Ph, at least one of R1-R4 is other than H, alkyl, halo] having methionine aminopeptidase-2 inhibitory (MetAP2) activity, were prepared E.g., a multi-step synthesis of S-ethyl-2-[(phenylsulfonyl)amino]benzoic acid, starting from <math>A-ethylaniline, was given. Representative compds. I had IC50's between about  $0.005~\mu M$  and  $>100~\mu M$  against MetAP2. Also described are pharmaceutical compns. comprising the compds. I, methods of treatment using the compds. I, methods of inhibiting angiogenesis, and methods of treating cancer.

IT 681242-90-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides having antiangiogenic and anticancer activity) 681242-90-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)

RN

L6 ANSWER 76 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:652631 CAPLUS

DN 141:173970

TI Preparation of sulfonamides having antiangiogenic and anticancer activity IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.; Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chang Hoon; Sheppard, George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve D.; Kolaczkowski, Lawrence; Mantei, Robert A.; Park, David C.; Sanders, William J.; Tedrow, Jason S.; Wang, Gary T.

PA USA

SO U.S. Pat. Appl. Publ., 129 pp., Cont.-in-part of U.S. Ser. No. 267,081. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

FAN.	PATENT NO.  US 20040157836				KIN	D	DATE			APPLICATION NO.					D	ATE		
PI	US	2004	 0157	 836		A1	_	2004	0812			 2003- 2002-					0030	
	IIC	2004	0068	012		A1		2004	0/108			2002- 2002-					0021	
		2501		012		A1		2004				2002- 2003-		-			0021	
	CZI	2301	520			711		2001	0422			2003 2002-						
												2002 2003-		-			0030	
												2003 2003-			1		0031	-
	WO	2004	0334	19		А1		2004	0422			2003-					0031	
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			KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG	, СН,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	IE,	ΙΤ,	LU,	MC,	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG
											US :	2002-	2670	81		A 2	0021	800
												2003-						
	AU	2003	2798	57		A1		2004	0504			2003-					0031	
												2002-					0021	
											US :	2003-	6673	58		A 2	0030	-
		1 = 40	610			- 1		0005	0706			2003-					0031	
	EР	1549	-			A1						2003-					0031	
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			IE,	51,	шΙ,	ь∨,	Ε.Τ <b>,</b>	KU,	MK,			, TR,						000
												2002- 2003-						
												2003- 2003-			1		0030	
											WO.	2005-	000T	0/1		w ∠	0021	000

PATENT FAMILY INFORMATION:

FAN 2004:293400

	PATENT NO.	KIND DATE	APPLICATION NO.	
ΡI		A1 20040408	US 2002-267081	20021008 20030923 A2 20021008
	CA 2501520	A1 20040422	CA 2003-2501520 US 2002-267081 US 2003-667358 WO 2003-US31671	20031006 A 20021008 A 20030923 W 20031006
	CO, CR, CU, GH, GM, HR, LR, LS, LT, OM, PG, PH, TN, TR, TT, RW: GH, GM, KE, KG, KZ, MD, FI, FR, GB, BF, BJ, CF,	CZ, DE, DK, DM, HU, ID, IL, IN, LU, LV, MA, MD, PL, PT, RO, RU, TZ, UA, UG, UZ, LS, MW, MZ, SD, RU, TJ, TM, AT, GR, HU, IE, IT, CG, CI, CM, GA,	WO 2003-US31671 BA, BB, BG, BR, BY, DZ, EC, EE, EG, ES, IS, JP, KE, KG, KP, MG, MK, MN, MW, MX, SC, SD, SE, SG, SK, VC, VN, YU, ZA, ZM, SL, SZ, TZ, UG, ZM, BE, BG, CH, CY, CZ, LU, MC, NL, PT, RO, GN, GQ, GW, ML, MR, US 2002-267081 US 2003-667358	20031006 BZ, CA, CH, CN, FI, GB, GD, GE, KR, KZ, LC, LK, MZ, NI, NO, NZ, SL, SY, TJ, TM, ZW ZW, AM, AZ, BY, DE, DK, EE, ES, SE, SI, SK, TR, NE, SN, TD, TG A 20021008 A 20030923
	AU 2003279857	A1 20040504	US 2002-267081 US 2003-667358 WO 2003-US31671	20031006 A 20021008 A 20030923 W 20031006
			GB, GR, IT, LI, LU, CY, AL, TR, BG, CZ, US 2002-267081 US 2003-667358	EE, HU, SK A 20021008
FAN			APPLICATION NO.	DATE
PI	CO, CR, CU, GH, GM, HR, LR, LS, LT, OM, PG, PH, TN, TR, TT, RW: GH, GM, KE, KG, KZ, MD, FI, FR, GB,	AM, AT, AU, AZ, CZ, DE, DK, DM, HU, ID, IL, IN, LU, LV, MA, MD, PL, PT, RO, RU, TZ, UA, UG, UZ, LS, MW, MZ, SD, RU, TJ, TM, AT, GR, HU, IE, IT,	WO 2003-US31671 BA, BB, BG, BR, BY, DZ, EC, EE, EG, ES, IS, JP, KE, KG, KP, MG, MK, MN, MW, MX, SC, SD, SE, SG, SK, VC, VN, YU, ZA, ZM, SL, SZ, TZ, UG, ZM, BE, BG, CH, CY, CZ, LU, MC, NL, PT, RO, GN, GQ, GW, ML, MR, US 2002-267081	20031006 BZ, CA, CH, CN, FI, GB, GD, GE, KR, KZ, LC, LK, MZ, NI, NO, NZ, SL, SY, TJ, TM, ZW ZW, AM, AZ, BY, DE, DK, EE, ES, SE, SI, SK, TR, NE, SN, TD, TG A 20021008
	US 20040068012 US 20040157836	A1 20040408 A1 20040812		A 20030923 20021008 20030923 A2 20021008
	CA 2501520	A1 20040422	CA 2003-2501520 US 2002-267081 US 2003-667358 WO 2003-US31671	20031006 A 20021008 A 20030923 W 20031006
	AU 2003279857	A1 20040504	AU 2003-279857 US 2002-267081 US 2003-667358 WO 2003-US31671	20031006 A 20021008 A 20030923 W 20031006

EP 1549613 A1 20050706 EP 2003-773182 20031006 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2002-267081 A 20021008 US 2003-667358 A 20030923 WO 2003-US31671 W 20031006

OS MARPAT 141:173970

AB The title compds. [I; A=5-6 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3=H, alkenyl, alkoxy, etc.; R4=H, alkyl, alkoxy, etc.; R5=alkyl, R5

IT 681242-90-0P

RN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides having antiangiogenic and anticancer activity) 681242-90-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)

L6 ANSWER 77 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:565050 CAPLUS

DN 141:123471

TI Preparation of arylsulfonamide substituted carboxylic acids as asthma and allergic inflammation modulators

IN Fu, Zice; Huang, Xi Alan; Liu, Jiwen; Medina, Julio C.; Schmitt, Michael
J.; Tang, Lucy H.; Wang, Yingcai; Xu, Qingge

PA Tularik, Inc., USA

SO PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,

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        OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
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        TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                                        US 2003-742281
                                                            A3 20031219
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OS MARPAT 141:123471

AB Title compds. I [Y = S00-2; X = 0, S00-2; R2 = (un)substituted phenyl; R3, R5 = H, halo, alkyl, fluoroalkyl, etc.; R4 = H, carboxamido, etc.; R6 = H, halo, alkyl, fluoroalkyl, etc.; R10 = H, alkyl, fluoroalkyl, etc.; L = alkylene, heteroalkylene, etc.; Z = carboxy, carboxamido, etc.; R14 = halo, alkyl, fluoroalkyl, etc.] are prepared For instance, [4-(2-nitro-4-trifluoromethylphenoxy)phenyl]acetic acid Me ester (preparation given) is reduced to the corresponding aniline (MeOH, H2-Pd/C), sulfonylated with TsCl and saponified (MeOH/H2O, LiOH) to give II. II has IC50 < 15  $\mu$ M for the CRTH2 receptor. I modulate the function and/or expression of proteins involved in atopic diseases, inflammatory conditions and cancer.

IT 721947-80-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonamide substituted carboxylic acids as asthma and

allergic inflammation modulators)

RN 721947-80-4 CAPLUS

CN Benzeneacetic acid, 3-[2-[[(4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4-[(ethylamino)carbonyl]phenoxy]- (CA INDEX NAME)

L6 ANSWER 78 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:546510 CAPLUS

DN 141:106487

TI Preparation of pyrrolopyrimidine derivatives as antiproliferative agents

IN Arcari, Joel Thomas; Chen, Jinshan; Lagreca, Susan; Marx, Matthew Arnold; Wessel, Matthew David

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	CENT 1	NO.			KIN		DATE			APPL	_	-				ATE		
ΡI	WO	2004	0568	 30													0031	208	
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
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							•	IL,						•	•				
			LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΙ,	NO,	NΖ,	
			OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		RW:						MW,											
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	CA	2510	853			A1		2004	0708								0031		
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	пD	1570	751			7.1		2005	0000		WO 2								
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			TE,	51,	⊥т,	٠,	гт,	RO,	MW,		us 2							210	
											WO 2								
	DD	2003	0175	2.4		А		2005	1116		BR 2								
	DK	2003	01/3	<b>4</b>		А		2005	1110		US 2								
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JP JP	4057013	B2	20080305	JP	2004-361616		20031206
UE	4037013	DZ	20000303	IIC	2002-434568P	Р	20021219
				WO	2002 4343001 2003-IB5841	W	20021213
NZ.	540456	A	20071130	NZ	2003-540456	••	20031208
				US	2002-434568P	Р	20021219
				WO	2003-IB5841	W	20031208
US	20050037999	A1	20050217	US	2003-732509		20031210
US	7271262	B2	20070918				
				US	2002-434568P	P	20021219
NL	1025068	A1	20040622	NL	2003-1025068		20031218
NL	1025068	C2	20041116				
					2002-434568P	Р	20021219
ZA	2005004440	A	20060726		2005-4440		20050531
	000577700444	_	00000105		2002-434568P	Р	20021219
ΤN	2005DN02441	A	20070105	IN	2005-DN2441	_	20050607
				US	2002-434568P	P	20021219
NO	2005002802	А	20050719	МО	2003-IB5841 2005-2802	W	20031208 20050609
NO	2005002802	A	20050/19	_	2005-2802 2002-434568P	Р	20030609
				WO	2002-434366F 2003-IB5841	W	20021219
MY	2005PA06793	A	20050908	MX	2005 IB5041 2005-PA6793	VV	20051200
1121	200311100733	11	20030300	US	2003-1110793 2002-434568P	Р	20031020
				WO	2002 1313001 2003-IB5841	W	20031208
KR	2007087020	A	20070827		2007-715815		20070711
				US	2002-434568P	Р	20021219
				WO	2003-IB5841	W	20031208
				KR	2005-711297	АЗ	20050617

OS MARPAT 141:106487

Pyrrolopyrimidines I (Q = CO, amino, S, sulfinyl, sulfonyl, etc.; A = bond, aryl, heteroarom. ring, alkyl, etc.; L = alkylene, O, S, sulfinyl, sulfonyl, amino, etc.; R1 = H, alkyl, cycloalkyl, substituted bicycloalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, heterocycloalkyl, amino, etc.; R3 = H, alkyl, cycloalkyl, heteroalkyl, etc.) and their pharmaceutically acceptable salts, useful for treatment of hyperproliferative disorders, are prepared Thus, reaction of 2,6-difluorophenyl isocyanate with (4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-(3-aminophenyl)-methanone in pyridine at 90° for 3 h gave 28%

1-[3-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)phenyl]-3-(2,6-difluorophenyl)-urea.

IT 717895-57-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyrimidines as antiproliferative agents)

RN 717895-57-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)carbonyl]phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

# RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 79 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:522146 CAPLUS

DN 141:150846

TI 5-HT6 receptor antagonists reverse delay-dependent deficits in novel object discrimination by enhancing consolidation-an effect sensitive to NMDA receptor antagonism

AU King, M. V.; Sleight, A. J.; Woolley, M. L.; Topham, I. A.; Marsden, C. A.; Fone, K. C. F.

CS Institute of Neuroscience, School of Biomedical Sciences, Queen's Medical Center, University of Nottingham, Nottingham, NG7 2UH, UK

SO Neuropharmacology (2004), 47(2), 195-204 CODEN: NEPHBW; ISSN: 0028-3908

PB Elsevier Science B.V.

DT Journal

LA English

AB 5-HT6 receptors are expressed in brain regions associated with learning and memory, and blockade of their function increases central cholinergic and glutamatergic neurotransmission and enhances cognitive processes. This study examined the effects of acute systemic administration of two selective 5-HT6 receptor antagonists Ro 04-6790 and SB-271046 (10 mg kg-1 i.p.) on acquisition, consolidation, and retrieval in the novel object discrimination (NOD) task, a two-trial test of recognition memory in which rats exposed to two identical objects during a familiarization trial can discriminate a novel from a familiar object during the subsequent choice trial, following inter-trial delays of up to 3 h. 5-HT6 receptor antagonist administration 20 min prior to or immediately after the familiarization trial, but not 20 min prior to the choice trial reversed the deficit in object discrimination produced by a 4 h inter-trial interval. The nootropic effects of the 5-HT6 receptor antagonists in this task thus appear to involve enhanced consolidation. Pre-treatment with the non-competitive NMDA receptor antagonist MK-801 (0.05 mg kg-1 i.p.) prevented the effect of Ro 04-6790 on delay-induced deficits in object discrimination. This suggests that the 5-HT6 receptor antagonist-induced enhancement of consolidation involves increased central glutamatergic neurotransmission.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 receptor antagonists reverse delay-dependent deficits in novel object discrimination)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-

### RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 80 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:368273 CAPLUS

DN 140:399734

 ${
m TI}$  An antiarrhythmic effect of a chymase inhibitor after myocardial infarction

AU Jin, Denan; Takai, Shinji; Sakaguchi, Masato; Okamoto, Yukiko; Muramatsu, Michiko; Miyazaki, Mizuo

CS Department of Pharmacology, Osaka Medical College, Osaka, Japan

SO Journal of Pharmacology and Experimental Therapeutics (2004), 309(2), 490-497

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

AΒ Chymase plays an important role in the regulation of local angiotensin (Ang) II formation in the cardiac tissue. We recently found that cardiac chymase was activated significantly and survival rate markedly improved by treatment with chymase inhibitors after myocardial infarction (MI) in hamsters. However, the mechanisms for this effect have not been established. Because lethal arrhythmias are generally believed to contribute to sudden cardiac death, we assessed whether inhibition of cardiac chymase would provide an antiarrhythmic effect during the 8-h ischemic period after 2-[4-(5-fluoro-3-methylbenzo-[b]thiophen-2yl)sulfonamide-3-methanesulfonylphenyl]oxazole-4-carboxylicacid (TY51184) (a specific chymase inhibitor, 1 mg/kg i.v.) treatment by ligation of left anterior descending coronary artery (LAD) in dogs. Effects of candesartan (an Ang II type 1 receptor antagonist, 1 mg/kg i.v.) in this model were also assessed. Total Ang II-forming activity and chymase activity in the infarcted heart were increased significantly 8 h after LAD ligation. A time-dependent elevation of Ang II in plasma was also observed A decrease in plasma Ang II levels after TY51184 treatment occurred concomitantly with suppression of cardiac chymase activity. LAD ligation resulted in a large number of ventricular arrhythmias (VAs). TY51184 and candesartan treatments largely suppressed the appearance of VAs, and the efficacy of the two agents was similar. These findings demonstrate that chymase inhibition can provide an antiarrhythmic effect after MI, and the reduction of Ang II by TY51184 may be mainly responsible for this beneficial effect. An antiarrhythmic effect of chymase inhibitors may contribute to redns. in the mortality rate during the acute phase after MI.

IT 404963-97-9, TY51184

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiarrhythmic effect of a chymase inhibitor after myocardial infarction)

404963-97-9 CAPLUS RN

4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-CN yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 37 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 81 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2004:356581 CAPLUS

DN 140:385761

A single treatment with a specific chymase inhibitor, TY-51184, prevents ΤI vascular proliferation in canine grafted veins

Takai, Shinji; Jin, Denan; Sakaguchi, Masato; Miyazaki, Mizuo ΑIJ

Department of Pharmacology, Osaka Medical College, Takatsuki City, CS 569-8686, Japan

Journal of Pharmacological Sciences (Tokyo, Japan) (2004), 94(4), 443-448 SO CODEN: JPSTGJ; ISSN: 1347-8613

ΡВ Japanese Pharmacological Society

Journal DT

English LA

AB In this study, we evaluated whether a specific chymase inhibitor, TY-51184 (2-[4-(5-fluoro-3-methylbenzo[b]thiophen-2-yl)sulfonamido-3methanesulfonylphenyl]oxazole-4-carboxylic acid), prevents the vascular proliferation in canine grafted veins. In the placebo- and chymase inhibitor-treated groups, the external jugular vein was infiltrated with saline and 10  $\mu M$  TY-51184, resp., and then it was grafted to the ipsilateral carotid artery. The non-surgical dogs were used as the control group. By 28 days after grafting, the chymase and ACE activities were significantly increased in the injured arteries. TY-51184 significantly reduced the chymase activity in the grafted veins, while it did not affect the ACE activity. The intimal areas in the placebo- and TY-51184-treated groups were 3.32±0.16 and 1.96±0.52 mm2, resp., and this difference was significant. The ratios of intimal area to medial area in the placebo- and TY-51184-treated groups were 66.8 $\pm$ 3.5% and 34.9±9.2%, resp., and this difference was also significant. There was a significant relation between vascular proliferation and chymase activity, but not ACE activity. In this study, we demonstrated that a single treatment with a specific chymase inhibitor, TY-51184, could prevent the vascular proliferation in canine grafted veins. ΙT

404963-97-9, TY-51184

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(a single treatment with a specific chymase inhibitor, TY-51184, prevents vascular proliferation in canine grafted veins)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

# RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 82 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:353142 CAPLUS

DN 140:357200

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta\text{--lactamase}$ 

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. Pat. Appl. 2004 29,836.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

FAN.		4 FENT									APE	PLI	CAT	ION 1	. O <i>l</i> .			DA	TE	
PI		2004 6921	0082	546		A1		2004	 0429 0726		us	20	03-	4114	84		-	20	030	408
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											US	20	00-	6104	56		Α2	20	000	705
											US	20	02-	2662	13		Α2	20	021	800
											US	20	02-	3021	24		Α2	20	021	122
	US	6472	406			В1		2002	1029		US	20	00-	6104	56			20	000	705
											US	19	99-	1423	62P		Р	19	990'	706
	US	2004	0059	115		A1		2004	0325		US	20	02-	2662	13			20	021	800
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		BJ, CF, CG	, CI, CM, 20040618	GA, GN, GQ, GW, ML, US 2002-302124 US 2003-411484 AU 2003-295638 US 2002-302124 US 2003-411484 WO 2003-US36929	MR, NE, SN, TD, TG A1 20021122 A1 20030408 20031119 A 20021122 A 20030408 W 20031119
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PATE	NT FAMILY INFORM	ATION:		WO 2003-0536929	W 20031119
FAN	2001:31512	LETAID	D 3 M H	ADDI TOARTON NO	D.A.M.E.
	PATENT NO.	KIND	DATE 	APPLICATION NO.	DATE 
ΡΙ	CZ, DE, IN, IS, MD, MG, SK, SL, RW: GH, GM, DE, DK,	A1 AM, AT, AU DK, DM, EE JP, KE, KG MK, MN, MW TJ, TM, TR KE, LS, MW ES, FI, FR	, AZ, BA, , ES, FI, , KP, KR, , MX, NO, , TT, TZ, , MZ, SD, , GB, GR,	WO 2000-US18344 BB, BG, BR, BY, CA, GB, GD, GE, GH, GM, KZ, LC, LK, LR, LS, NZ, PL, PT, RO, RU, UA, UG, US, UZ, VN, SL, SZ, TZ, UG, ZW, IE, IT, LU, MC, NL, ML, MR, NE, SN, TD, US 1999-142362P	HR, HU, ID, IL, LT, LU, LV, MA, SD, SE, SG, SI, YU, ZA, ZW AT, BE, CH, CY, PT, SE, BF, BJ, TG
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	MX 2002PA00246	А	20030820	US 1999-142362P MX 2002-PA246 US 1999-142362P	P 19990706 20020107 P 19990706
FAN	2004:120574			WO 2000-US18344	W 20000705
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	US 20040059115	A1	20040325	US 1999-142362P US 2002-266213	P 19990706 20021008

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		2004		546		A1 B2		2004					6104 4114			2	20000	408	
		2004				A2 A3		2004		U U	S 20 S 20 S 20	000- 002- 002-	1423 6104 2662 3021 US36	56 13 24		A2 2 A2 2 A2 2	.9990 20000 20021 20021 20031	705 008 122	
		W:	AE, CO, GM, LS, PG, TR, BW, BY,	AG, CR, HR, LT, PH, TT, GH, KG, FI,	CU, HU, LU, PL, TZ, GM, KZ, FR,	AM, CZ, ID, LV, PT, UA, KE, MD, GB,	AT, DE, IL, MA, RO, UG, LS, RU, GR,	AU, DK, IN, MD, RU, US, MW, TJ,	AZ, DM, IS, MG, SC, UZ, MZ, TM, IE,		EC, KE, MN, SE, VN, SL, BE, LU, GN,	EE, KG, MW, SG, YU, SZ, BG, MC, GQ,	ES, KP, MX, SK, ZA, TZ, CH, NL, GW,	FI, KR, MZ, SL, ZM, UG, CY, PT, ML,	GB, KZ, NI, SY, ZW ZM, CZ, RO, MR,	GD, LC, NO, TJ, ZW, DE, SE, NE,	GE, LK, NZ, TM, AM, DK, SI, SN,	GH, LR, OM, TN, AZ, EE, SK, TD,	TG
	AU	2003:	2956:	38		A1		2004	0618	A U U	U 20 S 20 S 20	003- 002- 003-	4114 2956 3021 4114	38 24 84		A 2 A 2	20030 20031 20021 20030 20031	119 122 408	
		2005) 7259:		276		A1 B2		2005 2007		U	S 20 S 19 S 20	004- 999- 000-	8844 1423 6104 2662	35 62P 56		2 P 1 A2 2	.9990 20021	702 706 705	
	US	2006	0105	999		A1		2006	0518	U U U	S 20 S 20 S 20 S 20	002- 005- 002- 003-	3021. 5353 3021. 4114 US36	24 91 24 84		A3 2 A2 2 A2 2	20021 20050 20021 20030 20031	122 518 122 408	
	US	2007	0293	675		A1		2007	1220	ט ט ט	S 20 S 19 S 20 S 20 S 20	007- 999- 000- 002- 002-	8303 1423 6104 2662 3021	05 62P 56 13		P 1 A1 2 A2 2 A3 2	20070 29990 20000 20021 20021	730 706 705 008 122	
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ΡΙ	US	2006	0105	999		A1		2006	0518	U U	S 20	002- 003-	4114	91 24 84 929		A2 2 A2 2	20050 20021 20030 20031	122 408	
		2004 6884		836		A1 B2		2004		U U	S 20 S 19 S 20	999- 900-	3021. 1423. 6104. 2662	24 62P 56		2 P 1 A2 2	.9990 20021 20000 20021	122 706 705	
		2004 6921		546		A1 B2		2004 2005		U	S 20	)03- )99-	4114 1423 6104	84 62P		2 P 1	9990	408 706	

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OS MARPAT 140:357200

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622  $\mu$ M against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta\text{--lactamase}$  inhibitors and their antibacterial use)

RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

### ● NH3

RN 318463-04-6 CAPLUS

#### ● NH3

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 83 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:333690 CAPLUS
- DN 140:357061
- TI Preparation of sulfonamides having antiangiogenic and anticancer activity
- IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.; Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chan Hoon; Sheppard, George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve D.; Kolaczkowsi, Lawrence; Mantei, Robert A.; Park, David C.; Sanders, William J.; Tedrow, Jason S.; Wang, Gary T.
- PA Abbott Laboratories, USA
- SO PCT Int. Appl., 309 pp. CODEN: PIXXD2

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US 2002-267081 A 20021008
A 20030923
     AU 2003279857 A1
                                   20040504
                                                US 2003-667358
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                                                WO 2003-US31671 W 20031006 EP 2003-773182 20031006
     EP 1549613
                                   20050706
                                                EP 2003-773182
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                                                                          20031006
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                                US 2002-267081 A 20021008
                                                US 2003-667358
                                                                     A 20030923
                                                WO 2003-US31671
                                                                     W 20031006
FAN 2004:652631
                          KIND
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                                               US 2003-667358 20030923
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     US 20040157836
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                                   20040812
                                   20040408
                                                US 2002-267081
                                                                        20021008
     US 20040068012
                          A1
                                                CA 2003-2501520
                                                                         20031006
     CA 2501520
                           A1
                                   20040422
                                                US 2003-2501520 20031006

US 2002-267081 A 20021008

US 2003-667358 A 20030923
                                                WO 2003-US31671 W 20031006
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     WO 2004033419 A1
         20040422
                                                US 2002-267081 A 20021008
                                                US 2003-667358 A 20030923

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US 2002-267081 A 20021008

US 2003-667358 A 20030923

WO 2003-US31671 W 20031006

EP 2003-773182 20031006
     AU 2003279857
                            Α1
                                   20040504
                                   20050706
     EP 1549613
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                                               EP 2003-773182
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                                US 2002-267081 A 20021008
US 2003-667358 A 20030923
                                                WO 2003-US31671
                                                                    W 20031006
OS
     MARPAT 140:357061
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The title compds. [I; A = 5-6 membered (non)aromatic ring containing 0-3 atoms AB selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3 = H, alkenyl, alkoxy, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = alkyl, NH2, aminoalkyl, aryl, etc.; R6 = H, alkyl, aryl, etc.; provided that when A = Ph, at least one of R1-R4 is other than H, alkyl, halo] having methionine aminopeptidase-2 inhibitory (MetAP2) activity, were prepared E.g., a multi-step synthesis of 5-ethyl-2-[(phenylsulfonyl)amino]benzoic acid, starting from 4-ethylaniline, was given. Representative compds. I had IC50's between about 0.005  $\mu\text{M}$  and >100  $\mu\text{M}$  against MetAP2. Also described are pharmaceutical compns. comprising the compds. I, methods of treatment using the compds. I, methods of inhibiting angiogenesis, and methods of treating cancer.

681242-90-0P ΤT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides having antiangiogenic and anticancer activity)  ${\rm RN} - 681242 - 90 - 0 \ {\rm CAPLUS}$ 

1-Naphthalenecarboxylic acid, 2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 84 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:271163 CAPLUS

DN 141:17253

CN

TI Usefulness of chymase inhibitor for arrhythmia occurring rates in dogs with post myocardial infarction

AU Kin, Norio; Takai, Masashi; Okamoto, Yukiko; Muramatsu, Michiko; Miyazaki, Mizuo

CS Dep. of Pharmacology, Osaka Medical University, Japan

SO Ketsuatsu (2004), 11(3), 279-284 CODEN: KETSAH; ISSN: 1340-4598

PB Sentan Igakusha

DT Journal

LA Japanese

AB The effect of chymase inhibitor TY51184 for arrhythmia occurring rates in dogs with post myocardial infarction was studied. The concentration of angiotensin II in serum and heart tissue was measured after the ligation of dog coronary artery, and the inhibitory effect of TY51184 on chymase was investigated. The activation of chymase and angiotensin II after myocardial infarction was related with arrhythmia, and the inhibition of chymase related with antiarrhythmics was discussed. The results also indicated that arrhythmia occurring rates in dogs with post myocardial infarction was inhibited with AT1 receptor inhibitor candesartan, and the mechanism of antiarrhythmics related with AT1 receptor was confirmed.

IT 404963-97-9, TY51184

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(usefulness of chymase inhibitor for arrhythmia occurring rates in dogs with post myocardial infarction)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

- L6 ANSWER 85 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:214137 CAPLUS
- DN 141:331992
- TI Structure-activity relationship of benzo[b]thiophene-2-sulfonamide derivatives as novel human chymase inhibitors. [Erratum to document cited in CA140:076968]
- AU 6505255Masaki, Hidekazu; Mizuno, Yusuke; Tatui, Akira; Murakami, Akira; Koide, Yuuki; Satoh, Shoji; Takahashi, Atsuo
- CS Drug Research Department, Tokyo Research Laboratories, TOA EIYO Ltd., Omiya-ku, Saitama-shi, Saitama, 330-0834, Japan
- SO Bioorganic & Medicinal Chemistry Letters (2004), 14(7), 1817 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science B.V.
- DT Journal
- LA English
- AB The general structure in Table 1 was not shown in the article; the full table is given.
- IT 404963-75-3 404963-79-7 404963-80-0
  - 404963-81-1 404963-82-2 404963-91-3
  - 404963-92-4 404963-93-5 404964-01-8
  - 404964-02-9 404964-12-1 404964-36-9
  - 603987-65-1 603987-66-2 640287-51-0
  - 640287-52-1 640287-53-2 640287-54-3
  - 640287-55-4 640287-56-5 640287-57-6
  - RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors (Erratum))
- RN 404963-75-3 CAPLUS
- CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)

RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)

RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)

RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 404963-93-5 CAPLUS

CN Benzoic acid, 4-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)

RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-

(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 640287-51-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylthio)phenyl]- (CA INDEX NAME)

RN 640287-52-1 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)

RN 640287-53-2 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,2-dimethyl ester (CA INDEX NAME)

RN 640287-54-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(methoxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 640287-55-4 CAPLUS

CN Benzamide, N-(2-aminoacetyl)-4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

RN 640287-56-5 CAPLUS

CN Benzamide, N-[(2S)-2-amino-3-hydroxy-1-oxopropyl]-4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 640287-57-6 CAPLUS

CN Benzamide, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

IT 404963-90-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors (Erratum))

RN 404963-90-2 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

L6 ANSWER 86 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:201685 CAPLUS

DN 140:314943

TI Effect of the acute and chronic administration of the selective 5-HT6

receptor antagonist SB-271046 on the activity of midbrain dopamine neurons in rats: an in vivo electrophysiological study

- AU Minabe, Yoshio; Shirayama, Yukihiko; Hashimoto, Kenji; Routledge, Carol; Hagan, Jim J.; Ashby, Charles R., Jr.
- CS Department of Psychiatry and Neurology, Hamamatsu University School of Medicine, Shizuoka, 431-3192, Japan
- SO Synapse (New York, NY, United States) (2004), 52(1), 20-28 CODEN: SYNAET; ISSN: 0887-4476
- PB Wiley-Liss, Inc.
- DT Journal
- LA English
- AΒ This study examined the effect of the acute and repeated per os (p.o.) administration of the selective 5-HT6 receptor antagonist SB-271046, on the number, as well as the firing pattern of spontaneously active dopamine (DA) neurons in the rat substantia nigra pars compacta (SNC) and ventral tegmental area (VTA) in anesthetized male Sprague-Dawley rats. This was accomplished using the technique of extracellular in vivo electrophysiol. A single p.o. administration of either 1, 3, or 10 mg/kg of  $SB-27\overline{1046}$  did not significantly alter the number of spontaneously active SNC DA neurons per stereotaxic electrode tract compared to vehicle-treated animals. acute administration of either 1 or 3 mg/kg of SB-271046 did not significantly alter the number of spontaneously active VTA DA neurons. contrast, a significant decrease in the number of spontaneously active VTA DA neurons was observed after a single administration of 10 mg/kg of SB-271046 compared to vehicle-treated animals. The acute p.o. administration of SB-271046 significantly altered the firing pattern parameters of all (bursting + nonbursting DA neurons) DA neurons, particularly those in the VTA, compared to vehicle-treated animals. The repeated p.o. administration (once per day for 21 days) of 1, 3, or 10 mg/kg of SB-271046 did not significantly alter the number of spontaneously active VTA DA neurons compared to vehicle-treated animals. The repeated administration of 3 or 10 mg/kg of SB-271046 significantly increased the number of spontaneously active SNC DA neurons compared to vehicle controls. Overall, the repeated administration of SB-271046 had relatively little effect on the firing pattern of midbrain DA neurons. The results obtained following the chronic administration of SB-271046 show that this compound has a profile different from that of typical or atypical antipsychotic drugs in this model. Clin. studies are required to understand what role 5-HT6 receptor blockade might eventually play in the treatment of schizophrenia.
- IT 209481-20-9, SB-271046
  - RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (5-HT6 receptor antagonist SB-271046 effect on midbrain dopamine neurons: possible schizophrenia therapy)
- RN 209481-20-9 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

# RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 87 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:120574 CAPLUS
- DN 140:181318
- TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta\text{--lactamase}$
- IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii
- PA Methylgene, Inc., Can.
- SO U.S. Pat. Appl. Publ., 96 pp., Cont.-in-part of U.S. Ser. No. 266,213. CODEN: USXXCO
- DT Patent
- LA English

US 2002-302124 A1 20021122 US 2003-411484 A1 20030408  AU 2003295638 A1 20040618 AU 2003-295638 20031119 US 2002-302124 A 20021122 US 2003-411484 A 20030408 WO 2003-US36929 W 20031119 US 20050043276 A1 20050224 US 2004-884435 20040702 US 7259172 B2 20070821 US 1999-142362P P 19990706 US 2000-610456 A2 20000705 US 2002-266213 A2 20021008 US 2002-302124 A3 20021122	FAN.	CNT 4 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6472406 B1 20021029 US 2000-610456	PI				US 2002-302124	20021122
US 2002-266213 A2 20021008 US 20040059115 A1 20040325 US 2002-266213 20021008 US 7030103 B2 20060418 US 1999-142362P P 19990706 US 20040082546 A1 20040429 US 2003-411484 20030408 US 6921756 B2 20050726  US 2004048393 A2 20040610 WS 2002-266213 A2 20021008 US 2004048393 A2 20040610 WS 2002-266213 A2 20021008 US 2004048393 A3 20040819 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TR, TR, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TR, TR, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TR, TR, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TR, TR, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TR, TR, TF, TF, TF, TF, TF, TF, TF, TF, TF, TF					US 1999-142362P	P 19990706
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             RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, NE, SN, TD, TG
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OS MARPAT 140:181318

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2 when Z = S; n = 1 when Z = C; n = 0 when Z = CH2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622 μM against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta\text{--lactamase}$  inhibitors and their antibacterial use)

RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

● NH3

RN 318463-04-6 CAPLUS

● NH3

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 88 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:78778 CAPLUS

DN 140:332085

TI Significance of chymase inhibition for prevention of adhesion formation

AU Okamoto, Yukiko; Takai, Shinji; Miyazaki, Mizuo

CS Department of Pharmacology, Osaka Medical College, Department of Pharmaceutical Sciences, Osaka, Takatsuki City, 589-8686, Japan

SO European Journal of Pharmacology (2004), 484(2-3), 357-359 CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier Science B.V.

DT Journal

LA English

AB To clarify the role of chymase in adhesion formation, we investigated whether a chymase inhibitor could prevent adhesion formation after surgery in hamsters. Hamsters received a lesion produced by uterus scraping. A specific chymase inhibitor, 2-[4-(5-fluoro-3-methylbenzo[b]thiophen-2-yl)sulfonamido-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid (TY-51184), or placebo was injected into the abdomen before closing and scores for adhesion formation were assessed at 1, 4, and 12 wk. A single peritoneal administration of TY-51184 significantly decreased the adhesion scores even at 12 wk (placebo, 2.80±0.20; chymase inhibitor, 1.60±0.31). Thus, chymase inhibitors may be a novel strategy to prevent adhesion formation.

IT 404963-97-9, TY 51184

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (chymase inhibition with TY-51184 for prevention of peritoneal adhesion formation)

RN 404963-97-9 CAPLUS

CN

4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 89 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:41460 CAPLUS

DN 140:111269

TI Preparation of bisarylsulfonamide compounds and their use in cancer therapy

IN Wang, Shudong; Gibson, Darren; Duncan, Kenneth; Bailey, Kevin; Thomas,
 Mark; MacCallum, David; Zheleva, Daniella; Turner, Nicholas John; Fischer,
 Peter Martin

PA Cyclacel Limited, UK

SO PCT Int. Appl., 149 pp. CODEN: PIXXD2

DT Patent

LA English

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									(	GB 2	2002-	1565	0		A	20020	705
									1	WO 2	2003-0	GB29.	23	,	W	20030	707
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									(	GB 2	2002-	1565	0		A	20020	705
									1	WO 2	2003-0	GB29.	23	,	W	20030	707
ΑT	3747	63			T		2007	1015		AT 2	2003-	7383.	23			20030	707
									(	GB 2	2002-	1565	0		A	20020	705
US	2005	0215	548		A1		2005	0929	1	US 2	2004-	9883	88			20041	1112
									(	GB 2	2002-	1565	0		A	20020	705
									1	WO 2	2003-	GB29.	23		A1	20030	707

OS MARPAT 140:111269

AΒ The title compds. Ar1SO2N(R1)WnAr2 [I; W = alkylene, alkenylene; n = 0-1; R1 = H, alkyl, alkenyl, aryl, aralkyl; Ar1 = substituted thienyl, Ph, benzothienyl, benzothiadiazolyl, etc.; Ar2 = substituted Ph, indolyl, benzimidazolyl], useful for modulating HDM2-dependent regulation of the tumor suppressor p53 and/or E2F transcription factors in living cells, were prepared General methods for the preparation of the compds. I were given. The compds. I were tested in HDM2 binding assay as well as for anti-proliferative effect on cell line (data given for 131 compds.). biol. effect of I on cellular level was studied using representative compds. I [mainly 5-chloro-4-nitrothiophene-2-sulfonic acid (4-chlorophenyl)amide] and number of cell lines with different HDM2 and p53 status. Further aspects of the invention relate to pharmaceutical compns. comprising I, and an assay for determining binding to HDM2. 646040-35-9P 646040-62-2P 646040-63-3P ΙT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)arylsulfonamides as antitumor agents) 646040--35--9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-chloro-3-nitrophenyl)-3-methyl- (CA INDEX NAME)

646040-62-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3,5-bis(trifluoromethyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN

RN

RN 646040-63-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3,5-bis(trifluoromethyl)phenyl]- (CA INDEX NAME)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 90 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:10194 CAPLUS

DN 140:229219

TI 5-HT6 receptor antagonist SB-271046 enhances extracellular levels of monoamines in the rat medial prefrontal cortex

AU Lacroix, Laurent P.; Dawson, Lee A.; Hagan, Jim J.; Heidbreder, Christian A.

CS Centre of Excellence for Drug Discovery in Psychiatry, Department of Biology, GlaxoSmithKline Pharmaceuticals, Verona, 37135, Italy

SO Synapse (New York, NY, United States) (2003), Volume Date 2004, 51(2), 158-164
CODEN: SYNAET; ISSN: 0887-4476

PB Wiley-Liss, Inc.

DT Journal

LA English

AB The present study investigated the neurochem. effects of the selective 5-HT6 receptor antagonist SB-271046 in the rat medial prefrontal cortex (mPFC). The effect of SB-271046 on extracellular levels of dopamine (DA), norepinephrine (NE), and serotonin (5-HT) in the mPFC was examined using in vivo microdialysis in the freely moving rat. SB-271046 (10 mg/kg, p.o.) produced a significant increase in extracellular levels of both DA and NE without altering 5-HT neurotransmission. These results further support the rationale for the use of 5-HT6 receptor antagonists in the treatment of cognitive dysfunction associated with psychiatric diseases.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $(5-HT6\ receptor\ antagonist\ SB-271046\ enhances\ extracellular\ levels\ of\ monoamines\ in\ rat\ medial\ prefrontal\ cortex)$ 

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-

# RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 91 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:1009205 CAPLUS
- DN 141:99494
- TI The 5-HT6 Receptor Antagonist SB-271046 Reverses Scopolamine-Disrupted Consolidation of a Passive Avoidance Task and Ameliorates Spatial Task Deficits in Aged Rats
- AU Foley, Andrew G.; Murphy, Keith J.; Hirst, Warren D.; Gallagher, Helen C.; Hagan, Jim J.; Upton, Neil; Walsh, Frank S.; Regan, Ciaran M.
- CS Conway Institute, Department of Pharmacology, University College Dublin, Belfield, Ire.
- SO Neuropsychopharmacology (2004), 29(1), 93-100 CODEN: NEROEW; ISSN: 0893-133X
- PB Nature Publishing Group
- DT Journal
- LA English
- AΒ The highly potent and selective 5-HT6 receptor antagonist SB-271046 [5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2benzothiophenesulfonamide] has previously been demonstrated to improve retention significantly in a spatial water maze paradigm in adult rats. However, SB-271046 did not have any effect on task acquisition. As these apparently contradictory findings may be reconciled by a prime influence of SB-271046 on memory consolidation, the ability of this compound to reverse the discrete temporal action of a cholinergic antagonist in the 6-h period following passive avoidance training was investigated. SB-271046, given orally, by gavage, 30 min prior to training Wistar rats in a step-through, light-dark passive avoidance task, was found to reverse significantly the amnesia produced by administering scopolamine (0.8 mg/kg, i.p.) in the 6-h post-training period. The effect was dose-dependent over a range of 3-20 mg/kg. Further, we investigated the cognition-enhancing effects of chronic SB-271046 administration (10 or 20 mg/kg/day; 40 days) on the acquisition and consolidation of a water maze spatial learning task in a population of 20-mo-old Wistar rats with age-related learning deficits. Drug treatment progressively and significantly decreased platform swim angle and escape latencies over the five sequential trials on four consecutive daily sessions compared to vehicle-treated controls. SB-271046 also improved task recall as measured by significant increases in the searching of the target quadrant on post-training days 1 and 3, when the animals would have been substantially drug-free. This significant improvement of task recall suggests SB-271046, in addition to inducing symptomatic cognition-enhancing actions, also attenuates age-related decline in neural function.
- IT 209481-20-9, SB-271046
   RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
   (Biological study); USES (Uses)

 $(5-HT6\ receptor\ antagonist\ SB-271046\ reverses\ scopolamine-disrupted\ consolidation\ of\ a\ passive\ avoidance\ task\ and\ ameliorates\ spatial\ task\ deficits\ in\ aged\ rats)$ 

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 92 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:991173 CAPLUS

DN 140:27762

TI Preparation of 1-(indol-3-yl)alkylidenehydrazine carboximidamides as 5-hydroxytryptamine-6 ligands

IN Cole, Derek Cecil; Kelly, Michael Gerard; Bravo, Byron Abel; Palmer, Yvette Latko

PA Wyeth, John, and Brother Ltd., USA

SO U.S. Pat. Appl. Publ., 27 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ΡI	US 20030232843	A1	20031218	US 2003-434965		20030509
	US 6951881	В2	20051004			
				US 2002-379487P	P	20020510

OS MARPAT 140:27762

AB The title compds. [I; X = N, CR3; Y = N, CR4; R1-R4 = H, halo, CN, etc.; R5-R7 = H, alkyl, cycloalkyl, etc.; R8 = H, alkyl, cycloalkyl; R9 = H, halo, CN, NO2, etc.; or R8 and R9 may be taken together with the atoms to which they are attached to form (un)substituted 5-7 membered ring containing 1-2 heteroatoms; R10 = H, alkyl, (hetero)aryl; with the provisos], useful for the therapeutic treatment of a disorder relating to or affected by the 5-HT6 receptor, were prepared Thus, reacting 3-acetyl-5-[(phenylsulfonyl)amino]-1H-indole (preparation given) with aminoguanidine bicarbonate in the presence of concentrate HCl in iso-PrOH

afforded 75% II.HCl which showed Ki of 1.0 nM against 5-HT6 receptor binding. Pharmaceutical composition comprising the compound I is claimed. 634182-69-7P 634182-70-0P 634182-71-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-(indol-3-yl) alkylidenehydrazine carboximidamides as 5-hydroxytryptamine-6 ligands)

RN 634182-69-7 CAPLUS

CN Hydrazinecarboximidamide, 2-[1-[5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1-methyl-1H-indol-3-yl]ethylidene]- (CA INDEX NAME)

ΙT

RN 634182-70-0 CAPLUS

CN Hydrazinecarboximidamide, 2-[1-[5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1-(phenylmethyl)-1H-indol-3-yl]ethylidene]- (CA INDEX NAME)

RN 634182-71-1 CAPLUS

CN Hydrazinecarboximidamide, 2-[[5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]cyclohexylmethylene]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & NH \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & &$$

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 93 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:967169 CAPLUS

DN 140:139648

Differences in the central nervous system distribution and pharmacology of the mouse 5-hydroxytryptamine-6 receptor compared with rat and human receptors investigated by radioligand binding, site-directed mutagenesis, and molecular modeling

AU Hirst, Warren D.; Abrahamsen, Bjarke; Blaney, Frank E.; Calver, Andrew R.; Aloj, Lucia; Price, Gary W.; Medhurst, Andrew D.

CS Neurology and Gl Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, UK

SO Molecular Pharmacology (2003), 64(6), 1295-1308 CODEN: MOPMA3; ISSN: 0026-895X

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

AΒ There is increasing evidence for a role of 5-hydroxytryptamine-6 (5-HT6) receptors in cognitive function. In the rat and human brain, 5-HT6 receptors are widely expressed and highly enriched in the basal ganglia. However, in the mouse brain, only very low levels of 5-HT6 receptor mRNA and receptor protein, measured by TaqMan reverse transcriptase-polymerase chain reaction and selective radioligand binding, could be detected, with no evidence of enrichment in the basal ganglia. The mouse receptor was cloned and transiently expressed in human embryonic kidney 293 cells to characterize its pharmacol. profile. Despite significant sequence homol. between human, rat, and mouse 5-HT6 receptors, the pharmacol. profile of the mouse receptor was significantly different from the rat and human receptors. Four amino acid residues, conserved in rat and human and divergent in mouse receptors, were identified, and various mutant receptors were generated and their pharmacologies studied. Residues 188 (tyrosine in mouse, phenylalanine in rat and human) in transmembrane region 5 and 290 (serine in mouse, asparagine in rat and human) in transmembrane region 6 were identified as key amino acids responsible for the different pharmacol. profiles. Mol. modeling of the receptor and docking of selective and nonselective compds. was undertaken to elucidate the ligand receptor interactions. The binding pocket was predicted to be different in the mouse compared with rat and human 5-HT6 receptors, and the models were in excellent agreement with the observed mutation results and have been used extensively in the design of further selective 5-HT6 antagonists.

IT 209480-56-8, SB 258510 209481-20-9, SB-271046
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)

(5-HT6 receptor ligand; different brain distribution, pharmacol. and structure of mouse, rat and human 5-HT6 receptor)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

# RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 94 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:950984 CAPLUS

DN 140:5067

 ${\tt TI}$  Preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents

IN Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

ran.		TENT	NO.			KIN		DATE			APP]	LICAT	ION :	NO.		D.	ATE	
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			PL,	PT,	RO,	RU,	SC,	,	SE,	SG,	SK	, MW, , SL, , ZW	,	,	•	,	,	,
		RW:	KG, FI,	KZ, FR,	MD, GB,	RU, GR,	TJ, HU,	TM, IE,	AT, IT,	BE, LU,	BG MC	, TZ, , CH, , NL,	CY, PT,	CZ, RO,	DE, SE,	DK, SI,	EE, SK,	ES, TR,
			BF,	BJ,	CF,	CG,	CI,	CM,	GA,			, GW, 2002-						
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	AU	2003	3248549			A1		2003	1212		AU 2 US 2	2003- 2002- 2003-	2485 3835	49 73P	:	2 P 2	0030. 0020.	521 524
		2004 7238		976		A1 B2		2004 2007			US 2	2003- 2002-	4431	55		2	0030	521
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	JP					T		2005	0908		JP : US :	2003- 2004- 2002- 2003-	5074 3835	31 73P		2 P 2	0030. 0020.	521 524
	ΝZ					A		2008	0430		NZ 2	2003- 2002-	5365	04		2	0030.	521

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ZA	2004009131	A	20050712	ZA	2004-9131		20041111
				US	2002-383573P	Р	20020524
MX	2004PA11465	A	20050214	MX	2004-PA11465		20041118
				US	2002-383573P	Р	20020524
				WO	2003-US16090	W	20030521
US	20060167251	A1	20060727	US	2006-391633		20060328
US	7282502	B2	20071016				
				US	2002-383573P	Р	20020524
				US	2003-443155	A3	20030521
JΡ	2006265259	A	20061005	JΡ	2006-124437		20060427
				US	2002-383573P	Р	20020524
				JР	2004-507431	АЗ	20030521
US	20070066823	A1	20070322	US	2006-601025		20061117
				US	2002-383573P	P	20020524
				US	2003-443155	Α1	20030521
US	20080103180	A1	20080501	US	2007-974850		20071016
				US	2002-383573P	Р	20020524
				US	2003-443155	Α1	20030521
				US	2006-391633	АЗ	20060328

OS MARPAT 140:5067

Α

AB The title compds. [I; Y is C(O), O, S, S(O), or S(O)2; X1, X2, and X3 are each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring

is substituted or unsubstituted; and Ar1 and Ar2 are each, independently, an (un)substituted aryl or heteroaryl] or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds. I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC50 value less than or equal to .apprx.1.0  $\mu\text{M}$ .

IT 628301-23-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 628301-23-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-benzoyl-4-chlorophenyl)-5-chloro-3-methyl- (CA INDEX NAME)

### RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 95 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN 1.6 2003:918694 CAPLUS ΑN 140:777 DNBenzothiophen sulfonamide analogs as bioadhesion inhibitors ΤI Miyazaki, Mitsuo; Takai, Shinji; Sato, Shoji IN Toa Eiyo, Ltd., Japan PA SO Jpn. Kokai Tokkyo Koho, 29 pp. CODEN: JKXXAF DT Patent LA Japanese FAN.CNT 4 KIND APPLICATION NO. PATENT NO. DATE DATE \_\_\_\_ PΙ JP 2003335670 Α 20031125 JP 2003-70126 20030314 JP 2002-72306 A 20020315 PATENT FAMILY INFORMATION: FAN 2002:220571 DATE APPLICATION NO. PATENT NO. KIND DATE \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ WO 2002022595 A1 20020321 WO 2001-JP8061 20010917 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2000-282046 A 20000918 JP 2001-122972 A 20010420 AU 2001-88053 AU 2001088053 20020326 20010917 Α A 20000918 JP 2000-282046 JP 2001-122972 A 20010420 W 20010917 WO 2001-JP8061 CA 2422807 CA 2001-2422807 Α1 20030318 20010917 A 20000918 JP 2000-282046 A 20010420 JP 2001-122972 W 20010917 WO 2001-JP8061 EP 1325920 20030709 EP 2001-967708 Α1 20010917 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR A 20000918 JP 2000-282046

JP 2001-122972

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A 20010420 W 20010917

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FAN 2003:757696  PATENT NO.									
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US 20060116408 A1 20060601 US 7399781 B2 20080715  US 7399781 B2 20080715  JP 2000-282046 A 20000918  JP 2001-122972 A 20010420  WO 2001-JP8061 A2 20010917  JP 2002-72305 A 20020315  JP 2002-72305 A 20020315  JP 2002-72306 A 20020315  JP 2002-72307 A 20020315  CA 2479353 A1 20030925 CA 2003-JP3023 20030313  JP 2002-72307 A 20020315  CA 2479353 A1 20030925 CA 2003-2479353 20030313  JP 2002-72307 A 20020315  JP 2002-72307 A 20030313  JP 2002-72307 A 20020315  JP 2002-72307 A 20030313  JP 2002-72307 A 20020315  JP 2002-72307 A 20020315  JP 2002-72307 A 20020315  JP 2002-72307 A 20030313  JP 2002-72307 A 20030313  JP 2002-72307 A 20030313  JP 2002-72307 A 20030313  JP 2002-72307 A 20020315  JP 2002-72307 A 20020315								А	
US 20060116408 US 7399781 B2 20080715  JP 2000-282046 A 20000918 JP 2001-122972 A 20010420 WO 2001-JP8061 A2 20010917 JP 2002-72305 A 20020315 JP 2002-72307 A 20020315 AN CA, CN, JP RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR JP 2002-72307 A 20020315 CA 2479353 A1 20030925 CA 2003-2479353 A1 20030925 CA 2003-2479353 A1 200309313 JP 2002-72307 A 20020315 CA 2479353 A1 20041215 EP 1486494 A1 20041215 EP 2003-712691 20030313									
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WO 2001-JP8061									
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FAN 2003:757696 PATENT NO. KIND DATE APPLICATION NO. DATE W: CA, CN, JP RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR CA 2479353 A1 20030925 CA 2479353 A1 20030925 CA 2003-JP3023 A20020315 CA 2479353 A1 20030925 CA 2003-JP3023 A20020315								_	
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FAN 2003:757696 PATENT NO. KIND DATE APPLICATION NO. DATE  WO 2003078419 A1 20030925 WO 2003-JP3023 20030313  W: CA, CN, JP  RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  IT, LU, MC, NL, PT, RO, SE, SI, SK, TR  JP 2002-72307 A 20020315  CA 2479353 A1 20030925 CA 2003-2479353 20030313  EP 1486494 A1 20041215 EP 2003-712691 20030313  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,							JP 2002-72307	Α	20020315
PATENT NO.							US 2003-388378	АЗ	20030313
PI WO 2003078419	FAN				KIND	DATE	APPLICATION NO.		DATE
W: CA, CN, JP RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR JP 2002-72307 A 20020315 CA 2479353 A1 20030925 CA 2003-2479353 20030313 JP 2002-72307 A 20020315 WO 2003-JP3023 W 20030313 EP 1486494 A1 20041215 EP 2003-712691 20030313 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,	DT		2070410	-		20020025		-	20020212
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CA 2479353  A1 20030925  CA 2003-2479353  JP 2002-72307  A 20020315  WO 2003-JP3023  W 20030313  EP 1486494  A1 20041215  EP 2003-712691  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			IT, LU	, MC,	NL, PI	, RO, SE,	SI, SK, TR		
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		17.							J, 110, 11,

JP 2002-72307 A 20020315 WO 2003-JP3023 W 20030313

OS MARPAT 140:777

AB Benzothiophen sulfonamide analogs (I; Markush's structures given) and their pharmaceutically acceptable salts are claimed as bioadhesion inhibitors. I were prepared, and their chymase- and bioadhesion-inhibiting activities were tested. Formulation examples of tablets, injections, suppositories, and eyedrops were given.

IT 404963-90-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(benzothiophen sulfonamide analogs as bioadhesion inhibitors)

RN 404963-90-2 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

ΙT 404963-75-3P 404963-76-4P 404963-77-5P 404963-78-6P 404963-79-7P 404963-80-0P 404963-81-1P 404963-82-2P 404963-83-3P 404963-84-4P 404963-85-5P 404963-86-6P 404963-87-7P 404963-88-8P 404963-89-9P 404963-91-3P 404963-92-4P 404963-93-5P 404963-94-6P 404963-96-8P 404963-97-9P 404963-98-0P 404963-99-1P 404964-01-8P 404964-02-9P 404964-03-0P 404964-04-1P 404964-05-2P 404964-06-3P 404964-07-4P 404964-08-5P 404964-09-6P 404964-10-9P 404964-11-0P 404964-12-1P 404964-13-2P 404964-14-3P 404964-15-4P 404964-16-5P 404964-17-6P 404964-20-1P 404964-21-2P 404964-22-3P 404964-23-4P 404964-24-5P 404964-25-6P 404964-26-7P 603987-37-7P 603987-38-8P 603987-39-9P 603987-40-2P 603987-41-3P 603987-42-4P 603987-43-5P 603987-44-6P 603987-45-7P 603987-47-9P 603987-48-0P 603987-49-1P 603987-50-4P 603987-51-5P 603987-52-6P 603987-53-7P 603987-54-8P 603987-55-9P 603987-56-0P 603987-57-1P 603987-58-2P 603987-59-3P 603987-60-6P 603987-61-7P 603987-62-8P 603987-63-9P 603987-65-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzothiophen sulfonamide analogs as bioadhesion inhibitors)

RN 404963-75-3 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-76-4 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 404963-77-5 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 404963-78-6 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(ethylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)

RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)

RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)

RN 404963-83-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2,4-bis(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-84-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetyl-2-nitrophenyl)-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-85-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-86-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-benzoyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-87-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} S & O & CH_2-OH \\ \hline S-NH & S-Me \\ \hline O & O & S-Me \\ \hline O & O & O \end{array}$$

RN 404963-88-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-benzoylphenyl)-5-chloro-3-methyl-(CA INDEX NAME)

RN 404963-89-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 404963-93-5 CAPLUS

CN Benzoic acid, 4-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-94-6 CAPLUS

CN L-Serine, N-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 404963-96-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 404963-97-9 CAPLUS

CN 4-0xazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404963-98-0 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 404963-99-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)

RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-03-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl-(CA INDEX NAME)

RN 404964-04-1 CAPLUS

CN Benzamide, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]- (CA INDEX NAME)

RN 404964-05-2 CAPLUS

CN Acetic acid, 2-[[2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl]thio]-, methyl ester (CA INDEX NAME)

RN 404964-06-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxy-4-nitrophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-07-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyano-2-nitrophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-08-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,4-dinitrophenyl)-3-methyl-(CA INDEX NAME)

RN 404964-09-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-methoxy-2-nitrophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-10-9 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, ethyl ester (CA INDEX NAME)

RN 404964-11-0 CAPLUS

CN Benzoic acid, 2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4,5-dimethoxy-, methyl ester (CA INDEX NAME)

RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]-(CA INDEX NAME)

RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-15-4 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)

RN 404964-16-5 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)

RN 404964-17-6 CAPLUS

CN L-Serine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 404964-20-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 404964-21-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)

RN 404964-22-3 CAPLUS

CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)

RN 404964-23-4 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]- (CA INDEX NAME)

RN 404964-24-5 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

● Na

RN 404964-25-6 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN 404964-26-7 CAPLUS

CN L-Serine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 603987-37-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

RN 603987-38-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 603987-39-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

RN 603987-40-2 CAPLUS

CN L-Methionine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-41-3 CAPLUS

CN L-Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-42-4 CAPLUS

CN L-Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-

(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● Na

RN 603987-43-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-48-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-52-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-53-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4- (4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-56-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-57-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-58-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 603987-59-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-60-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-61-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 603987-62-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-oxazolyl)phenyl]- (CA INDEX NAME)

RN 603987-63-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

IT 404964-36-9P 603987-64-0P 603987-66-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(benzothiophen sulfonamide analogs as bioadhesion inhibitors)

RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

RN 603987-64-0 CAPLUS

CN L-Alanine, N-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

- L6 ANSWER 96 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:860206 CAPLUS
- DN 140:122660
- TI An assessment of the effects of serotonin 6 (5-HT6) receptor antagonists in rodent models of learning
- AU Lindner, Mark D.; Hodges, Donald B., Jr.; Hogan, John B.; Orie, Anitra F.; Corsa, Jason A.; Barten, Donna M.; Polson, Craig; Robertson, Barbara J.; Guss, Valerie L.; Gillman, Kevin W.; Starrett, John E., Jr.; Gribkoff, Valentin K.
- CS Neuroscience Biology, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, USA
- SO Journal of Pharmacology and Experimental Therapeutics (2003), 307(2), 682-691

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

AB Antagonists of serotonin 6 (5-HT6) receptors have been reported to enhance cognition in animal models of learning, although this finding has not been universal. We have assessed the therapeutic potential of the specific 5-HT6 receptor antagonists 4-amino-N-(2,6-bis-methylamino-pyrimidin-4-yl)-benzenesulfonamide (Ro 04-6790) and

5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2benzothiophenesulfonamide (SB-271046) in rodent models of cognitive function. Although mice express the 5-HT6 receptor and the function of this receptor has been investigated in mice, all reports of activity with 5-HT6 receptor antagonists have used rat models. In the present study, receptor binding revealed that the pharmacol. properties of the mouse receptor are different from the rat and human receptor: Ro 04-6790 does not bind to the mouse 5-HT6 receptor, so all in vivo testing included in the present report was conducted in rats. We replicated previous reports that 5-HT6 receptor antagonists produce a stretching syndrome previously shown to be mediated through cholinergic mechanisms, but Ro 04-6790 and SB-271046 failed to attenuate scopolamine-induced deficits in a test of contextual fear conditioning. We also failed to replicate the significant effects reported previously in both an autoshaping task and in a  $\bar{\text{version}}$ of the Morris water maze. The results of our expts. are not consistent with previous reports that suggested that 5-HT6 antagonists might have therapeutic potential for cognitive disorders.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(assessment of effects of serotonin 6 (5-HT6) receptor antagonists in rodent models of learning)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 97 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:841846 CAPLUS

DN 140:76968

TI Structure-activity relationship of benzo[b]thiophene-2-sulfonamide derivatives as novel human chymase inhibitors

AU Masaki, Hidekazu; Mizuno, Yusuke; Tatui, Akira; Murakami, Akira; Koide, Yuuki; Satoh, Shoji; Takahashi, Atsuo

CS Drug Research Department, Tokyo Research Laboratories, Toa Eiyo Ltd., 2-293-3 Amanuma-cho, Omiya-ku, Saitama-shi, Saitama, 330-0834, Japan

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(22), 4085-4088 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

RN

OS CASREACT 140:76968

AB We have identified a new class of chymase inhibitor through a substituent anal. of MWP00965, which we previously discovered by in silico screening. TY-51076 showed high potency (IC50=56 nM) and excellent selectivity for chymase compared to chymotrypsin and cathepsin G (>400-fold). The synthesis and structure-activity relationship of this class are described.

TT 404963-75-3 404963-79-7 404963-80-0 404963-81-1 404963-82-2 404963-91-3 404963-92-4 404963-93-5 404964-01-8 404964-02-9 404964-12-1 404964-36-9

640287-55-4 640287-56-5 640287-57-6

RL: PAC (Pharmacological activity); BIOL (Biological study)

(preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors) 404963-75-3 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)

RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)

RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)

RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3- (methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 404963-93-5 CAPLUS

CN Benzoic acid, 4-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)

RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 640287-51-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylthio)phenyl]- (CA INDEX NAME)

RN 640287-52-1 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)

RN 640287-53-2 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,2-dimethyl ester (CA INDEX NAME)

RN 640287-54-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(methoxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 640287-55-4 CAPLUS

CN Benzamide, N-(2-aminoacetyl)-4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

RN 640287-56-5 CAPLUS

CN Benzamide, N-[(2S)-2-amino-3-hydroxy-1-oxopropyl]-4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 640287-57-6 CAPLUS

CN Benzamide, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

IT 404963-90-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors)

RN 404963-90-2 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

## RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 98 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:757696 CAPLUS

DN 139:276810

TI Preparation of benzothiophenesulfonamide derivatives as human chymase inhibitors

IN Sato, Shoji; Mizuno, Yusuke; Masaki, Hidekazu

PA Toa Eiyo Ltd., Japan

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
P]	I WO 2003078419	A1	20030925	WO 2003-JP3023	20030313
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	RW: AT, BE, BG	, CH, CY	, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,
	IT, LU, MC	, NL, PT	, RO, SE,	SI, SK, TR	
				JP 2002-72307	A 20020315
	CA 2479353	A1	20030925	CA 2003-2479353	20030313
				JP 2002-72307	A 20020315

		A1 20041215 CH, DE, DK, ES, FR, FI, RO, CY, TR, BG,	EP 2003-712691 GB, GR, IT, LI, LU, CZ, EE, HU, SK JP 2002-72307	A 20020315
PATE FAN	NT FAMILY INFORMA: 2002:220571	TION:	WO 2003-JP3023	W 20030313
	PATENT NO.	KIND DATE		DATE
ΡΙ	CO, CR, G GM, HR, H LS, LT, H PT, RO, H US, UZ, N	CU, CZ, DE, DK, DM, HU, ID, IL, IN, IS, LU, LV, MA, MD, MG, RU, SD, SE, SG, SI, VN, YU, ZA, ZW	WO 2001-JP8061 BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SK, SL, TJ, TM, TR,	GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, PH, PL, TT, TZ, UA, UG,
	DE, DK, H	ES, FI, FR, GB, GR,		PT, SE, TR, BF, SN, TD, TG A 20000918 A 20010420
	AU 2001088053	A 20020326	AU 2001-88053 JP 2000-282046 JP 2001-122972 WO 2001-JP8061	20010917 A 20000918 A 20010420 W 20010917
	CA 2422807	A1 20030318	CA 2001-2422807 JP 2000-282046 JP 2001-122972 WO 2001-JP8061	20010917 A 20000918 A 20010420 W 20010917
		A1 20030709 CH, DE, DK, ES, FR, LT, LV, FI, RO, MK,	EP 2001-967708 GB, GR, IT, LI, LU, CY, AL, TR     JP 2000-282046     JP 2001-122972     WO 2001-JP8061	20010917 NL, SE, MC, PT, A 20000918 A 20010420 W 20010917
	CN 1245400	C 20060315	CN 2001-3F8061 JP 2000-282046 JP 2001-122972	20010917 20010917 A 20000918 A 20010420
	JP 3847711	B2 20061122	JP 2002-526848 JP 2000-282046 JP 2001-122972 WO 2001-JP8061	20010917 A 20000918 A 20010420 W 20010917
	US 20030229126 US 7071220	A1 20031211 B2 20060704	US 2003-388378 JP 2000-282046	20030313 A 20000918
	TTG 20060116400	71 0000001	JP 2001-122972 WO 2001-JP8061 JP 2002-72305 JP 2002-72306 JP 2002-72307	A 20010420 A2 20010917 A 20020315 A 20020315 A 20020315
	US 20060116408 US 7399781	A1 20060601 B2 20080715	US 2006-329505  JP 2000-282046  JP 2001-122972  WO 2001-JP8061  JP 2002-72305  JP 2002-72306  JP 2002-72307	20060110  A 20000918  A 20010420  A2 20010917  A 20020315  A 20020315  A 20020315

I 70 N I	2002.750620			US 2003-388378	A3	20030313
F AN	FAN 2003:750639 PATENT NO.		DATE	APPLICATION NO.		DATE
PI	JP 2003267870 US 20030229126	 А А1	20030925 20031211	JP 2002-72305 US 2003-388378		20020315
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				JP 2002-72307	Α	20020315
	US 20060116408 US 7399781	A1 B2	20060601 20080715	US 2006-329505		20060110
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				JP 2001-122972	Α	20010420
				WO 2001-JP8061		20010917
				JP 2002-72305		20020315
				JP 2002-72306		20020315
				JP 2002-72307		20020315
	0000 010001			US 2003-388378	A3	20030313
FAN	2003:918694 PATENT NO.	KIND	DATE	APPLICATION NO.	_	DATE
PI	JP 2003335670	A	20031125	JP 2003-70126 JP 2002-72306		20030314 20020315

OS MARPAT 139:276810

AB The title benzothiophenesulfonamide derivs. with general formula of I [wherein R1 = H, halo, or alkyl; R2 and R3 = independently alkyl; R4 = (un)substituted oxazolyl, imidazolyl, or thiazolyl] and pharmaceutically acceptable salt thereof are prepared as human chymase inhibitors. Thus, the compound II was prepared in a multi-step synthesis. II showed IC50 of 7 nmol/L against human chymase.

IT 603987-52-6P 603987-53-7P 603987-58-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of benzothiophenesulfonamide derivs. as human chymase inhibitors)

RN 603987-52-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-53-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-58-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 603987-43-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-48-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4- (4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-56-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2- (methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-57-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-59-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-60-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-61-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

IT 404963-90-2P 404964-36-9P 603987-63-9P 603987-64-0P 603987-65-1P 603987-66-2P

603987-70-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzothiophenesulfonamide derivs. as human chymase inhibitors)

RN 404963-90-2 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

RN 603987-63-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-64-0 CAPLUS

CN L-Alanine, N-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-70-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(2-bromoacetyl)-2- (methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

IT 404963-92-4 603987-69-5 603987-71-9

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzothiophenesulfonamide derivs. as human chymase inhibitors)

RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-69-5 CAPLUS

CN Benzamide, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-N-(2-oxopropyl)- (CA INDEX NAME)

RN 603987-71-9 CAPLUS

CN L-Cysteine, N-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3- (methylsulfonyl)benzoyl]-S-(triphenylmethyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

## THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 30 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 99 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2003:750639 CAPLUS

DN139:271052

ΤI Pharmaceuticals containing benzothiophenesulfonamides for prophylactic and therapeutic treatment of pulmonary hypertension  $% \left( \mathbf{r}\right) =\left( \mathbf{r}\right)$ 

Yoneyama, Fumiaki; Kuze, Tetsuro Toa Eiyo, Ltd., Japan IN

PA

SO Jpn. Kokai Tokkyo Koho, 31 pp. CODEN: JKXXAF

DT Patent

Japanese LA

	FAN.CNT 4 PATENT NO.					DATE		APPLICATION NO.							DATE		
PI	JP 2003267870 US 20030229126 US 7071220		A A1											20020			
	US 20060116408 US 7399781			22			0,01		JP 2 WO 2	JP 2000-282046 JP 2001-122972 WO 2001-JP8061				A A2	20010917		
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				В2		20080715			JP 2	2000-282046 2001-122972		A					
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PATE	NT FAMIL	Y INFO	N :	1:				JP 2002-72307 US 2003-388378									
FAN	2002:220571 PATENT NO.								APPLICATION NO.								
PI	WO 2002		AL, CU,	A1 AM, CZ, ID,	AT, DE, IL,	2002 AU, DK, IN,	0321 AZ, DM, IS,	BA, DZ, JP,	WO 2 BB, EC, KE,	2001- , BG, , EE, , KG,	JP80 BR, ES, KP,	61 BY, FI, KR,	BZ, GB, KZ,	C <i>P</i> GI	GE, LK,	CN, GH, LR,	

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WO 2001-JP8061 W 20010917

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       CN 1245400
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US 7071220 B2
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      JP 2002-72307
      A 20020315

      US 2003-388378
      A3 20030313

FAN 2003:757696
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                                                 DATE APPLICATION NO.
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        WO 2003078419
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      W 20030313

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      20030313

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JP 2002-72307 A 20020315
WO 2003-JP3023 W 20030313
FAN 2003:918694
                                        APPLICATION NO.
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                       KIND DATE
                                                                DATE
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                                         _____
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                             20031125 JP 2003-70126
    JP 2003335670
                       A
PΙ
                                                                20030314
                                          JP 2002-72306
                                                             A 20020315
OS
    MARPAT 139:271052
AΒ
    Title pharmaceuticals, which do not cause systemic hypotension, contain
    benzothiophenesulfonamides I (R1 = H, halo, lower alkyl; R2 = lower alkyl;
    R3, R4 = H, lower alkoxycarbonyl, lower alkylsulfonyl, Bz, C1-4 acyl, NO2,
    etc.; R5 = H, lower alkoxy, lower alkyl) or their pharmacol. acceptable
    salts as active ingredients. Thus, Me
    4-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonylamino)-3-
    methanesulfonylbenzoate inhibited human chymase with IC50 of 203 nmol/L.
    404963-75-3P 404963-76-4P 404963-77-5P
ΤT
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    404963-81-1P 404963-82-2P 404963-83-3P
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    404963-87-7P 404963-88-8P 404963-89-9P
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    603987-62-8P 603987-63-9P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of benzothiophenesulfonamides as chymase inhibitors for
       treatment of pulmonary hypertension)
    404963-75-3 CAPLUS
RN
    Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-
CN
     (methylsulfonyl)-, methyl ester (CA INDEX NAME)
```

RN 404963-76-4 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 404963-77-5 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 404963-78-6 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(ethylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)

RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)

RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)

RN 404963-83-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2,4-bis(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-84-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetyl-2-nitrophenyl)-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-85-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-86-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-benzoyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-87-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} S & O & CH_2-OH \\ \hline S & NH & O & S-Me \\ \hline O & O & S-Me \\ \hline O & O & O \end{array}$$

RN 404963-88-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-benzoylphenyl)-5-chloro-3-methyl-(CA INDEX NAME)

RN 404963-89-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404963-90-2 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 404963-93-5 CAPLUS

CN Benzoic acid, 4-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-94-6 CAPLUS

CN L-Serine, N-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 404963-96-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404963-98-0 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 404963-99-1 CAPLUS

CN 4-0xazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)

RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-03-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl-(CA INDEX NAME)

RN 404964-04-1 CAPLUS

CN Benzamide, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]- (CA INDEX NAME)

RN 404964-05-2 CAPLUS

CN Acetic acid, 2-[[2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl]thio]-, methyl ester (CA INDEX NAME)

RN 404964-06-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxy-4-nitrophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-07-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyano-2-nitrophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-08-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,4-dinitrophenyl)-3-methyl-(CA INDEX NAME)

RN 404964-09-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-methoxy-2-nitrophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-10-9 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, ethyl ester (CA INDEX NAME)

RN 404964-11-0 CAPLUS

CN Benzoic acid, 2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4,5-dimethoxy-, methyl ester (CA INDEX NAME)

RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-15-4 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)

RN 404964-16-5 CAPLUS

CN Glycine, N-[4-[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]-3-

(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)

RN 404964-17-6 CAPLUS

CN L-Serine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 404964-20-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 404964-21-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-

(5-oxazolyl)phenyl]- (CA INDEX NAME)

RN 404964-22-3 CAPLUS

CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)

RN 404964-23-4 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 404964-24-5 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

● Na

RN 404964-25-6 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN 404964-26-7 CAPLUS

CN L-Serine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 603987-37-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

RN 603987-38-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)

RN 603987-39-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:2) (CA INDEX NAME)

●2 Na

RN 603987-40-2 CAPLUS

CN L-Methionine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-41-3 CAPLUS

CN L-Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-42-4 CAPLUS

CN L-Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-

(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● Na

RN 603987-43-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-48-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-52-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-53-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4- (4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-56-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 603987-57-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-58-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 603987-59-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 603987-60-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)

RN 603987-61-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 603987-62-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-oxazolyl)phenyl]- (CA INDEX NAME)

RN 603987-63-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 603987-69-5 603987-71-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzothiophenesulfonamides as chymase inhibitors for treatment of pulmonary hypertension)

RN 603987-69-5 CAPLUS

CN Benzamide, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-N-(2-oxopropyl)- (CA INDEX NAME)

RN 603987-71-9 CAPLUS

CN L-Cysteine, N-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-S-(triphenylmethyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

IT 404964-36-9P 603987-64-0P 603987-65-1P

603987-66-2P 603987-70-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzothiophenesulfonamides as chymase inhibitors for treatment of pulmonary hypertension)

RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

RN 603987-64-0 CAPLUS

CN L-Alanine, N-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 603987-70-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(2-bromoacetyl)-2- (methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

L6 ANSWER 100 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:736210 CAPLUS

DN 140:139260

TI Effects of 5-HT6 receptor blockade on the neurochemical outcome of antidepressant treatment in the frontal cortex of the rat

AU Dawson, L. A.; Li, P.

CS Neuroscience Research, Wyeth-Ayerst, Princeton, NJ, USA

SO Journal of Neural Transmission (2003), 110(6), 577-590 CODEN: JNTRF3; ISSN: 0300-9564

PB Springer-Verlag Wien

DT Journal

LA English

AB Using in vivo microdialysis in the freely moving rat we have examined the effects of 5-HT6 receptor antagonism on the neurochem. outcome of antidepressant treatment. Acute administration of both desipramine (10 mg/kg s.c.) and venlafaxine (10 mg/kg s.c.) produced a 2 fold increase in

extracellular noradrenaline (NA) but no change in frontal cortex dopamine (DA), 5-HT or glutamate. Fluoxetine (20 mg/kg s.c.) produced no change in extracellular levels of any of the neurotransmitters examined SB-271046 produced a 3-fold increase in extracellular glutamate. Combination treatment of SB-271046 with each antidepressant produced no change in the antidepressant-induced changes in NA, DA or 5-HT. In contrast, both fluoxetine and venlafaxine attenuated the SB-271046-induced increase in extracellular glutamate, suggesting that 5-HT and possibly NA may be having an inhibitory action on the excitatory pathways enhanced by 5-HT6 receptor blockade. Furthermore, these data indicate that the neurochem. effects induced by NA and/or 5-HT re-uptake inhibitors are not enhanced by 5-HT6 receptor blockade indicating that 5-HT6 receptor antagonists are unlikely to augment the therapeutic efficacy of these types of antidepressants.

IT 209481-20-9, SB-271046

RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effects of 5-HT6 receptor blockade on the neurochem. outcome of antidepressant treatment in the frontal cortex of the rat)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 101 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:633473 CAPLUS

DN 139:159959

TI Method using 5-HT6 receptor antagonists for promoting neuronal growth

IN Foley, Andrew; Gallagher, Helen; Hagan, James; Regan, Ciaran; Upton, Neil

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
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			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
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		RW: GH, GM, K KG, KZ, M			MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,	

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG GB 2002-2680 A 20020205 GB 2002-22616 20020930 Α AU 2003244452 20030902 Α1 AU 2003-244452 20030204 GB 2002-2680 Α 20020205 GB 2002-22616 Α 20020930 WO 2003-GB462 W 20030204 EP 1471912 20041103 Α1 EP 2003-737355 20030204 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK GB 2002-2680 Α 20020205 GB 2002-22616 20020930 Α WO 2003-GB462 W 20030204 JP 2005522432 Τ 20050728 JP 2003-565480 20030204 GB 2002-2680 20020205 Α GB 2002-22616 20020930 Α WO 2003-GB462 20030204 W US 20070270432 Α1 20071122 US 2005-503679 20050912 GB 2002-2680 20020205 Α GB 2002-22616 20020930 Α WO 2003-GB462 W 20030204

AB The invention provides a method for promoting neuronal growth within the central nervous system of a mammal, as well as 5-HT6 antagonist compds. and pharmaceutical compns. for use in the method. Compds. of the invention include e.g. N-(3,5-dichloro-2-methoxyphenyl)-4-methoxy-3-piperazin-1-ylbenzenesulfonamide.

IT 209481-20-9 209481-24-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 receptor antagonists for promoting neuronal growth)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

# RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 102 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:601375 CAPLUS

DN 140:122574

TI Blockade of serotonin 5-HT1B and 5-HT2A receptors suppresses the induction of locomotor activity by 5-HT reuptake inhibitors, citalopram and fluvoxamine, in NMRI mice exposed to a novel environment: a comparison to other 5-HT receptor subtypes

AU Millan, Mark J.; Veiga, Sylvie; Girardon, Sylvie; Brocco, Mauricette

CS Centre de Recherches de Croissy, Psychopharmacology Department, Institut de Recherches Servier, Croissy/Seine, 78290, Fr.

SO Psychopharmacology (Berlin, Germany) (2003), 168(4), 397-409 CODEN: PSCHDL; ISSN: 0033-3158

PB Springer-Verlag

DT Journal

LA English

Though 5-HT plays an important role in the modulation of motor function, AB which is perturbed in depressive states, little is known concerning the influence of serotonin reuptake inhibitors (SSRIs) on locomotor activity (LA). Recently, we demonstrated that SSRIs, such as citalopram, enhance LA in mice exposed to a novel environment. This study examined the role of multiple classes of 5-HT receptor in citalopram-induced LA. The most selective antagonists currently available were used. Citalopram-induced LA was dose-dependently attenuated by the 5-HT1B/1Dreceptor antagonists, S18127, GR125,743 and GR127,935, and by the selective 5-HT1B antagonist, SB224,289, but unaffected by the selective 5-HT1A antagonist, WAY100,635. The selective antagonists at 5-HT2A receptors, MDL100,907 and SR46,349 also dose-dependently attenuated induction of locomotion by citalopram, whereas the 5-HT2B antagonist, SB204,741, and the 5-HT2B/2C antagonist, SB206,553 were ineffective. Further, the selective 5-HT2C antagonist, SB242,084, potentiated the response to citalopram. Selective antagonists at 5-HT3 (ondansetron), 5-HT4 (GR125,487), 5-HT6 (SB271,046) and 5-HT7 (SB269,970) receptors did not significantly modify the action of citalopram. Underpinning these findings, SB224,289, GR125,743, MDL100,907 and SR46,349 likewise attenuated induction of locomotion by a further SSRI, fluvoxamine. The locomotor response to SSRIs of mice exposed to a novel environment is mediated via 5-HT1B and 5-HT2A receptors. In view of the importance of motor function to the etiol. and treatment of depression, the significance of these observations to the clin. actions of SSRIs will be of interest to elucidate.

IT 209481-20-9, SB271046

RL: PAC (Pharmacological activity); BIOL (Biological study) (role of multiple classes of 5-HT receptor in citalogram-induced

locomotor activity)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperaziny1)pheny1]-3-methyl- (CA INDEX NAME)

RE.CNT 129 THERE ARE 129 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 103 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:542497 CAPLUS

DN 139:317826

TI Characterization of the 5-HT6 receptor coupled to Ca2+ signaling using an enabling chimeric G-protein

AU Zhang, Jean Y.; Nawoschik, Stanley; Kowal, Dianne; Smith, Deborah; Spangler, Taylor; Ochalski, Rafal; Schechter, Lee; Dunlop, John

CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, 08543-8000, USA

SO European Journal of Pharmacology (2003), 472(1-2), 33-38 CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier Science B.V.

DT Journal

LA English

The authors examined the feasibility of coupling the 5-HT6 receptor to a AB Ca2+ signaling read-out using a chimeric G-protein, comprising of  $G\alpha q$  with the C-terminal five amino acids from  $G\alpha s$ , to facilitate assays on the fluorometric imaging plate reader (FLIPR). Using a transient transfection assay in human embryonic kidney (HEK) cells, Ca2+ signaling in response to serotonin (5-HT) was facilitated by co-transfection of the 5-HT6 receptor with the  $G\alpha q/G\alpha s$ chimera, but not with the 5-HT6 receptor alone or with a similar chimera incorporating the C-terminal five amino acids of Gai3. A series of agonist concentration-response curves were constructed using the  $5-HT6-G\alpha q/G\alpha s$  signaling assay generating the following rank order of agonist potency; 5-methoxytryptamine (EC50, 9 nM)=5-HT (12 nM)=2-Me 5-HT (13 nM)>tryptamine (86 nM)=5-carboxamidotryptamine (5-CT) (119 nM)»lisuride (>1  $\mu$ M). In comparison, essentially identical EC50 values were observed for the stimulation of cAMP accumulation with the same compds.; 5-methoxytryptamine (EC50, 6 nM)=5-HT (6 nM)=2-Me 5-HT (15 nM)>tryptamine (91 nM)=5-CT (153 nM)>lisuride (>350 nM). Clozapine and SB 271046 both produced a concentration-dependent antagonism of the 5-HT-stimulated

Ca2+ response with IC50 values of 45 and 11 nM, resp. In contrast, aripiprazole, a recently launched atypical anti-psychotic with a novel mechanism of action described as a dopamine/serotonin stabilizer, was essentially devoid of 5-HT6 receptor antagonist activity. The authors' results demonstrate that a FLIPR-based Ca2+ signaling assay is a feasible approach to the functional characterization of 5-HT6 receptor ligands. Moreover, the equivalent coupling efficiency, as indexed by agonist potency, observed using this system compared with the native coupling assay to cAMP

suggests that the C-terminal five amino acids of  $G\alpha s$  are the major determinant for the receptor/G-protein interaction of the 5-HT6 receptor subtype.

IT 209481-20-9, SB 271046

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)

(characterization of 5-HT6 receptor coupled to Ca2+ signaling using an enabling chimeric G-protein as evaluated in human embryonic kidney cells)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 104 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:396852 CAPLUS

DN 138:401602

TI Preparation of N-(1H-indol-5-yl) sulfonamide derivatives with 5-HT6 receptor antagonist activity, their preparation, and their application as medicaments for CNS diseases

IN Merce-Vidal, Ramon; Andaluz-Mataro, Blas; Frigola-Constansa, Jordi

PA Laboratorios Del Esteve, S.A., Spain

SO PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DT Patent

LA Spanish

FAN.CNT 2

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			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
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			KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
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			CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,									
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OS	MAF	RPAT	138:	4016	02	_					JS , -	2004	-9939	51		A3	2004	1119

AΒ The invention relates to novel N-(1H-indol-5-yl)-substituted sulfonamide derivs. I and their physiol. acceptable salts [wherein: A = (un) substituted 5- or 6-membered heteroaryl, bicyclic heteroaryl, phenylalkyl,  $\beta$ -styryl, naphthyl, 2,2-diphenylethyl, aryl-W-aryl, or substituted Ph; R1 = H, alkyl, benzyl; n = 0-4; R2 = NR4R5, cyclic (un)saturated amino (e.g., piperidino, piperazino, etc.); R3, R4, R5 = H or alkyl; substituents on A = H, F, Cl, Br, alkyl, alkoxy, alkylthio, CF3, cyano, NO2, NR4R5; W = bond, CH2, O, S, or NR4]. The invention also

relates to methods of preparing I, to their application as medicaments for human and/or veterinary therapy, and to pharmaceutical compns. containing them. A group of 53 example compds. is listed and claimed, and 5 example prepns. are given. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II. In a test for inhibition of binding of [3H]-LSD to recombinant human 5-HT6 receptors expressed in HEK-293 cell membranes, II had an IC50 of 0.13 nM. Thirteen other I had IC50 values ranging from 0.28 nM to 24.3 nM.

528858-69-7P, N-[3-[2-(Diethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528858-94-8P, N-[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-09-8P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-12-3P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide hydrochloride 528859-48-5P , N-[3-[(4-Methylpiperazin-1-yl)methyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P, N-[3-[2-(Morpholin-4-y1)]-1H-indol-5-y1]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P, N-[3-[(Dimethylamino)methyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-90-7P, N-[3-[2-(Dipropylamino)ethyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528859-93-0P, N-[3-[2-(Dibutylamino)ethyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528860-08-4P, N-[3-(Octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528860-23-3P, N-[3-[3-(Diethylamino)propyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide 528860-26-6P, N-[3-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-5-yl]-5-chloro-3methylbenzo[b]thiophene-2-sulfonamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-indolyl sulfonamide derivs. with  $5-{\rm HT6}$  receptor antagonist activity for treatment of CNS diseases) 528858-69-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528858-94-8 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN

RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-12-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

### ● HCl

RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dibutylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indolizinyl)-1H-indol-5-yl]- (CA INDEX NAME)

528860-23-3 CAPLUS RN

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(diethylamino)propyl]-1Hindol-5-yl]-3-methyl- (CA INDEX NAME)

Et<sub>2</sub>N- (CH<sub>2</sub>)<sub>3</sub>

$$NH-S$$

$$NH-S$$

$$Me$$

$$CI$$

528860-26-6 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-CN pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

#### RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 105 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2003:394851 CAPLUS

DN 138:385174

TΙ Preparation of aryl-amidine derivatives as anticoagulants and thrombosis agents

Satoh, Takashi; Okamoto, Yasushi; Asano, Osamu; Watanabe, Nobuhisa; ΙN Nagakura, Tadashi; Saeki, Takao; Inoue, Atsushi; Sakurai, Masahiro

PA

Eisai Co., Ltd., Japan Eur. Pat. Appl., 54 pp. SO CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

L'AIN.		TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.	D.	ATE	
ΡI	EP	 1312	602			 A1		2003	 0521		 EP 2	002-	 2558	 0	 - 2	 0021	 115
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						ш∨,	гт,	RO,	MIN,	•	•	001-				0011	115
	JΡ	P 2003212837				Α		2003	0730		JP 2	002-	3073	58	2	0021	022

 20030181766 6916837	A1 B2	20030925 20050712		2001-350637 2002-294198	А	20011115 20021114
			JP	2001-350637	A	20011115
			JP	2002-307358	A	20021022

OS MARPAT 138:385174

AB Title compds. I [X = alkyl, halo, NH2, etc.; Y = Ar2-CO2R5; Ar2 = aryl, (un)substituted 5-14 membered heterocycle; R5 = H, alkyl; R3 = H, OH, acyl, alkoxycarbonyl; Ar1 = 2,6-naphthylene, 1,4-phenylene, etc.] are prepared as anticoagulants. For instance, tert-Bu 2-(6-cyano-2-naphthyloxy)-5-nitrobenzoate (preparation given) was reduced (EtOHaq, Fe, NH4Cl), reacted with MsCl (pyridine), H2NOH•HCl (EtOH, K2CO3, 60°, 12 h), Ac2O (HOAc, 15 min), reduced with H2/Pd-C (6 h) and finally deprotected (CH2Cl2, TFA) to give II as the trifluoroacetate. Selected invention compds. have IC50 = 1.43 - 0.004 μM for blood clotting factor VIIa.

IT 526219-36-3P, 2'-(6-Amidino-2-naphthyloxy)-5'-[[[5-chloro-3-methylbenzo[b]thiophene-2-yl]sulfonyl]amino]-1,1'-biphenyl-2-carboxylic acid trifluoroacetate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 526219-36-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-[[6-(aminoiminomethyl)-2-naphthalenyl]oxy]-5'-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 526219-35-2 CMF C33 H24 Cl N3 O5 S2

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CM 2

CRN 76-05-1 CMF C2 H F3 O2

# RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 106 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:376640 CAPLUS

DN 138:379235

 ${\tt TI}$  Use of sulfonamide derivatives in the treatment of obesity or for the reduction of food intake

IN Caldirola, Patrizia

PA Biovitrum AB, Swed.

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

r AN .		TENT :	NO.			KIN	D	DATE			APF	PLI	CAT	ION 1	.00		Γ	DATE	
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	US 20030166663					A1		2003	0904		US SE	20 20	02-2 01-3	3568 3568	15		A 2	20021 20021 20011 20020	108 109

OS MARPAT 138:379235

AB A method for the treatment or prophylaxis of obesity or for the reduction of food intake is described which comprises administering to a patient in need of such treatment a therapeutically effective amount of a sulfonamide

compound [e.g., 4-tert-butyl-N-(4-piperazin-1-ylquinolin-6-yl)benzenesulfonamide].

IT 389637-13-2

RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of sulfonamide derivs. in the treatment of obesity or for the reduction of food intake)

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

## RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 107 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:300646 CAPLUS

DN 138:304286

TI Preparation of 4-imidazole derivatives of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as  $\alpha 1A$  adrenoceptor agonists

IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F.; Khilevich,
 Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.; Searle,
 Xenia; Yang, Fan

PA USA

SO U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S. 6,503,935. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

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          RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
                CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO
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OS MARPAT 138:304286

AB The title compds. (I) [wherein R1 = SO2R9 or COR10; R2 = H, (halo)alkyl, aryl(alkyl), or cycloalkyl(alkyl); R3-R6 = independently H, alkoxy, alkenyl, (halo)alkyl, cycloalkyl, halo, or OH; or R6 and R7 together with the C to which they are attached form a 5-7 membered carbocycle or 5-6 membered (un)substituted heterocycle; or R7 and R8 together = :CR12R13; R8 = absent or H; R9 = (aryl)alkenyl, (aryl)alkyl, (aryl)alkynyl,

cycloalkyl(alkyl), haloalkyl, heterocycle, or (un)substituted amine; R10 = (aryl)alkyl, alkenyl, (halo)alkoxy, aryl(oxy), cycloalkyl(alkyl), cycloalkyloxy, haloalkyl, or (un) substituted amine, azetidinyl, piperazinyl, piperidinyl, pyrrolidinyl, morpholinyl, etc.; R12 and R13 = independently H, (aryl)alkyl, alkoxy, aryl, or cycloalkyl(alkyl); or R12 and R13 together with the C to which they are attached form a 3-7 membered carbocycle; R14 = H or alkyl] were prepared as  $\alpha$ 1A adrenoceptor agonists for the treatment of urinary incontinence or retrograde ejaculation. For example, 4-iodo-1-trityl-1H-imidazole was treated sequentially with EtMgBr, 5-nitrotetralone, and NH4Cl in CH2Cl2 to give 4-(5-nitro-3,4-dihydro-1-naphthalenyl)-1H-imidazole. N-BOC protection, reduction using Pd/C in AcOEt, treatment with EtSO2C1 in the presence of TFA, and conversion to the salt afforded II-maleate. In radioligand binding assays, II-maleate showed good selectivity for binding to the  $\alpha \text{1A}$  adrenoceptor subtype vs. the  $\alpha \text{1B}$  and  $\alpha \text{1D}$  subtypes with Ki values of 176 nM, 4620 nM and 1590 nM, resp. In addition, II•maleate was efficacious in constricting the urethra with an IUP ED5 (the mean dose causing a maximum increase in intraurethral pressure of 5 mm Hg) of 10.7 nmol/kg in anesthetized dogs.

IT 258527-24-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as  $\alpha 1A$  adrenoceptor agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)

L6 ANSWER 108 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:282556 CAPLUS

DN 138:304161

TI Preparation of 2-(aminoalkyl)chromans as 5-hydroxytryptamine-6 ligands for treatment of CNS disorders

IN Greenblatt, Lynne Padilla; Kelly, Michael Gerard

PA Wyeth, John, and Brother Ltd., USA

SO PCT Int. Appl., 63 pp. CODEN: PIXXD2

DT Patent

LA English

) 2003 W:	AE, CO, GM, LS,	AG, CR, HR,	AL, CU,	CZ,	AT,				WO 2	2002-	US30	955			20020	930
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I [wherei: SNH; R = halo, CN, OR1	FI, FR, GB, GR, IE, CG, CI, CM, GA, GN, A 2461381 A1  J 2002334722 A1  J 1432696 A1 R: AT, BE, CH, DE, DK, IE, SI, LT, LV, FI, A 2002013094 A  J 1561338 A  J 2005505586 T  J 20030158175 A1 J 6706757 B2  J 2004PA03087 A  ARPAT 138:304161 Ltle compds. I [wherein Y SNH; R = halo, CN, OR13, CO	FI, FR, GB, GR, IE, IT, CG, CI, CM, GA, GN, GQ, A 2461381 A1 2003  7 2002334722 A1 2003  7 1432696 A1 2004  R: AT, BE, CH, DE, DK, ES, IE, SI, LT, LV, FI, RO, A 2002013094 A 2004  8 2002013094 A 2005  8 20030158175 A1 2003  8 20030158175 A1 2003  8 2004PA03087 A 2004  ARPAT 138:304161  ALLE compds. I [wherein Y = SO SNH; R = halo, CN, OR13, CO2R1	FI, FR, GB, GR, IE, IT, LU, CG, CI, CM, GA, GN, GQ, GW, A 2461381 A1 20030410  J 2002334722 A1 20030414  J 1432696 A1 20040630 R: AT, BE, CH, DE, DK, ES, FR, IE, SI, LT, LV, FI, RO, MK, A 2002013094 A 20041013  J 1561338 A 20050105 J 2005505586 T 20050224  J 20030158175 A1 20030821 J 200403087 A 20040906  ARPAT 138:304161 Ltle compds. I [wherein Y = S02NR9]  ARPAT 138:304161 Ltle compds. I [wherein Y = S02NR9]  ARPAT 138:304161 Ltle compds. I [wherein Y = S02NR9]	FI, FR, GB, GR, IE, IT, LU, MC, CG, CI, CM, GA, GN, GQ, GW, ML, 2461381  A1 20030410  D 2002334722  A1 20040630  R: AT, BE, CH, DE, DK, ES, FR, GB, IE, SI, LT, LV, FI, RO, MK, CY, E2002013094  A 20041013  D 1561338  A 20050105  D 2005505586  T 20030158175  A1 20030821  B 20040316  C 2004PA03087  A 20040906  ARPAT 138:304161  ALLe compds. I [wherein Y = S02NR9R10 SNH; R = halo, CN, OR13, CO2R14, CONR1	FI, FR, GB, GR, IE, IT, LU, MC, NL CG, CI, CM, GA, GN, GQ, GW, ML, MR US A 2461381  A1 20030410  CA US WO D 2002334722  A1 20030414  AU US WO D 1432696  R: AT, BE, CH, DE, DK, ES, FR, GB, GR IE, SI, LT, LV, FI, RO, MK, CY, AL US WO D 2002013094  A 20041013  BR US WO D 1561338  A 20050105  CN US WO D 1561338  A 20050105  CN US WO D 1561338  A 20050105  CN US WO D 1561338  A 20040906  MX US WO D 156138  A 20040906  MX US WO D 156138  A 20040906  MX US WO D 15614  A 20040906  MX US WO D 15615  A 20040906  MX US WO D 15616  A 20040906	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, US 2001-  2461381 A1 20030410 CA 2002-  3 2002334722 A1 20030414 AU 2002-  3 2002334722 A1 20040630 EP 2002-  8 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, US 2001-  3 2002013094 A 20041013 BR 2002-  4 2002013094 A 20041013 BR 2002-  5 2005505586 T 20050224 JP 2003-  5 20030158175 A1 20030821 US 2001-  5 20030158175 A1 20030821 US 2002-  6 20040403087 A 20040906 MX 2004-  6 2004PA03087 A 20040906 MX 2004-  6 2004PA03087 A 20040906 MX 2004-  6 2004PA03087 A 20040906 MX 2004-  6 2002-  6 2004PA03087 A 20040906 MX 2004-  6 2004PA0404 A 2004PA04-  6 2004PA0404 A	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, US 2001-3269.  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WO 2002-US30	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, US 2001-326957P  2461381  A1 20030410  CA 2002-2461381  US 2001-326957P  WO 2002-US30955  2002334722  A1 20040630  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, US 2001-326957P  WO 2002-US30955  2002013094  A 20041013  BR 2002-13094  A 20041013  BR 2002-13094  US 2001-326957P  WO 2002-US30955  CN 2002-US30955  A 2005505586  T 20050224  JP 2003-532487  US 2001-326957P  WO 2002-US30955  CN 2002-263890  GO 2002-263890  US 2001-326957P  WO 2002-263890  US 2001-326957P  WO 2002-US30955  US 2001-326957P  WO 2002-US30955	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  ### 2461381  ### A1	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  1 2461381  A1 20030410  CA 2002-2461381  US 2001-326957P  WO 2002-US30955  W 2  US 2001-326957P  WO 2002-US30955  W 2  US 2001-326957P  WO 2002-US30955  W 2  I 2432696  A1 20040630  EP 2002-800383  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  US 2001-326957P  WO 2002-US30955  W 2  2 2002013094  A 20041013  BR 2002-US30955  W 2  W 2 2001-326957P  WO 2002-US30955  W 2  W 2 2001-326957P  W 2 2002-US30955  W 2  W 2 2001-326957P  W 2 2001-326957P	US 2001-326957P

independently (un)substituted alkyl or (hetero)aryl; R13 = H, CO2R18, or (un)substituted alkyl, alkenyl, alkynyl, or (hetero)aryl; R14 and R18 = independently H or (un)substituted alkyl, alkenyl, alkynyl, cyclo(hetero)alkyl, or (hetero)aryl; R15 and R16 = independently H or (un)substituted alkyl; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as 5-hydroxytryptamine-6 (5-HT6) ligands. For example, cycloaddn. of N-(4-acetyl-3-hydroxyphenyl)acetamide with di-Et oxalate in the presence of NaOEt in EtOH provided Et 7-amino-4-oxo-4H-chromene-2-carboxylate (61%). Hydrogenation of the chroman (89%) with Pd/C, followed by reduction of the ester using LiBH4 gave 7-amino-2-(hydroxymethyl)chroman (90%). Addition of PhSO2C1 in pyridine

afforded the N,O-disubstituted derivative (92%). Reaction with 3-amino-1-propanol in pyridine and conversion to the salt provided II•hemifumarate. The latter exhibited binding to the 5-HT6 receptor with Ki of 5 nM in cultured HeLa cells expressing human cloned 5-HT6 receptors. Thus, I are useful for the treatment of CNS disorders, such as motor disorder, anxiety, cognitive disorder, schizophrenia, depression, Alzheimer's disease, Parkinson's disease, and attention deficit disorder (no data).

IT 507277-03-4P, 5-Chloro-3-methyl-N-[2-[[((1R)-1-phenylethyl)amino]methyl]-3,4-dihydro-2H-chromen-7-yl]-1-benzothiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(5-HT6 ligand; preparation of (aminoalkyl)chroman 5-HT6 ligands for treatment of CNS disorders)

RN 507277-03-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3,4-dihydro-2-[[[(1R)-1-phenylethyl]amino]methyl]-2H-1-benzopyran-7-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

# RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 109 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:221693 CAPLUS

DN 138:238197

- TI Preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases
- IN Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng, Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka; Miyazaki, Yasushi; Nakano, Masato; Rocher, Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva, Domingos J.; Tang, Jun
- PA Glaxosmithkline K.K., Japan; Smithkline Beecham Corporation
- SO PCT Int. Appl., 265 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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        CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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OS MARPAT 138:238197

AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine), which are useful as TIE-2 (tyrosine kinase containing immunoglobin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by .apprx.60 examples of I are included as ranges; also, 4-amino-3-[4-[[2-fluoro-5-

(trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC50 =  $0.0018 \mu M$  in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R3, heterocyclyl, -RR3, -C(0)OR4, -C(0)NR5R6, -C(0)R4; D is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R3, heterocyclyl, -RR3, -C(0)0R4, -C(0)NR5R6, or -C(0)R4. R is C1-C6 alkylene, C3-C7 cycloalkylene, C1-C6 alkenylene, or C1-C6 alkynylene; R1 is H, C1-C6 alkyl, C1-C6 alkoxy, -SR4, -S(0)2R4, -NR7R7, -NR'N R'''R'''', -N(H)RR3, -C(O)OR7, or -C(O)NR7R7. R2 is H, -OH, -NR7R7 or :NH; R3 is halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6 haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN, -NHC(O)R4, -N(R8)HC(O)R4, -NHC(S)R4, -NR5R6, -RNR5R6, -SR4, -S(O)2R4, -RC(0)OR4, -C(0)OR4, -C(0)R4, -C(0)NR5R6, -NHS(0)2R4, -N(S(0)2R4)S(0)2R4, -S(O)2NR5R6, or -NHC(:NH)R4. R4 is H, C1-C6 alkyl, aryl, heteroaryl, heterocyclyl, -RR3, -NR'''R'''', or - NR'NR'''R''''; R5 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -NHC(0)OR''', -R'NHC(0)OR''', -R'NHC(0)NR'''R'''', or -R'C(0)OR'''. R6 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -C(0)OR''', or -R'C(0)NR'''R'''; R7 is H, C1-C6 alkyl, aryl, or -C(O)OR'''; R8 is C1-C3 alkyl; R' is C1-C3 alkylene; R'' is heteroalkyl or NRR'''R''''; R''' is H, C1-C6 alkyl, aryl, aralkyl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, C1-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl. Although the methods of preparation are not claimed, several example prepns. of I are included and characterization data is given for .apprx.480 examples of I.

IT 501697-48-9P, 4-Amino-5-[4-[(5-chloro-3-methylbenzo[b]thiophene-2sulfonyl)amino]phenyl]furo[2,3-d]pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

501697-48-9 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, N-[4-(4-aminofuro[2,3-d]pyrimidin-5-CN yl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

L6 ANSWER 110 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2003:17797 CAPLUS

138:73257 DN

TΙ Preparation of imidazoles and related compounds as  $\alpha$ 1A agonists

Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F., Jr.; Holladay, INMark W.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.

Abbott Laboratories, USA PΑ

SO U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 130,799, abandoned. CODEN: USXXAM

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	WO	2001				A1		2001	0823	M	Ο	200	1-1	US34	66			20010	201
			CA,	,		~							_	~-					
		RW:		BE, SE,		CY,	DE,	, DK,	ES,	F1,	F.F	₹, (-	iΒ,	GR,	IE,	11,	ь	J, MC,	NL,
			гт,	JE,	ır					IJ	S	200	00-	5067	50		А	20000	1217
	EP	1259	491			A1		2002	1127						00			20010	
		R:					DK,	ES,	FR,									Ξ, MC,	PT,
			IE,	FI,	CY,	TR													
														5067 US34			A W		
	.TD	2003	5233	3 3		т		2003	<b>1815</b>					0834 5601			W	20010	
	OI	2005	5255	55		_		2003	0005					5067			Α	20000	
														US34			W	20010	
	MX	2002	PA08	001		А		2003	0128					PA80				20020	
														5067				20000	
Ω¢	1./1.7\ T	RPAT	120.	7225	7					W	U	200	) T —	US34	66		W	20010	1201
OS AB						T: R	1 =	SO2R	9. CO	OR9 (	RC	) =	al'	kenv	l. a	lkv1	ء ۔ ا	alkyny	7].
																		yl, et	

B The title compds. [I; R1 = SO2R9, COR9 (R9 = alkenyl, alkyl, alkynyl, etc.); R2 = H, alkenyl, alkoxy, etc.; R3 = H, alkenyloxy, alkyl, etc.; R4 = H, alkyl, alkoxy, haloalkyl, etc.; R3 and R4 together with the carbon atoms to which they are attached form a 5-7 membered carbocyclic ring, 5-6 membered ring containing 1 heteroatom selected from O, NR11, SOn (R11 = H, alkenyl, alkyl, etc.; n = 0-2); R5 = imidazolyl, pyrazolyl, oxazolyl, etc.; R6 = H, alkoxy, alkyl, etc.; R7 = H, alkenyl, alkyl, etc.; R8 = H, alkyl; R3 and R8 together with the carbon atom to which they are attached form a 3-6 membered carbocyclic ring, C:CR12R15 (R12, R15 = H, alkoxy, alkyl, etc.)], useful in treating diseases prevented by or ameliorated with α1A agonists, were prepared E.g., a detailed multi-step synthesis of II.HC1, was given. Biol. data for compds. I were presented.

T 258527-24-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoles and related compds. as  $\alpha 1A$  agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 111 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:964319 CAPLUS

DN 138:39302

 ${\tt TI}$  Preparation of substituted sulfonamides as 5-HT6 receptor modulators for the treatment of CNS disorders, obesity and type II diabetes

IN Beierlein, Katarina; Bremberg, Ulf; Caldirola, Patrizia; Jenmalm Jensen, Annika; Johansson, Gary; Mott, Andrew; Tedenborg, Lars; Thor, Markus

PA Biovitrum AB, Swed.

SO PCT Int. Appl., 131 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

1 2111.	PATENT NO.				KIND DATE			APPLICATION NO.						DATE			
ΡI	WO 200	 21008	22		A1	_	2002	1219	Ī	 WO 2	002-	====: SE11:	 26		2	0020	 611
	₩:	GM,	CR, HR,	CU, HU,	CZ, ID,	DE,	DK, IN,	DM, IS,	DZ, JP,	EC, KE,	EE, KG,	ES, KP,	FI, KR,	GB, KZ,	GD, LC,	GE, LK,	GH, LR,
		PL,	LT, PT, UG,	RO,	RU,	SD,	SE,	SG,	SI,	SK,							
	RW	: AT,		CH,					•		GB,	GR,	IE,	IT,	LU,	MC,	NL,
									:	SE 2	001- 001-	2386		j	A 2	0010	703
	CA 244	5653			A1		2002	1219	(	CA 2	001- 002-	2445	653		2	0011 0020	611
										SE 2	001- 001-	2386			A 2	0010 0010	703
									Ī	wo 2	001- 002-	SE11	26		W 2	0011 0020	611
	AU 200 AU 200						2002 2008		i	AU 2	002-	3094.	35		2	0020	611
										SE 2	001-	2048			A 2	0010	611

US 2003015820 US 7144883	)2 A1 B2	20030821 20061205	SE 2001-2386 SE 2001-3437 WO 2002-SE1126 US 2002-167141	A A W	20010703 20011016 20020611 20020611
EP 1412325	A1	20040428	SE 2001-2048 SE 2001-2386 SE 2001-3437 EP 2002-778916	A A A	20020611
		DK, ES, FR, FI, RO, MK,	SE 2001-2048	А	20010611
BR 2002010291	A	20040713	SE 2001-2386 SE 2001-3437 WO 2002-SE1126 BR 2002-10291	A A W	20010703 20011016 20020611 20020611
BR 2002010291	A	20040713	SE 2001-2048 SE 2001-2386 SE 2001-3437	A A A	20020611 20010611 20010703 20011016
CN 1522245	А	20040818	WO 2002-SE1126 CN 2002-810377 SE 2001-2048	W A	20020611 20020611 20010611
ZA 2003008097	7 A	20041018	SE 2001-2386 SE 2001-3437 ZA 2003-8097	A A	20010703 20011016 20020611
JP 2004536080	) T	20041202	SE 2001-2048 JP 2003-503591 SE 2001-2048	A A	20010611 20020611 20010611
CN 1800185	A	20060712	SE 2001-2386 SE 2001-3437 WO 2002-SE1126 CN 2005-10138144	A A W	20010703 20011016 20020611 20020611
			SE 2001-2048 SE 2001-2386 SE 2001-3437	A A A	20010611 20010703 20011016
NZ 529032	А	20070427	CN 2002-810377 NZ 2002-529032 SE 2001-2048 SE 2001-2386	A3 A A	20020611 20020611 20010611 20010703
MX 2003PA1108	33 A	20040708	SE 2001-3437 WO 2002-SE1126 MX 2003-PA11083 SE 2001-2048	A W	20011016 20020611 20031202 20010611
0.0.0 ove 4.0.0		20252125	SE 2001-2386 SE 2001-3437 WO 2002-SE1126	A A W	20010703 20011016 20020611
IN 2003CN0195	57 A	20060106	IN 2003-CN1957 SE 2001-2048 SE 2001-2386 SE 2001-3437	A A A	20031209 20010611 20010703 20011016
US 2007006659	98 A1	20070322	WO 2002-SE1126 US 2006-509914 SE 2001-2048	W A	20020611 20060825 20010611
US 2007006659	99 A1	20070322	SE 2001-2386 SE 2001-3437 US 2002-167141 US 2006-509989 SE 2001-2048 SE 2001-2386	A A A3 A	20010703 20011016 20020611 20060825 20010611 20010703

US 20070066600	A1	20070322	SE 2001-3437 US 2002-167141 US 2006-510324	A A3	20011016 20020611 20060825
			SE 2001-2048	Α	20010611
			SE 2001-2386	А	20010703
			SE 2001-3437	A	20011016
			US 2002-167141	АЗ	20020611
IN 2007CN03778	A	20071221	IN 2007-CN3778		20070830
			SE 2001-2048	A	20010611
			WO 2002-SE1126	W	20020611
			IN 2003-CN1957	A3	20031209
KR 2008080172	A	20080902	KR 2008-716920		20080711
			SE 2001-2048	Α	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	Α	20011016
			WO 2002-SE1126	W	20020611
			KR 2003-716203	А3	20031211

OS MARPAT 138:39302

AB The title compds. [I; ring B = II or III (wherein D = 5-membered heterocyclyl of heteroaryl; with the proviso that when D contains O, D is heteroaryl); W = N, CH (not more than three groups W are N in both rings A and B together); P = NR2SO2R1, SO2NR1R2; P and R3 are bound to the same ring and are disposed in meta- or para-positions relative to each other; R1 = alkyl, alkoxyalkyl, aryl, etc.; R2 = H, alkyl, alkoxy, etc.; or R1 and R2 are linked to form (CH2)4O; one of R3 = (un)substituted piperazino, diazepino, 4-piperidinyl, etc.; X, Y = H, halo, alkyl, etc.], potentially useful for the prophylaxis and treatment of medical conditions relating to obesity, type II diabetes and/or disorders of the central nervous system, were prepared E.g., a multi-step synthesis of IV.HCl, starting from 1-chloro-4-nitronaphthalene and tert-Bu 1-piperazinecarboxylate, was given. The compds. I have a selective affinity to 5-HT6 receptors with Ki values between 0.5 nM and 5  $\mu$ M.

IT 478617-02-6P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as 5-HT6 receptor modulators for the treatment of CNS disorders, obesity and type II diabetes)

RN 478617-02-6 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[7-(1-piperazinyl)-5-benzofuranyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

### RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 112 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:925014 CAPLUS

DN 139:52462

TI Identification of a stable chymase inhibitor using a pharmacophore-Based database search

AU Koide, Yuuki; Tatsui, Akira; Hasegawa, Takeshi; Murakami, Akira; Satoh, Shoji; Yamada, Hideki; Kazayama, Shin-ichi; Takahashi, Atsuo

CS Drug Research Department, Tokyo Research Laboratories, TOA EIYO Ltd., 2-293-3 Amanuma, Saitama, 330-0834, Japan

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(1), 25-29 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB In general, serine protease chymase inhibitors readily decompose in plasma. We previously found that thiazolidine-2,4-dione and thiadiazole derivs. are also unstable. Using a pharmacophore-based database search, we identified a benzo[b]thiophen-2-sulfonamide derivative as a stable chymase inhibitor. Finding a lead compound with adequate activity and stability by a pharmacophore-based approach is more efficient than modifying an unstable compound to reduce its instability without simultaneously decreasing its inhibitory activity. Our pharmacophore model of chymase inhibitors suggests that the two hydrophobic interactions in the S1 and S1' regions and the two H-bonding interactions between them play important roles in chymase inhibitors.

IT 404964-12-1, MWP 00965

RL: MSC (Miscellaneous); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (identification of stable chymase inhibitor using pharmacophore-based database search)

RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 113 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:868929 CAPLUS

DN 137:353045

TI Preparation of sulfonamides as antagonists of urotensin II

IN Dhanak, Dashyant; Gallagher, Timothy F.; Knight, Steven D.

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2 Patent DT LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ PΙ WO 2002090353 Α1 20021114 WO 2002-US14408 20020507 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2001-289306P P 20010507 AU 2002256483 20021118 AU 2002-256483 A 1 20020507 US 2001-289306P Ρ 20010507 WO 2002-US14408 20020507 W EP 1385841 Α1 20040204 EP 2002-725952 20020507 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2001-289306P Ρ 20010507 WO 2002-US14408 20020507 W JP 2004529170 20040924 JP 2002-587432 20020507 US 2001-289306P Р 20010507 WO 2002-US14408 W 20020507 US 20040142948 Α1 20040722 US 2003-477099 20031107 WO 2002-US14408 W 20020507 MARPAT 137:353045 OS The title compds. [I; R1 = (un)substituted naphthyl, quinolinyl,

AB The title compds. [I; R1 = (un)substituted naphthyl, quinolinyl, benzothienyl, etc.; R2 = H, halo, CF3, etc.; R3, R4 = H, alkyl, CH2Ph; R9 = H, alkyl; X = O, S, CH2; n = 0-2], useful as antagonists of urotensin II, were prepared and formulated. E.g., a 6-step synthesis of (R)-II, starting from 2-chloro-5-nitroanisole, was given. Activity for the compds. I against h-U-II range from Ki = 10-10000 nM.

IT 474955-63-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as antagonists of urotensin II)

RN 474955-63-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[[(3R)-1-methyl-3-pyrrolidinyl]oxy]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

### ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 114 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2002:754370 CAPLUS
- DN 137:279466
- TI Preparation of N-(arylsulfonyl)- $\beta$ -amino acids having a substituted aminomethyl group and their pharmaceutical compositions
- IN Ferrari, Bernard; Gougat, Jean; Muneaux, Yvette; Perreaut, Pierre; Sarran, Lionel
- PA Sanofi-Synthelabo, Fr.
- SO PCT Int. Appl., 195 pp.
- CODEN: PIXXD2
- DT Patent
- LA French

FAN.		enen 1																
	PATENT NO.					KIND DATE			APPLICATION NO.						Ι	DATE		
ΡI	WO	2002	 0769	 64		A1		2002	1003		WO	2002-	 -FR10	 59		2	20020	 327
		W:	CO, GM, LS, PL,	CR, HR, LT, PT,	CU, HU, LU, RO,	CZ, ID, LV, RU,	DE, IL, MA, SD,	DK, IN, MD,	DM, IS, MG, SG,	DZ, JP, MK, SI,	EC KE MN Sk	B, BG, C, EE, KG, KG, MW, K, SL,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, OM,	GH, LR, PH,
		RW:	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR, GN,	IE GÇ	Z, TZ, Z, IT, Q, GW, 2001-	LU, ML,	MC, MR,	NL, NE,	PT, SN, A 2	SE, TD, 20010	TR, TG 328
		2822 2822				A1 B1		2002 2003			FR	2001-	-4315			2	20010	328
		2436				A1		2002			FR	2002- 2001- 2002-	-4315			A 2	20020 20010 20020	328
		2002 2002				A1 B2		2002 2007			AU	2002-	-2550	77		2	20020	327
												2001- 2002-					20010	
	EE	2003	0041	7		A		2003	1215		FR	2003- 2001- 2002-	-4315			A 2	20020 20010 20020	328
		1373 1373				A1 B1		2004 2007			EP	2002-	-7243	83		2	20020	327
		R:	AT,			DE,	DK,	ES,	FR,	CY,	ΑI	R, IT, , TR 2001-					MC,	
	BR	2002	0084	89		A		2004	0330		BR	2002- 2002- 2001-	-8489			2	20020 20020 20010	327
	ZA	2003	0060	37		A		2004	0805		WO	2001- 2002- 2003-	-FR10	59		W 2	20020	327
	JP	2004	5259	36		T		2004	0826		JΡ	2001- 2002- 2001-	-5762	24		2	20010 20020 20010	327
		1541				A		2004			WO	2001- 2002- 2002-	-FR10	59		W 2	20020	327
	CN	1297	546			С		2007	0131		FR	2001-	-4315			A 2	20010	328
		2004 2004				A2 A3		2004 2008			HU	2004-	-1538			2	20020	327

				FR	2001-4315	Α	20010328
				WO	2002-FR1059	W	20020327
TW	233923	В	20050611	TW	2002-91106017		20020327
				FR	2001-4315	Α	20010328
NZ	527429	A	20050930	NZ	2002-527429		20020327
				FR	2001-4315	Α	20010328
				WO	2002-FR1059	Α	20020327
ΑT	372329	Τ	20070915	ΑT	2002-724383		20020327
				FR	2001-4315	Α	20010328
ES	2291464	Т3	20080301	ES	2002-724383		20020327
				FR	2001-4315	Α	20010328
US	20040116353	A1	20040617	US	2003-472674		20030918
US	7157454	B2	20070102				
				FR	2001-4315	А	20010328
				WO	2002-FR1059	W	20020327
ИО	2003004267	A	20031128	ИО	2003-4267		20030924
				FR	2001-4315	А	20010328
				WO	2002-FR1059	W	20020327
ВG	108201	A	20040930	ВG	2003-108201		20030925
				FR	2001-4315	Α	20010328
				WO	2002-FR1059	W	20020327
MX	2003PA08756	A	20040218	MX	2003-PA8756		20030926
				FR		Α	20010328
				WO	2002-FR1059	W	20020327
HK	1059931	A1	20080627	ΗK	2004-102735		20040419
				FR	2001-4315	Α	20010328
				WO	2002-FR1059	W	20020327

OS MARPAT 137:279466

The invention relates to compds. R1SO2NR2CHR3CH2CONHCHR4CH2C6H4R5-p [R1 = AΒ phenylvinyl, tetrahydronaphthyl, (un)substituted Ph, naphthyl, or certain heterocyclic radicals; R2 = H, alkyl and R3 = (un)substituted Ph or heterocyclyl or R2 = (un)substituted Ph or heterocyclyl and R3 = H; R4 = (thio)carbamoyl or acyl groups, (un)substituted Ph or heterocyclyl; R5 = CH2NR11R12 or CH2N(O)NR11R12, where R11, R12 = H, (cyclo)alkyl, hydroxyalkyl, etc.] which have an affinity for bradykinin receptors, with a selectivity for B1 receptors, and can be used to prepare medicaments used to treat or prevent persistent or chronic inflammatory diseases and inflammation pathologies. Thus, N-[1-(4-aminomethylbenzyl)-2-oxo-2pyrrolidinoethyl]-3-(2-naphthalenylsulfonylamino)-3-phenylpropionamide (isolated as HCl salt) was prepared by coupling of 2-amino-3-(4-cyanophenyl)-1-pyrrolidino-1-propanone trifluoroacetate with -3-(2-naphthalenylsulfonylamino)-3-phenylpropionic acid, followed by reduction of the cyano group by hydrogenation over Raney Ni. Synthesis of starting compds. is described.

IT 464932-37-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(arylsulfonyl)- $\beta$ -amino acids as pharmaceuticals) 464932-37-4 CAPLUS

CN Phenylalaninamide, N-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-N-phenyl- $\beta$ -alanyl-4-[(diethylamino)methyl]-N-methyl-N-(1-methylethyl)-(9CI) (CA INDEX NAME)

RN

PAGE 1-B

- NEt<sub>2</sub>

#### RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 115 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN L6

2002:521465 CAPLUS ΑN

137:98994 DN

Pharmaceuticals containing a combination of norepinephrine reuptake ΤI inhibitors and neuroleptics

ΙN Wong, Erik Ho Fong; Gallen, Christopher C.; Svensson, Torgny

Pharmacia & Upjohn Company, USA; Pharmacia AB PA

PCT Int. Appl., 22 pp. SO CODEN: PIXXD2

Patent DT

English LA

FAN.C		NO.	KI	KIND DATE			APPLICATION NO.						DATE		
	WO 2002 WO 2002	053140 053140	A. A.				V	WO 2	001-	US45	871		2	0011	227
	₩:	GM, HR, LS, LT,	AL, AM CU, CZ HU, ID LU, LV RO, RU	DE, IL, MA,	DK, IN, MD,	DM, IS, MG,	DZ, JP, MK,	EC, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, OM,	GH, LR, PH,
	RW:	GH, GM, CY, DE,	US, UZ KE, LS DK, ES CF, CG	MW, FI,	MZ, FR,	SD, GB,	SL, GR, GN,	SZ, IE, GQ,	IT, GW,	LU, ML,	MC, MR,	NL, NE,	PT, SN,	SE,	TR, TG
	CA 2431	041	А	1 2	20020	711	Ţ	CA 2	001-: 001-:	2431 2592	041 86P	:	2 P 2	0010 0011 0010 0011	227 102
	AU 2002 AU 2002		A B		20020 20051		Z	AU 2	002-	2324	70		2	0011	
	<b></b> 4050	.C.D.E.	_		0000	000	P	vo 2	001-1	US45	871	1	W 2	0010	227
		675 AT, BE, IE, SI,		DK,		FR,	GB, CY,	GR, AL,	IT, TR	LI,	LU,	NL,	SE,	0011 MC, 0010	PT,

				WO	2001-US45871	W	20011227
JΡ	2004517112	T	20040610	JΡ	2002-554091		20011227
				US	2001-259286P	Р	20010102
				WO	2001-US45871	W	20011227
NZ	526801	A	20050729	NZ	2001-526801		20011227
				US	2001-259286P	Р	20010102
				WO	2001-US45871	W	20011227
US	20020156067	A1	20021024	US	2001-35100		20011228
US	6964962	B2	20051115				
				US	2001-259286P	P	20010102
MΧ	2003PA06003	A	20050908	MX	2003-PA6003		20030702
				US	2001-259286P	Р	20010102
				WO	2001-US45871	W	20011227
US	20060003992	A1	20060105	US	2005-219901		20050906
				US	2001-259286P	Р	20010102
				US	2001-35100	АЗ	20011228

AB A composition comprising: (a) a pharmaceutically effective amount of one or more

norepinephrine reuptake inhibitors or a salt; and (b) 1 or more neuroleptics is provided. The composition is useful in treating disorders or diseases of the central nervous system, and particularly useful in treating schizophrenia. A pharmaceutical composition was prepared by combining reboxetine with a neuroleptic in an acceptable carrier. The composition contains 0.01-10 mg rebexetine and 25-300 mg clozapine.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals containing combination of norepinephrine reuptake inhibitors and neuroleptics)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 116 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:486185 CAPLUS

DN 137:63256

TI Preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa.

IN Nazare, Marc; Will, David William; Peyman, Anuschirwan; Matter, Hans; Zoller, Gerhard; Gerlach, Uwe

PA Aventis Pharma Deutschland GmbH, Germany

SO Eur. Pat. Appl., 101 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI	EP					EP 2000-128477 GB, GR, IT, LI, LU, CY, AL, TR		
	CA	2432572	, ,	A1		CA 2001-2432572 EP 2000-128477 WO 2001-EP14842		223
	WO	CO, HR, LT, PT,	AG, AL, CR, CU, HU, ID, LU, LV,	CZ, IL, MA, SD,	AT, AU, AZ, DE, DK, DM, IN, IS, JP, MD, MG, MK, SE, SG, SI,	WO 2001-EP14842 BA, BB, BG, BR, BY, DZ, EE, ES, FI, GB, KE, KG, KP, KR, KZ, MN, MW, MX, MZ, NO, SK, SL, TJ, TM, TR,	GD, GE, GH, LC, LK, LR, NZ, OM, PH,	CN, GM, LS, PL,
		RW: GH, CY,	GM, KE, DE, DK,	LS, ES,	MW, MZ, SD, FI, FR, GB,	SL, SZ, TZ, UG, ZM, GR, IE, IT, LU, MC, GN, GQ, GW, ML, MR, EP 2000-128477	NL, PT, SE, NE, SN, TD,	TR, TG
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	EE	200300306	6	А	20031015	WO 2001-EP14842 EE 2003-306 EP 2000-128477	W 200112 200112 A 200012	215
	BR	20010164	73	A	20040113	WO 2001-EP14842 BR 2001-16473 EP 2000-128477	W 200112 200112 A 200012	215
	JP	200451632	20	Т	20040603	WO 2001-EP14842 JP 2002-552926 EP 2000-128477	W 200112 200112 A 200012	215 223
	HU	200400105	53	A2	20040928	WO 2001-EP14842 HU 2004-1053 EP 2000-128477 WO 2001-EP14842	W 200112 200112 A 200012 W 200112	215 223
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	ZA	200300409	94	А	20040423	EP 2000-128477 ZA 2003-4094 EP 2000-128477	A 200012 200305 A 200012	527
	MX	2003PA053	398	A	20030925	MX 2003-PA5398 EP 2000-128477	200306 A 200012	516 223
	IN	2003CN009	957	А	20050422	WO 2001-EP14842 IN 2003-CN957 EP 2000-128477	W 200112 200306 A 200012	517 223
	ИО	200300282	20	А	20030821	WO 2001-EP14842 NO 2003-2820	W 200112 200306	

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US	20050165058	A1	20050728	US	2005-39107		20050119
US	7067665	В2	20060627				
				ΕP	2000-128477	Α	20001223
				US	2001-23933	Α3	20011221

OS MARPAT 137:63256

AB RQXQ1WUVGM [R = (substituted) aryl, heteroaryl; Q, Q1 = bond, CO, O, S, imino, carbonylimino, SO, SO2, (substituted) alkylene, etc.; X = bond, heteroaryl, (substituted) alkylene, heteroalkylene; W = (substituted) aryl, heteroaryl, mono-, polycyclic group; U, G = bond, (CH2)m, (CH2)mO(CH2)n, (CH2)mCO(CH2)n, (CH2)mS(CH2)n, etc.; m, n = 0-6; V = bond, (substituted) alkylene, aryl, heteroaryl, cyclic group; M = H, alkyl, (substituted) alkylaminocarbonyl, aryl, heteroaryl, cyclic group; with provisos], were prepared Thus, 3-[2-(2,4-dichlorophenyl)ethoxy]-4-methoxybenzoic acid, N-NEM, 1-(pyridin-4-ylmethyl)piperazine, and TOTU were stirred in DMF to give [3-[2-(2,4-dichlorophenyl)ethoxy]-4-methoxyphenyl](4-pyridin-4-ylmethylpiperazin-1-yl)methanone. The latter inhibited factor Xa with Ki = 0.600 μM.

IT 438570-96-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclyl benzamides as inhibitors of factor  ${\tt Xa}$  and factor  ${\tt VIIa}$ )

RN 438570-96-8 CAPLUS

CN Benzamide, 3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-4-methoxy-N-[[1-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

IT 438571-24-5

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa)

RN 438571-24-5 CAPLUS

CN Benzoic acid, 3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-4-methoxy-(CA INDEX NAME)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

#### ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 117 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:479060 CAPLUS

DN 138:50

TI Memories are made of this (perhaps): a review of serotonin 5-HT6 receptor ligands and their biological functions

AU Russell, Michael G. N.; Dias, Rebecca

CS Neuroscience Research Centre, Merck Sharp and Dohme Research Laboratories, Essex, CM20 2QR, UK

SO Current Topics in Medicinal Chemistry (Hilversum, Netherlands) (2002), 2(6), 643-654

CODEN: CTMCCL; ISSN: 1568-0266

PB Bentham Science Publishers Ltd.

DT Journal; General Review

LA English

A review. The possible role of 5-HT6 receptor antagonists in the AΒ treatment of learning and memory disorders has stimulated significant recent work in this area. The first selective antagonists of this receptor were identified by Roche (Ro 04-6790 and Ro 63-0563) and SmithKline Beecham (SB-271046), although they only had poor to modest brain penetration, resp. Recently, several structurally different series of selective antagonists have been reported. Glennon's group and Merck Sharp & Dohme have discovered N, N-dimethyl-1-benzenesulfonyl-5methoxytryptamine as a reasonably selective, high affinity antagonist, while Allelix went on to find that a 6-bicyclopiperazinyl-1-naphthylsulfonylindole had improved affinity and selectivity. Roche have reported subsequently on more lipophilic analogs of Ro 04-6790 that appear to penetrate the brain better. Reversing the sulfonamide linkage of SB-271046 led to a new series of compds., producing SB-357134, which also had increased CNS penetration. A series of selective partial agonists containing a 4-piperazinylquinoline system has also been described. Recent studies in the Morris water maze with both Ro 04-6790 and SB-271046 have concluded that 5-HT6 receptor antagonists improved retention performance, although these results are open to interpretation. Other behavioral studies have also implicated a role for 5-HT6 in cognition enhancement and this has been supported by in vivo microdialysis studies that showed SB-271046 produced an increase in extracellular glutamate levels in the frontal cortex. However, we have been unable to replicate these effects with either SB-271046 or Ro 04-6790, and clearly further work is required before we can be certain of the functional role of this receptor.

IT 209481-20-9, SB-271046

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (serotonin 5-HT6 receptor ligands and their biol. functions)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

## RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 118 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN L6
- AN 2002:391688 CAPLUS
- DN 136:386032
- TI Preparation of (dihydro)isoquinolines as phosphodiesterase inhibitors
- Bundschuh, Daniela; Kley, Hans-Peter; Steinhilber, Wolfram; Grundler, ΙN Gerhard; Gutterer, Beate; Hatzelmann, Armin; Stadlwieser, Josef; Sterk, Geert Jan; Weinbrenner, Steffen
- BYK Gulden Lomberg Chemische Fabrik Gmbh, Germany PΑ
- PCT Int. Appl., 60 pp. SO

CODEN: PIXXD2

DT Patent

LA English

FAN.				KIND		APPLICATION NO. DATE
ΡΙ	WO	ID, IL, SI, SK,	AU, IN, UA, CH,	A1 BA, IS, US,	2002052 BG, BR, CA JP, KR, LI VN, YU, ZA	WO 2001-EP12918 20011108 CN, CO, CU, CZ, EC, EE, GE, HR, HU, LV, MK, MX, NO, NZ, PH, PL, RO, SG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM FI, FR, GB, GR, IE, IT, LU, MC, NL,
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	AU	2002029541		А	2002052	EP 2000-124774 A 20001114 DE 2001-10103547 A 20010126
	EP	R: AT, BE,	CH,	DE,	DK, ES, FR	WO 2001-EP12918 W 20011108 FP 2001-990399 20011108 GB, GR, IT, LI, LU, NL, SE, MC, PT, CY, AL, TR EP 2000-124774 A 20001114 DE 2001-10103547 A 20010126
	BR	2001015318		А	2004020	WO 2001-EP12918 W 20011108 BR 2001-15318 20011108 EP 2000-124774 A 20001114 DE 2001-10103547 A 20010126
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	AU	2002229541		В2	2007011	AU 2002-229541 20011108 EP 2000-124774 A 20001114

TN 2002NN100224	70	20050211	DE 2001-10103547 WO 2001-EP12918	A W	20010126 20011108
IN 2003MN00334	A	20050211	IN 2003-MN334 EP 2000-124774	7\	20030324 20001114
				А	
			DE 2001-10103547	Α	20010126
			WO 2001-EP12918	W	20011108
ZA 2003002759	A	20040423	ZA 2003-2759		20030409
			EP 2000-124774	Α	20001114
MX 2003PA04262	A	20030922	MX 2003-PA4262		20030514
			EP 2000-124774	Α	20001114
			DE 2001-10103547	Α	20010126
			WO 2001-EP12918	W	20011108
US 20040044212	A1	20040304	US 2003-381461		20030821
US 6818651	В2	20041116			
			EP 2000-124774	Α	20001114
			DE 2001-10103547	Α	20010126
			WO 2001-EP12918	W	20011108
				. ,	

OS MARPAT 136:386032

AB The title compds. [I; R1 = H and R2 = F, Cl, Br, CN, CF3, OPh; or R1 = H, F, Cl, Br, CF3, CN and R2 = H; R3 and R4 both denote hydrogen or together represent a bond; Ar = II-IV (wherein R5 = H, OH, NO2, NH2, etc.; R6 = alkyl, naphthalenyl, (un)substituted Ph, etc.)] which are novel effective PDE7 inhibitors, were prepared Thus, amidation of 1-(4-amino-3-methoxyphenyl)-7-chloro-3,4-dihydroisoguinoline with

4-trifluoromethoxybenzenesulfonyl chloride in the presence of Na2CO3 in dioxane afforded V which showed -logIC50 of 7.49 mol/L against PDE7.

IT 426837-82-3P 426838-01-9P 426838-29-1P 426838-46-2P 426838-69-9P 426839-03-4P 426839-24-9P 426839-45-4P 426839-66-9P 426839-82-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (dihydro)isoquinolines as phosphodiesterase inhibitors) 426837-82-3 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(6-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 426838-01-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(7-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN

CN

RN 426838-29-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(7-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 426838-46-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[3,4-dihydro-6-(trifluoromethyl)-1-isoquinolinyl]phenyl]-3-methyl- (CA INDEX NAME)

RN 426838-69-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 426839-03-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(7-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 426839-24-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(6-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 426839-45-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(7-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 426839-66-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3,4-dihydro-6-(trifluoromethyl)-1-isoquinolinyl]phenyl]-3-methyl- (CA INDEX NAME)

RN 426839-82-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 119 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:324921 CAPLUS

DN 137:247666

 $\ensuremath{\text{TI}}$  Bicyclic piperazinylbenzenesulphonamides are potent and selective 5-HT6 receptor antagonists

AU Bromidge, Steven M.; Clarke, Stephen E.; King, Frank D.; Lovell, Peter J.; Newman, Helen; Riley, Graham; Routledge, Carol; Serafinowska, Halina T.; Smith, Douglas R.; Thomas, David R.

CS Department of Psychiatry, GlaxoSmithKline, Essex, Harlow, CM19 5AW, UK

SO Bioorganic & Medicinal Chemistry Letters (2002), 12(10), 1357-1360 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:247666

AB The synthesis of novel 3-(octahydropyrido[1,2-a]pyrazin-2-yl)- and 3-(hexahydropyrrolo[1,2-a]pyrazin-2-yl)phenyl-2-benzo[b]thiophene sulfonamide derivs. is described. The compds. show high affinity for the 5-HT6 receptor, excellent selectivity against a range of other receptors, and good brain penetration.

IT 209480-56-8 209481-20-9

RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation of bicyclic piperazinylbenzenesulfonamides as 5-HT6 receptor antagonists)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

IT 239122-27-1P 239122-28-2P 239122-29-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of bicyclic piperazinylbenzenesulfonamides as 5-HT6 receptor antagonists)

RN 239122-27-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)phenyl]-3-methyl- (CA INDEX NAME)

RN 239122-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

239122-29-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

## RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 120 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

2002:315827 CAPLUS ΑN

DN 137:41990

Selective enhancement of glutamatergic neurotransmission in the frontal ΤI cortex and dorsal hippocampus by antagonism of the 5-HT6 receptor

ΑU Dawson, L. A.; Nguyen, H. Q.; Li, P.

Neuroscience Research, Wyeth Ayerst, Princeton, NJ, USA CS

Monitoring Molecules in Neuroscience, Proceedings of the International SO Conference on In Vivo Methods, 9th, Dublin, Ireland, June 16-19, 2001 (2001), 318-319. Editor(s): O'Connor, William T. Publisher: University College Dublin, Dublin, Ire.

CODEN: 69CMPU; ISBN: 1-902277-47-3

DTConference

LA English

AΒ The role of the 5-HT6 receptor in the in vivo modulation of multiple neurotransmitters in those brain regions shown to have the highest receptor expression levels was studied to gain insight into the neurochem. mechanism responsible for the observed cognitive enhancement. A microdialysis probe guide cannula was implanted into either the striatum, frontal cortex, dorsal hippocampus, or nucleus accumbens. SB-271046 produced no change in basal extracellular levels of DA, NA, or 5-HT in the striatum, frontal cortex, dorsal hippocampus or nucleus accumbens. This compound also yielded no change in basal concns. of glutamate in the striatum and nucleus accumbens. SB-271046 produced considerable increases in extracellular glutamate levels in both frontal cortex and dorsal hippocampus with maximum values of 375.4±82.3 and 217.8±34.8% of preinjection levels, resp. The infusion of the voltage-dependent sodium channel blocker tetrodotoxin attenuated these effects but were unaffected by the muscarinic antagonist, atropine. The selective enhancement of excitatory neurotransmission by SB-271046, in those brain regions implicated in cognitive and memory function and provide mechanistic evidence in support of a possible therapeutic role for 5-HT6 receptor antagonists in the treatment of cognitive and memory dysfunction was demonstrated.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective enhancement of glutamatergic neurotransmission in frontal cortex and dorsal hippocampus by antagonism of 5-HT6 receptor)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 121 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:275953 CAPLUS

DN 136:309851

TI Preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide.

IN Lardy, Claude; Nioche, Jean-Yves; Caputo, Lidia; Decerprit, Jacques;
 Ortholand, Jean-Yves; Festal, Didier; Guerrier, Daniel

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

-----PI WO 2002028820 A1 20020411 WO 2001-EP10761 20010918

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

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        UZ, VN, YU, ZA, ZW
    RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
        DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
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HU 2003002771
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JP 2004521866
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US 20040063783
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ZA 2003003369
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IN 2003KN00563
                           20050121
                                       IN 2003-KN563
                                                               20030502
                                       FR 2000-12749
                                                           A 20001005
MARPAT 136:309851
CONRaSO2; T = H, halo, NO2, cyano, (unsatd.) (halogenated) aliphatyl
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

OS

AB Title compds. [I; X, Ra = H, (unsatd.) aliphatyl, AY; A = CO, SO2, CONRa, optionally interrupted by O and/or S; Y = organic substituent; with provisos], and des-nitroso compds. (II; variables as above), were prepared Thus, a mixture of nicotinoyl chloride hydrochloride, 4-amino-4'-methoxy-N-tert-butoxycarbonyldiphenylamine, and Et3N was stirred in CH2Cl2 to give 100% 4-nicotinoylamino derivative which was N-deprotected with CF3CO2H to give 95.2% 4-methoxy-4'-nicotinoylaminodiphenylamine. The latter in HOAc was treated dropwise with aqueous NaNO2 to give 88% N-nitroso-4-methoxy-4'-nicotinoylaminodiphenylamine. Tested II inhibited oxidation of human low mol. weight lipoproteins by Cu2+ with IC50 = 1.7-13.4

409353-03-3P 409353-10-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide)

RN 409353-03-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(4-methoxyphenyl)nitrosoamino]phenyl]-3-methyl- (CA INDEX NAME)

RN 409353-10-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(nitrosophenylamino)phenyl]- (CA INDEX NAME)

IT 409356-89-4P 409357-09-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide)

RN 409356-89-4 CAPLUS

CN Carbamic acid, [4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 409357-09-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(phenylamino)phenyl]- (CA INDEX NAME)

## RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 122 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:220571 CAPLUS

DN 136:263085

 ${\tt TI}$  Preparation of N-phenylbenzothiophenesulfonamide derivatives as selective chymase inhibitors

IN Satoh, Shoji; Tatsui, Akira; Hasegawa, Takeshi; Yamada, Hideki; Kazayama, Shin-ichi; Morita, Takahiro; Masaki, Hidekazu; Takahashi, Atsuo

PA Toa Eiyo Ltd., Japan

SO PCT Int. Appl., 53 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 4

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			PT, US,	RO, UZ,	RU, VN,	SD, YU,	SE, ZA,	SG, ZW	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
		RW:	DE,	DK,	ES,	FI,	FR,	MZ, GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
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JP 2003335670 A 20031125 JP 2003-70126 PΤ JP 2002-72306 20030314 A 20020315

MARPAT 136:263085 OS

Novel N-substituted benzothiophenesulfonamide derivs. represented by the AB general formula [I; X = H, halo, lower alkyl; Y = lower alkyl; R1, R2 = H, lower alkoxycarbonyl, lower alkylsulfonyl, benzoyl, C1-4 acyl, lower alkoxy, lower alkoxycarbonylmethylthioacetyl, NO2, CONHR4 [wherein R4 = H, lower alkoxycarbonylmethyl, carboxymethyl, CH(CH2OH)CO2R5 (wherein R5 = H, lower alkyl)], Q, Q1, Q2, Q3 (wherein A = O, S, NH; the dotted line represents a single or double bond); R3 = H, lower alkoxy, lower alkyl] or salts thereof are prepared These compds. are useful as preventives and remedies for cardiocirculatory diseases caused by hyperprodn. of angiotensin II or endothelin I based on chymase activity which have an effect of selectively inhibiting chymase. In particular they are useful for the prevention and/or treatment of myocardial infarction, restenosis after percutaneous transluminal coronary angioplasty (PTCA), or thickening of inner coat (endosporium) after bypass graft. Thus, N-[4-[(5-fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoyl]-L-serine Me ester was stirred with Burgess reagent in THF at 60° for 2 h to give 2-[4-[(5-fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]-4,5-dihydrooxazole-4-carboxylic acid Me ester which was treated with bromotrichloromethane and DBU in CH2Cl2 at  $-20^{\circ}$  for 5 min and  $0^{\circ}$  for 3.5 h to give 2-[4-[(5-fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid Me ester. Alkali hydrolysis of the latter ester with a mixture of 10% aqueous NaOH, MeOH, and THF

at room temperature for 17 h followed by distillation of the solvent and acidification

with 1 M aqueous HCl gave 2-[4-[(5-fluoro-3-methylbenzo[b]thiophen-2ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid (II). II showed IC50 of 2, >10,000, and >10,000 nmol/L against chymase, chymotrypsin, and cathepsin G, resp.

ΙT 404963-75-3P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid methyl ester 404963-90-2P, 4-[(5-Fluoro-3-methylbenzo[b]thiophen-2ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid methyl ester 404963-94-6P, (2S)-2-[[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2ylsulfonyl)amino]-3-(methanesulfonyl)benzoyl]amino]-3-hydroxypropanoic acid methyl ester 404963-95-7P,

(S)-2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-vlsulfonyl)amino]-3-(methanesulfonyl)phenyl]-4,5-dihydrooxazole-4-carboxylic acid methyl ester 404963-96-8P, 2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid methyl ester 404964-20-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of phenylbenzothiophenesulfonamide derivs. as selective chymase inhibitors and preventives and remedies for cardiocirculatory diseases) 404963-75-3 CAPLUS

RN CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-90-2 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-94-6 CAPLUS

CN L-Serine, N-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-y1)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 404963-95-7 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 404963-96-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 404964-20-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)

IT 404963-76-4P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid ethyl ester

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404963-77-5P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-
ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid tert-butyl ester
404963-78-6P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-
ylsulfonyl)amino]-3-(ethanesulfonyl)benzoic acid methyl ester
404963-79-7P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-
ylsulfonyl)amino]-5-(methanesulfonyl)-2-methylbenzoic acid methyl ester
404963-80-0P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-
ylsulfonyl)amino]isophthalic acid dimethyl ester 404963-81-1P,
4-[(5-Chloro-3-methylbenzo[b]thiophen-2-vlsulfonyl)amino]-3-methoxybenzoic
acid methyl ester 404963-82-2P,
4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-nitrobenzoic
acid methyl ester 404963-83-3P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(2, 4-di(methanesulfonyl)phenyl)amide 404963-84-4P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-acetyl-2-nitrophenyl) amide 404963-85-5P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-acetyl-2-(methanesulfonyl)phenyl)amide 404963-86-6P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-benzoyl-2-(methanesulfonyl)phenyl)amide 404963-87-7P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-hydroxymethyl-2-(methanesulfonyl)phenyl)amide 404963-88-8P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-benzoylphenyl) amide 404963-89-9P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(2-(methanesulfonyl)phenyl)amide 404963-91-3P,
4-[(3,5-Dimethylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-
(methanesulfonyl) benzoic acid methyl ester 404963-92-4P,
5-Fluoro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-acetyl-2-(methanesulfonyl)phenyl)amide 404963-93-5P,
4-[(3-Methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-
(methanesulfonyl)benzoic acid methyl ester 404963-97-9P,
2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-
(methanesulfonyl)phenyl]oxazole-4-carboxylic acid 404963-98-0P,
2-[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-
(methanesulfonyl)phenyl]oxazole-4-carboxylic acid methyl ester
404963-99-1P, 2-[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-
ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid
404964-00-7P, 2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-
ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid
sodium salt 404964-01-8P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-nitrophenyl)amide
404964-02-9P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-cyanophenyl) amide 404964-03-0P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-acetylphenyl)amide
404964-04-1P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-carbamoylphenyl)amide 404964-05-2P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-[2-[(methoxycarbonyl)methylthio]acetyl]phenyl)amide
404964-06-3P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(2-methoxy-4-nitrophenyl)amide 404964-07-4P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(2-nitro-4-cyanophenyl)amide 404964-08-5P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(2,4-dinitrophenyl) amide 404964-09-6P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(2-nitro-4-methoxyphenyl)amide 404964-10-9P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-(N-[(ethoxycarbonyl)methyl]carbamoyl)-2-methoxyphenyl)amide
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404964-11-0P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4,5-dimethoxy-2-(methoxycarbonyl)phenyl)amide 404964-12-1P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-(propanesulfonyl)phenyl)amide 404964-13-2P, 3-Methylbenzo[b]thiophene-2-sulfonic acid N-(4-(propanesulfonyl)phenyl)amide 404964-14-3P, 3,5-Dimethylbenzo[b]thiophene-2-sulfonic acid N-(4-(propanesulfonyl)phenyl)amide 404964-15-4P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-(isopropoxycarbonyl)-2-(methanesulfonyl)phenyl)amide404964-16-5P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-(N-[(ethoxycarbonyl)methyl]carbamoyl)-2-(methanesulfonyl)phenyl)amide404964-17-6P 404964-18-7P 404964-19-8P 404964-21-2P 404964-22-3P 404964-23-4P, 2-[[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3methoxybenzoyl]amino]acetic acid 404964-24-5P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl) benzoic acid methyl ester sodium salt 404964-25-6P 404964-26-7P 404964-27-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylbenzothiophenesulfonamide derivs. as selective chymase inhibitors and preventives and remedies for cardiocirculatory diseases) 404963-76-4 CAPLUS

Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)

RN 404963-77-5 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 404963-78-6 CAPLUS

RN

CN

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(ethylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)

RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)

RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)

RN 404963-83-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2,4-bis(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-84-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetyl-2-nitrophenyl)-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-85-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-86-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-benzoyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)

RN 404963-87-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} S & O & CH_2-OH \\ \hline S & NH & S-Me \\ \hline O & O & S-Me \\ \hline O & O & O \end{array}$$

RN 404963-88-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-benzoylphenyl)-5-chloro-3-methyl-(CA INDEX NAME)

RN 404963-89-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

RN 404963-93-5 CAPLUS

CN Benzoic acid, 4-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404963-98-0 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

RN 404963-99-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-00-7 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:1) (CA INDEX NAME)

Na

RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)

RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-03-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl-(CA INDEX NAME)

RN 404964-04-1 CAPLUS

Benzamide, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]- (CA CN INDEX NAME)

RN

404964-05-2 CAPLUS Acetic acid, 2-[[2-[4-[[(5-chloro-3-methylbenzo[b]thien-2-CN yl)sulfonyl]amino]phenyl]-2-oxoethyl]thio]-, methyl ester (CA INDEX NAME)

404964-06-3 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxy-4-nitrophenyl)-3-CN methyl- (CA INDEX NAME)

RN 404964-07-4 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyano-2-nitrophenyl)-3-CN methyl- (CA INDEX NAME)

RN 404964-08-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,4-dinitrophenyl)-3-methyl-(CA INDEX NAME)

RN 404964-09-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-methoxy-2-nitrophenyl)-3-methyl- (CA INDEX NAME)

RN 404964-10-9 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, ethyl ester (CA INDEX NAME)

RN 404964-11-0 CAPLUS

CN Benzoic acid, 2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4,5-dimethoxy-, methyl ester (CA INDEX NAME)

RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]-(CA INDEX NAME)

RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

RN 404964-15-4 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)

RN 404964-16-5 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)

RN 404964-17-6 CAPLUS

CN L-Serine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 404964-18-7 CAPLUS

CN Methionine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

RN 404964-19-8 CAPLUS

CN Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

RN 404964-21-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)

RN 404964-22-3 CAPLUS

CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)

RN 404964-23-4 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]- (CA INDEX NAME)

RN 404964-24-5 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3- (methylsulfonyl)-, methyl ester, sodium salt (1:1) (CA INDEX NAME)

● Na

RN 404964-25-6 CAPLUS

CN Glycine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 404964-26-7 CAPLUS

CN L-Serine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 404964-27-8 CAPLUS

CN Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Na

IT 404964-36-9P, 4-[(5-Fluoro-3-methylbenzo[b]thiophen-2 ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of phenylbenzothiophenesulfonamide derivs. as selective chymase inhibitors and preventives and remedies for cardiocirculatory diseases)

RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

## RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 123 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2002:184280 CAPLUS
- DN 137:195432
- TI Effects of the 5-HT6 receptor antagonist, SB-271046, in animal models for schizophrenia
- AU Pouzet, B.; Didriksen, M.; Arnt, J.
- CS Psychopharmacology, Psychosis, H. Lundbeck A/S, Valby, DK-2500, Den.
- SO Pharmacology, Biochemistry and Behavior (2002), 71(4), 635-643 CODEN: PBBHAU; ISSN: 0091-3057
- PB Elsevier Science Inc.
- DT Journal
- LA English
- AB The 5-HT6 receptor is targeted by several new antipsychotics such as clozapine, olanzapine, and sertindole. We studied the effect of SB-271046 [5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2-benzothiophenesulfonamide], a specific 5-HT6 receptor antagonist, in three models for the pos. symptoms of schizophrenia-d-amphetamine-induced

hyperactivity, and d-amphetamine— or phencyclidine (PCP)—disrupted prepulse inhibition (PPI). We also tested this compound in a model for the neg. symptoms of schizophrenia, PCP—disrupted social interaction (SIT) in rats. Induction of side effects by this compound was evaluated by testing its potency to reduce spontaneous motility, and to induce catalepsy in rats. The effect of SB-271046 was compared to clozapine in all models tested. This study showed that SB-271046 had no beneficial effect in PCP—disrupted SIT. However, SB-271046 dose—dependently normalized d—amphetamine—disrupted PPI, but did not reverse PCP—disrupted PPI. In addition, SB-271046 did not antagonize d—amphetamine—induced hyperactivity. Thus, this specific 5—HT6 receptor antagonist was associated with a clear pos. outcome in only one model for the pos. symptoms of schizophrenia, and had no beneficial effect in the model for neg. symptoms. Consequently, it is clear that SB-271046 is not expected to have an antipsychotic efficacy, at least when given as monotherapy.

IT 209481-20-9, SB-271046

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effects of 5-HT6 receptor antagonist, SB-271046, in animal models for schizophrenia)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 124 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:89999 CAPLUS

DN 136:129079

TI Aryl sulfonamides as serotonin antagonists for the treatment of obesity

IN Caldirola, Patrizia; Jossan, Sukhwinder; Sakariassen, Kjell S.; Svartengren, Jan

PA Biovitrum AB, Swed.

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

r An.	PATENT	NO.			KIN	D	DATE		APPLICATION NO.						DATE		
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		CU,	CZ,	DE,	DK,	DM,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,
		IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
		MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,
		SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
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OS MARPAT 136:129079

AB A method is provided for the treatment or prophylaxis of obesity, comprising administering to a patient in need of such treatment a therapeutically effective amount of an aryl sulfonamide compound (Markush included). Compds. of the invention include 5-chloro-N-(4-methoxy-3-piperazin-1-ylphenyl)-3-methyl-2-benzothiophenesulfonamide.

IT 209481-20-9 209481-24-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aryl sulfonamides as serotonin antagonists for treatment of obesity)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

209481-24-3 CAPLUS RN

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 125 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN L6

ΑN 2002:72047 CAPLUS

DN 136:134676

- ΤI Preparation of cyclic amine phenyl  $\beta$ 3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia
- Hu, Baihua; Sum, Fuk-Wah; Malamas, Michael Sotirios ΙN
- PAAmerican Home Products Corporation, USA
- SO PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	ENT :	NO.			KIND		DATE		APPLICATION NO.						DATE			
							_												
ΡI	WO 2002006232				A1		2002	0124	1	WO 2	001-	US22.	387		20010716				
	W: AE, AG, AL,			ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	BZ,	CA,	CH,	CN,			
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
	GM, HR, HU,		ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,				
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	VN, YU, ZA,				ZW														
		RW:	GH,	GM,	ΚE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	

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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
        BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                            P 20000717
                                       US 2000-218627P
US 20020028835
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EP 1301482
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                                       EP 2001-984234
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        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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BR 2001012522
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                                       US 2000-218627P
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                                       WO 2001-US22387
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                                       JP 2002-512136
JP 2004504299
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                                       US 2000-218627P
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                                                            W 20010716
                                       WO 2001-US22387
US 20030144326
                     Α1
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                                       US 2002-330576
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US 7022716
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                           20060404
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                                       US 2000-218627P
                                       US 2001-903754
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MX 2003PA00518
                           20030514
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                                       US 2000-218627P
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                                       WO 2001-US22387
                                                            W 20010716
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OS MARPAT 136:134676

AΒ Title compds. I [wherein A = (hetero)aryl or heterocyclyl; X = OCH2, SCH2, or a bond; T1 = (CH2)m; T2 = (CH2)n; m = 1-3; n = 1-3; T = a bond, (un) substituted alkyl or alkenyl, alkynyl, alkylthio, alkylamino, alkoxy(alkyl), alkylthioalkyl, acyl, or alkenylcarbonyl; R1, R2, and R3 = independently H, (cyclo)alkyl, OH, halo, CF3, alkoxy, benzyloxy, allyloxy, propargyloxy, acyloxy, CN, NO2, NH2, CONH2, (di)alkylamino, formamido, ureido, acylamino, alkylsulfonylamino, arylsulfonylamino, dialkyloxyphosphorylamino, dihydroxyphosphorylamino, alkoxycarbonyl, or (un) substituted aryl; R4 = H, alkyl, halo, OH, alkoxy, alkylthio, (alkyl)amino, carboxy, acyl, arylcarbonyl, alkoxycarbonyl, CONH2, alkylaminocarbonyl, alkylsulfonyl, or arylsulfonylamino; R5 = (un) substituted (di) oxoimidazolidinyl, (di) oxooxazolidinyl, (di)oxothiazolidinyl, dioxooxadiazolidinyl, tetrazolyl, oxopyrrolinyl, alkoxycarbonyl, aminocarbonyl, acyl, ureido, etc.; or a pharmaceutically acceptable salt thereof] were prepared by standard and combinatorial synthetic methods as  $\beta3$  adrenergic receptor agonists. For example, acetic acid was added to a mixture of N-[5-[(1R)-2-amino-1-hydroxyethyl]-2hydroxyphenyl]methanesulfonamide (preparation given),

2-[4-(4-oxo-1-piperidiny1)benzy1]-1,2,4-oxadiazolidine-3,5-dione, and DMF. Sodium triacetoxyborohydride was added and the mixture stirred at room temperature

for 24 h to give (R)-I (71%). The latter bound to the  $\beta3$  adrenergic receptor with EC50 of 20  $\mu\text{M}$ , exhibited a maximal response activity equivalent to isoproterenol, and increased thermogenesis in  $\beta3$  transgenic mice by 30  $\pm$  8% compared to an increase of 16  $\pm$  4% in  $\beta3$  knockout mice. Thus, I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, frequent urination, and are particularly useful in the treatment or inhibition II diabetes.

IT 391906-93-7P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid [4-(4-oxopiperidin-1-yl)phenyl]amide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of cyclic amine Ph  $\beta$ 3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

RN 391906-93-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-oxo-1-piperidinyl)phenyl]- (CA INDEX NAME)

391907-82-7P, 5-Chloro-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-3-methyl-1-benzothiophene-2-sulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  $(\beta \text{3 agonist; preparation of cyclic amine Ph }\beta \text{3 adrenergic receptor }$ 

 $(\beta 3 \text{ agonist; preparation of cyclic amine Ph } \beta 3 \text{ adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)}$ 

RN 391907-82-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[4-[(2S)-3-[(2,3-dihydro-2-oxo-1H-benzimidazol-4-y1)oxy]-2-hydroxypropyl]amino]-1-piperidinyl]phenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

### RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 126 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:66218 CAPLUS

DN 137:57416

TI 5-HT6 receptor antagonism potentiates the behavioral and neurochemical effects of amphetamine but not cocaine

AU Frantz, K. J.; Hansson, K. J.; Stouffer, D. G.; Parsons, L. H.

CS Department of Neuropharmacology CVN7, The Scripps Research Institute, La Jolla, CA, 92037, USA

SO Neuropharmacology (2002), 42(2), 170-180 CODEN: NEPHBW: ISSN: 0028-3908

PB Elsevier Science Ltd.

DT Journal

LA English

AΒ The localization of serotonin 5-HT6 receptors in limbic and motor brain regions, and the high affinity of these receptors for several antipsychotic agents, suggest that they may be involved in motor activity, reward-related behaviors, and psychotic disorders. The present study characterized the effects of a novel 5-HT6 receptor antagonist, SB 258510A, on psychostimulant-induced motor activity, self-administration, and increases in extracellular dopamine in the nucleus accumbens and frontal cortex of male Wistar rats. The locomotor-activating effects of amphetamine (1 mg/kg) were dose-dependently enhanced by pretreatment with SB 258510A (3, 10 mg/kg). Similarly, amphetamine self-administration was dose-dependently altered by SB 258510A in a manner indicative of enhanced reinforcing effects of amphetamine on both fixed and progressive ratio schedules of reinforcement. SB 258510A treatment had no effect on either cocaine-induced locomotor activity or cocaine self-administration. Dual-probe in vivo microdialysis revealed that pretreatment with 3 mg/kg SB 258510A potentiated an amphetamine-induced increase in extracellular dopamine more robustly in the frontal cortex than in the nucleus accumbens. These data indicate that activation of 5-HT6 receptors may regulate behaviors related to amphetamine but not cocaine, and point to the frontal cortex as a possible site of action for these effects. 220431-95-8, SB 258510A ΙT

RL: PAC (Pharmacological activity); BIOL (Biological study)
(5-HT6 receptor antagonism potentiates behavioral and neurochem.

effects of amphetamine but not cocaine)

RN 220431-95-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

# RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 127 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2002:10452 CAPLUS
- DN 136:69820
- TI Preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators
- IN Mcgee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi
- PA Tularik Inc., USA; Japan Tobacco, Inc.
- SO PCT Int. Appl., 162 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.CNT 2

FAN.		2 FENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
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																A1		
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AB The title compds. [I; Ar1 = (un)substituted 2-benzothiazolyl or quinolinyl; X = 0, CO, CHR10, NR11, S(0)k; Y = NR12SO2; R1 = H, halo, alkyl, etc.; R2 = (un)substituted aryl; R3 = halo, alkoxy; R10 = H, CN, alkyl; R11 = H, alkyl; R12 = H, alkyl; k = 0-2], useful in the treatment or prevention of a condition or disorder mediated by PPARy such as diabetes, obesity, hypercholesterolemia, rheumatoid arthritis and atherosclerosis, were prepared Thus, reacting 3,5-dichloro-4-(quinolin-3-ylsulfanyl)aniline (preparation given) with 2-chlorobenzenesulfonyl chloride in the presence of pyridine and catalytic amount of DMAP in THF/CH2C12 afforded 78% II which showed IC50 of < 1  $\mu\rm M$  against PPARy ligand binding.

IT 385431-23-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators) 385431-23-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-chloro-5-[(5-chloro-2-benzothiazoly1)methy1]pheny1]-3-methy1- (CA INDEX NAME)

RN

### RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 128 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2001:924588 CAPLUS
- DN 136:194128
- $ext{TI}$  5-HT6 receptor antagonists enhance retention of a water maze task in the rat
- AU Rogers, D. C.; Hagan, J. J.
- CS Neuroscience Research, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW, UK
- SO Psychopharmacology (Berlin, Germany) (2001), 158(2), 114-119 CODEN: PSCHDL; ISSN: 0033-3158
- PB Springer-Verlag
- DT Journal
- LA English
- AΒ 5-HT6 receptors are predominantly located in the brain and may be involved in cognitive processes. The aim of this study was to assess the effects of two potent and selective 5-HT6 receptor antagonists, SB-271046-A and SB-357134-A, on learning and memory in the rat. Spatial learning and memory was assessed by testing the effects of SB-271046-A and SB-357134-A on acquisition and retention of a water maze task. In the water maze, administration of SB-271046-A or SB-357134-A (3 or 10 mg/kg) had no effect on learning per se. At 10 mg/kg, however, both compds. produced a significant improvement in retention of a previously learned platform position when tested 7 days after training. By contrast, the acetylcholinesterase inhibitor, Aricept (donepezil, 0.1, 0.3 mg/kg PO) had no effect in this task. This study demonstrates that systemic administration of SB-271046-A and SB-357134-A produces improvements in retention of a water maze task in the rat. These data indicate that 5-HT6 receptor antagonism may be involved in cognitive function.
- IT 209481-24-3, SB 271046-A
  - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (5-HT6 receptor antagonists enhance retention of a water maze task in rat)
- RN 209481-24-3 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

#### THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 22 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 129 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN L6

ΑN 2001:774032 CAPLUS

DN 137:73040

ΤТ The 5-HT6 receptor antagonist SB-271046 selectively enhances excitatory neurotransmission in the rat frontal cortex and hippocampus

ΑU Dawson, Lee A.; Nguyen, Huy Q.; Li, Ping

Neuroscience Research, Wyeth Ayerst, Princeton, NJ, USA CS

SO Neuropsychopharmacology (2001), 25(5), 662-668 CODEN: NEROEW; ISSN: 0893-133X

PВ Elsevier Science Inc.

DT Journal

LA English

AΒ Preclin. evidence has suggested a possible role for the 5-HT6 receptor in the treatment of cognitive dysfunction. However, currently there is little neurochem. evidence suggesting the mechanism(s) which may be involved. Using the selective 5-HT6 antagonist SB-271046 and in vivo microdialysis, we have evaluated the effects of this compound on the modulation of basal neurotransmitter release within multiple brain regions of the freely moving rat. SB-271046 produced no change in basal levels of dopamine (DA), norepinephrine (NE) or 5-HT in the striatum, frontal cortex, dorsal hippocampus or nucleus accumbens. Similarly, this compound had no effect on excitatory neurotransmission in the striatum or nucleus accumbens. Conversely, SB-271046 produced 3- and 2-fold increases in extracellular glutamate levels in both frontal cortex and dorsal hippocampus, resp. These effects were completely attenuated by infusion of tetrodotoxin but unaffected by the muscarinic antagonist, atropine. Here we demonstrate for the first time the selective enhancement of excitatory neurotransmission by SB-271046 in those brain regions implicated in cognitive and memory function, and provide mechanistic evidence in support of a possible therapeutic role for 5-HT6 receptor antagonists in the treatment of cognitive and memory dysfunction.

209481-20-9, SB-271046 ΤT

RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); BIOL (Biological study); USES (Uses)

(5-HT6 receptor antagonist SB-271046 selectively enhances excitatory neurotransmission in the rat frontal cortex and hippocampus)

RN 209481-20-9 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-CN piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

### RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 130 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2001:746608 CAPLUS
- DN 136:112207
- TI Novel (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides with high affinity and selectivity for the 5-HT6 receptor
- AU Bromidge, S. M.; Griffith, K.; Heightman, T. D.; Jennings, A.; King, F. D.; Moss, S. F.; Newman, H.; Riley, G.; Routledge, C.; Serafinowska, H. T.; Thomas, D. R.
- CS Discovery Research Europe, GlaxoSmithKline, Discovery Chemistry, Harlow, Essex, CM19 5AW, UK
- SO Bioorganic & Medicinal Chemistry Letters (2001), 11(21), 2843-2846 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- OS CASREACT 136:112207
- AB The discovery of (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides and their binding affinities for a selection of 5-HT and dopamine subreceptors is described. Many compds. show high affinity (pKi>8) for the 5-HT6 receptor and >100-fold selectivity against a range of other receptors. Structure-activity relationships of these compds. are discussed.
- IT 389622-71-3P 389622-80-4P 389622-81-5P 389622-82-6P 389622-87-1P 389622-88-2P 389622-89-3P 389622-90-6P 389637-13-2P, SB 331711

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(novel (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides with high affinity and selectivity for 5-HT6 receptor)

- RN 389622-71-3 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(4-methyl-1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

- RN 389622-80-4 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-ethyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

RN 389622-81-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-(1-methylethyl)-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

RN 389622-82-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

RN 389622-87-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3S)-3-methyl-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 389622-88-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-methyl-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 389622-89-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-(1-methylethyl)-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 389622-90-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(2R,5S)-2,5-dimethyl-1-piperazinyl]-6-quinolinyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

IT 389622-92-8 389622-94-0 389622-95-1

389622-97-3 389622-99-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(novel (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides with high affinity and selectivity for 5-HT6 receptor)

RN 389622-92-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-6-quinolinyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 389622-94-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

RN 389622-95-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-6-quinolinyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 389622-97-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

RN 389622-99-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

## RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 131 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:731863 CAPLUS

DN 136:31298

TI N-Arylsulfonylindole derivatives as serotonin 5-HT6 receptor ligands

AU Russell, Michael G. N.; Baker, Robert J.; Barden, Laura; Beer, Margaret S.; Bristow, Linda; Broughton, Howard B.; Knowles, Michael; McAllister, George; Patel, Smita; Castro, Jose L.

CS Neuroscience Research Centre, Merck Sharp & Dohme Research Laboratories, Harlow Essex, CM20 2QR, UK

SO Journal of Medicinal Chemistry (2001), 44(23), 3881-3895 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AΒ A series of N1-arylsulfonyltryptamines were found to be potent ligands of the human serotonin 5-HT6 receptor with the 5-methoxy-1-benzenesulfonyl analog (19) having the highest affinity. Addnl., it was discovered that a group such as 3-(3-methoxybenzyl)-1,2,4-oxadiazol-5-yl in the 2-position of the indole ring (43) can replace the arylsulfonyl substituent in the 1-position with no loss of affinity. This suggested that the binding conformation of the aminoethyl side chain at this receptor was toward the 4-position of the indole ring and was supported by the fact that the 4-(aminoethyl)indoles (45) also displayed high affinity, as did the conformationally rigid 1,3,4,5-tetrahydrobenz[c,d]indole (49). Mol. modeling showed that 19, 43, and 45 all had low-energy conformers that overlaid well onto 49. Both 19 and 49 had good selectivity over other serotonin receptors tested, with 49 also showing excellent selectivity over all dopamine receptors. In a functional adenylate cyclase stimulation assay, 19 and 49 had no agonist activity, whereas 45 behaved as a partial agonist. Finally, it was shown that 19 had good activity in the 5-HT2A centrally mediated mescaline-induced head twitch assay, which implies that it is brain-penetrant.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); BIOL (Biological study) (N-arylsulfonylindole derivs. as serotonin 5-HT6 receptor ligands)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

#### RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 132 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN L6

2001:617982 CAPLUS ΑN

DN 135:180767

Preparation of 4-imidazole derivatives of benzyl and restricted benzyl ΤI sulfonamides, sulfamides, ureas, carbamates, and amides as  $\alpha 1 A$ adrenoceptor agonists

Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F.; Khilevich, INAlbert; Kolasa, Teodozyj; Rohde, Jeffrey J.; Carroll, William A.; Searle, Xenia B.; Yang, Fan

Abbott Laboratories, USA PA

SO PCT Int. Appl., 226 pp.

CODEN: PIXXD2

DT Patent

English LA

FAN.CNT 4

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	US	2003	0073	850		A1		2003	0417		US US	20 19	00- 98-	5067! 1307!	50 99		В2	20000 20000 19980	217 1807
	CA	2399	147			A1		2001	0823		CA US	20	01-: 00-	23991 5067!	147 50		A	19990 20010 20000 20010	201
	EP		AT,	BE,		DE,					ΕP	20	01-	90880	00			20010 20010 2, MC,	201
	JP	2003	·	·	·			2003	0805		WO JP	20 20	01-1 01-1	US346 56018	66 87		W	20000 20010 20010	201 201
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                MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
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                CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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A 19990729
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      WO 1999-US17739
      W 19990806

      AU 1999-53386
      19990806

      US 1998-130799
      A 19980807

      US 1999-364901
      A 19990729

      AU 9953386
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                                         20000228
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                                         20020723
                                                         JP 2000-563631
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      JP 2000-563631
      1998-000

      US 1998-130799
      A 19980807

      US 1999-364901
      A 19990729

      WO 1999-US17739
      W 19990806

      MX 2001-PA1412
      20010207

      US 1998-130799
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      MX 2001PA01412 A
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FAN 2003:17797
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US 1998-130799 B2 19980807

CA 1999-2338594 19990806

US 1998-130799 A 19980807

US 1999-364901 A 19990729

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                IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG,
                MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
                TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW
           RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
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                CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                                         AU 1999-53386
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                                         20000228
                                                     MO 1999-US17739 W 19990806
EP 1999-939019 19990806
GB, GR, IT, LI, III ...
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           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO
                                                        US 1998-130799 A 19980807
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	JP	2002	5224	23		T		2002	0723		WO JP US US	199 200 199 199	9-U 0-5 8-1 9-3	6490 IS177 6363 3079 6490	39 1 9		W A A	19 19 19	990 990 990 980	806 806 807 729
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OS MARPAT 135:180767

AΒ

The title compds. (I) [wherein R1 = SO2R9 or COR10; R2 = H, (halo)alkyl, aryl(alkyl), or cycloalkyl(alkyl); R3-R6 = independently H, alkoxy, alkenyl, (halo)alkyl, cycloalkyl, halo, or OH; or R6 and R7 together with the C to which they are attached form a 5-7 membered carbocycle or 5-6membered (un)substituted heterocycle; or R7 and R8 together = :CR12R13; R8 = absent or H; R9 = (aryl)alkenyl, (aryl)alkyl, (aryl)alkynyl, cycloalkyl(alkyl), haloalkyl, heterocycle, or (un)substituted amine; R10 = (aryl)alkyl, alkenyl, (halo)alkoxy, aryl(oxy), cycloalkyl(alkyl), cycloalkyloxy, haloalkyl, or (un)substituted amine, azetidinyl, piperazinyl, piperidinyl, pyrrolidinyl, morpholinyl, etc.; R12 and R13 = independently H, (aryl)alkyl, alkoxy, aryl, or cycloalkyl(alkyl); or R12 and R13 together with the C to which they are attached form a 3-7 membered carbocycle; R14 = H or alkyl] were prepared as  $\alpha$ 1A adrenoceptor agonists for the treatment of urinary incontinence or retrograde ejaculation. For example, 4-iodo-1-trityl-1H-imidazole was treated sequentially with EtMgBr, 5-nitrotetralone, and NH4Cl in CH2Cl2 to give 4-(5-nitro-3,4-dihydro-1-naphthalenyl)-1H-imidazole. N-BOC protection, reduction using Pd/C in AcOEt, treatment with EtSO2C1 in the presence of TFA, and conversion to the salt afforded II•maleate. In radioligand binding assays, II-maleate showed good selectivity for binding to the

 $\alpha 1A$  adrenoceptor subtype vs. the  $\alpha 1B$  and  $\alpha 1D$  subtypes with Ki values of 176 nM, 4620 nM and 1590 nM, resp. In addition, II-maleate was efficacious in constricting the urethra with an IUP ED5 (the mean dose causing a maximum increase in intraurethral pressure of 5 mm Hg) of 10.7 nmol/kg in anesthetized dogs.

IT 258527-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as  $\alpha 1A$  adrenoceptor agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)

## RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 133 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:472482 CAPLUS

DN 135:56097

TI Sulfonamide derivative urotensin-II receptor antagonists, preparation, pharmaceutical compositions, and therapeutic use

IN Dhanak, Dashyant; Knight, Steven D.

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 40 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_\_ WO 2000-US34574 PΙ WO 2001045694 A1 20010628 W: AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 1999-172807P P 19991221

CA	2394	1603			A1		2001	0628		CA	2000-	-2394	1603			2000	1219
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										WO	2000-	-US34	1574		W	2000	1219
EP	1248	3607			A1		2002	1016		ΕP	2000-	-9881	.85			2000	1219
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		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	ΑI	, TR						
										US	1999-	-1728	07P		P	1999	1221
										WO	2000-	-US34	1574		W	2000	1219
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										US	1999-	-1728	07P		Ρ	1999	1221
										WO	2000-	-US34	1574		W	2000	1219
US	2003	30100	580		A1		2003	0529		US	2002-	-1497	94			2002	0613
										WO	2000-	-US34	574		W	2000	1219

OS MARPAT 135:56097

AB Sulfonamide derivs., pharmaceutical compns. containing them, and their use as antagonists of urotensin II are disclosed.

IT 345893-28-9P 345893-35-8P 345893-39-2P

345893-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(sulfonamide derivative urotensin-II receptor antagonists, pharmaceutical compns., and therapeutic use)

RN 345893-28-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethoxy]-4-methylphenyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-O} \\ \text{O} \\ \text{S-NH} \\ \text{O} \\ \text{Me} \end{array}$$

RN 345893-35-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(dimethylamino)propyl]-4-iodophenyl]-3-methyl- (CA INDEX NAME)

RN 345893-39-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-cyano-3-[2-(dimethylamino)ethoxy]phenyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-O} \\ \text{O} \\ \text{S-NH} \\ \text{O} \\ \text{Me} \\ \end{array}$$

RN 345893-41-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-chlorophenyl]-5-chloro-3-methyl- (CA INDEX NAME)

### RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 134 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:396861 CAPLUS

DN 135:5455

TI Preparation of hydroxamic acids as inhibitors of histone deacetylase

PA Methylgene, Inc., Can.

SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

r Alv •	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
ΡI	WO 200	 10383	 22		A1	_	2001	0531	1	WO 2	000-	 IB18	 81		2	0001	122
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,
		ZA,	ZW														
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		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG		
									1	US 1	999-	1670.	35P	]	P 19	9991	123
	CA 239	1952			A1		2001	0531	(	CA 2	000-	2391	952		2	0001	122
									1	US 1	999-	1670.	35P	]	P 19	9991	123
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	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY, A	L, TR					
									US	1999-	-167035	P	Ρ	19991	123
									WO	2000-	-IB1881		W	20001	122
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									US	1999-	-167035	P	Ρ	19991	123
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									WO	2000-	-IB1881		W	20001	122
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									WO	2000-	-IB1881		W	20001	122
EP	1748	046			A2		2007	0131	EP	2006-	-11600			20001	122
EP	1748	046			A3		2007	0822							
	R:	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FI, F	R, GB,	, GR, I	E, IT	, L	I, LU,	MC,
		ΝL,	PT,	SE,	TR,	AL,	LT,	LV,	MK, R						
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											-167035		Ρ		
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											-167035		Р	19991	
									TTC				Ε	200013	122
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									AU AU	2006- 2001-	-200456 -18768		_	200602	122
	2006 2007				A1 A		2006 2007		AU AU KR	2006- 2001- 2007-	-200456 -18768 -709772		- А3	200602 200013 20070	122 427
									AU AU KR US	2006- 2001- 2007- 1999-	-200456 -18768 -709772 -167035	P	A3	200602 200012 200704 199912	122 427 123
									AU AU KR US WO	2006- 2001- 2007- 1999- 2000-	-200456 -18768 -709772	Р	- А3	200602 200013 20070	122 427 123 122

OS MARPAT 135:5455

AB The title compds. Cyllary1CONHZ [Cy = (un)substituted cycloalky1, ary1, heteroary1, etc.; L1 = (CH2)mW (wherein m = 0-4; W = CONH, SO2NH, NHCO, NHSO2, NHCONH); Ar = (un)substituted ary1ene which may be fused to an ary1, heteroary1, etc.; Y1 = a bond, alky1ene; Z = aniliny1, pyridy1, thiadiazoly1, OM (M = H, a pharmaceutically acceptable cation)], useful for inhibiting histone deacetylase enzymic activity, were prepared E.g., a multi-step synthesis of the title compound I which showed IC50 of 7  $\mu$ M against histone deacetylase in nuclear exts. from H446 cells (pooled HDACs), was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

IT 342372-00-3P 342372-07-0P 342372-08-1P 342372-41-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxamic acids as inhibitors of histone deacetylase) 342372-00-3 CAPLUS

CN Benzeneacetamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)

RN

RN 342372-07-0 CAPLUS

CN Benzamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)

RN 342372-08-1 CAPLUS

CN Benzeneacetamide, 3-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)

RN 342372-41-2 CAPLUS

CN 2-Propenamide, 3-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-N-hydroxy-(CA INDEX NAME)

IT 342373-19-7P 342373-20-0P 342373-22-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxamic acids as inhibitors of histone deacetylase)

RN 342373-19-7 CAPLUS

CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-, methyl ester (CA INDEX NAME)

342373-20-0 CAPLUS RN

Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX CN NAME)

RN 342373-22-2 CAPLUS

CN Benzoic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)

#### RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 135 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2001:338517 CAPLUS

134:353316 DN

Preparation of N-(piperazinylquinolyl)aranesulfonamides and analogs as ΤI 5-HT6 receptor antagonists

Bromidge, Steven Mark; Serafinowska, Halina Teresa ΙN

Smithkline Beecham P.L.C., UK PA

SO PCT Int. Appl., 29 pp. CODEN: PIXXD2

Patent DT

English LA

FΑ	N.CNI	<sup>'</sup> 1																
	PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
ΡI		2001		-				2001			WO 2	000-	EP10	911		2	0001	102
	WC	2001	0326	46		A3		2001	1227									
		W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
			YU,	ZA,	ZW													
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
			•	·	·	•	·	•			GB 1	999-	2630	2		A 1	9991	105
	EP	1228	066			A2		2002	0807		EP 2	000-	9745	09		2	0001	102
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
								RO,					•	•	•	,	•	•
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	JP	2003	5130	85		Τ		2003	0408			001-					0001	
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											UL 1		2000	_	-		J J J I	100

OS MARPAT 134:353316

AB R1Z1SO2NR2ZR4 [I; R1 = (un)substituted (hetero)aryl; R2 = H or alkyl; R4 = Z2R5; R5 = heterocyclyl; Z = e.g., (un)substituted quinoline-6,n-diyl; Z1 = bons or alk(en)ylene; Z2 = bond, CH2, O, (alkyl)imino; n = 2-4] were prepared Thus, 4-(4-methylpiperazin-1-yl)quinoline-6-amine was amidated by 5-chloro-3-methylbenzofuran-2-sulfonyl chloride (preparation each given) to give title compound II. Data for biol. activity of I were given.

IT 338796-52-4P 338796-58-0P 338796-59-1P 338796-60-4P 338796-63-7P 338796-68-2P 338796-74-0P 338796-77-3P 338796-78-4P 338796-82-0P 338796-85-3P 338796-86-4P 338796-89-7P 338796-91-1P 338796-92-2P 338796-93-3P 338796-94-4P 338796-95-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(piperazinylquinolyl) aranesulfonamides and analogs as 5-HT6 receptor antagonists)

RN 338796-52-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 338796-58-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(3,5-dimethyl-1-piperazinyl)-6-quinolinyl]-3-methyl-, hydrochloride (1:?) (CA INDEX NAME)

RN 338796-59-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinazolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

#### ●x HCl

RN 338796-60-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

#### ●x HCl

RN 338796-63-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 338796-68-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3,7-dimethyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 338796-74-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-bromo-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

RN 338796-77-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-ethyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

RN 338796-78-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-(1-methylethyl)-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

RN 338796-82-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

RN 338796-85-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 338796-86-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

•x HCl

RN 338796-89-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-6-quinolinyl]-3-methyl-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 338796-91-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3S)-3-methyl-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

RN 338796-92-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-methyl-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 338796-93-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-(1-methylethyl)-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

RN 338796-94-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(2R,5S)-2,5-dimethyl-1-piperazinyl]-6-quinolinyl]-3-methyl-, hydrochloride (1:?), rel- (CA INDEX NAME)

Relative stereochemistry.

●x HCl

RN 338796-95-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-, hydrochloride (1:?) (CA INDEX NAME)

L6 ANSWER 136 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:65662 CAPLUS

DN 135:101734

TI SB-271046 SmithKline Beecham

AU Miguel-Hidalgo, Jose Javier

CS Department of Psychiatry and Human Behavior, University of Mississippi Medical Center, Jackson, MS, 39216-4505, USA

SO Current Opinion in Investigational Drugs (PharmaPress Ltd.) (2001), 2(1), 118-122

CODEN: COIDAZ

PB PharmaPress Ltd.

DT Journal; General Review

LA English

AB A review, with 29 refs. SmithKline Beecham is developing the 5-HT6 antagonist, SB-271046, as a potential cognition enhancer. By Dec. 1999, phase I trials had commenced. This drug was originally being developed primarily for the treatment of schizophrenia, however, cognitive disorders, including but not limited to Alzheimer's disease, have been the main target since 1998. SB-271046 is a potent, selective 5-HT6 antagonist with a pKi value of 8.9. Data recently presented at the Society for Neuroscience annual meeting in Nov. 2000 demonstrated that administration of SB-271046 resulted in a significant increase in glutamate and aspartate levels in the frontal cortex, without affecting noradrenaline, dopamine or 5-HT levels. This was stated to suggest that 5-HT6 antagonists might therefore be useful for treating cognitive dysfunction. The drug has also been radiolabeled in order to provide an assay for estimating in vivo 5-HT6 receptor occupancy.

IT 209481-20-9, SB-271046

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(SB-271046 as cognition enhancer and pharmacol. thereof)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

## RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 137 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:31512 CAPLUS

DN 134:95480

TI Sulfonamidomethyl phosphonate inhibitors of  $\beta$ -lactamase

IN Besterman, Jeffrey M.; Delorme, Daniel; Rahil, Jubrail

PA Methylgene Inc., Can.

SO PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PA:	rent 1				KIN:	D	DATE			APP	LICA	TION	NO.		Γ	ATE	
ΡI	WO	2001						2001					 -US18	344		2	0000	705
		₩:	CZ, IN,	DE, IS,	DK, JP,	DM, KE,	EE, KG,	AZ, ES, KP, MX,	FI, KR,	GB, KZ,	GD LC	GE, LK	, GH, , LR,	GM, LS,	HR, LT,	HU, LU,	ID, LV,	IL, MA,
		RW:	GH, DE,	GM, DK,	KE, ES,	LS, FI,	MW, FR,	TT, MZ, GB, GN,	SD, GR,	SL, IE, ML,	SZ IT MR	TZ LU NE	, UG, , MC, , SN,	ZW, NL, TD,	AT, PT, TG	BE, SE,	CH, BF,	CY, BJ,
		2377				A1 C		2001 2008		-				62P 762			9990	
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	JP	2003	5035	05		T		2003	0128		JP US	2001 1999	-5078 -1423	344 847 862P		2 P 1	0000	705 706
	AU	7705	99			В2		2004	0226		AU US	2000 1999	-5785 -1423	344 8 62P		2 P 1	:0000 :9990	705 706
	AT	3113	97			Т		2005	1215	•	AT US	2000 1999	-9433 -1423	344 881 862P		2 P 1	0000 9990	705 706
	ES	2250	150			Т3		2006	0416		ES	2000	-9433	344 881 862P		2	0000	705
	MX	2002	PA00	246		А		2003	0820		MX US WO	2002 1999 2000	-PA24 -1423 -US18	62P 662P 8344		2 P 1 W 2	0020 9990 0000	107 706 705

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			US 2000-610456	A2 20000705
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IIS 20040059115	Δ1	20040325		
US 7030103	B2	20040323	05 2002 200215	20021000
			US 1999-142362P	
			US 2003-411484	20030408
UD 0371/20	BΖ	20050726	US 1999-142362P	P 19990706
			US 2000-610456	
			US 2002-266213	
			US 2002-302124	A2 20021122
WO 2004048393	A2		WO 2003-US36929	20031119
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			US 2003-411484	A1 20030408
AU 2003295638	A1	20040618	AU 2003-295638	20031119
			US 2002-302124	A 20021122
US 20050043276	Д1	20050224		
US 7259172	B2	20070821	00 2001 001100	20010702
			US 1999-142362P	P 19990706
			US 2000-610456	A2 20000705
				A2 20021008
TTC 20060105000	7\1	20060510		A3 20021122 20050518
09 70000103AAA	Al	Z0000218		A2 20021122
				A2 20021122 A2 20030408
			WO 2003-US36929	W 20031119
US 20070293675	A1	20071220	US 2007-830305	20070730
			US 1999-142362P	P 19990706
			US 2000-610456	A1 20000705
				A2 20021008
				A3 20021122 A3 20040702
2004:353142			05 2004-004433	A3 20040/02
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
TIC 20040000F46			TTO 0000 422404	
115 /111141111X/546	A1	20040429	US 2003-411484	20030408
	US 20040029836 US 6884791  US 6472406  US 20040059115 US 7030103  US 20040082546 US 6921756  WO 2004048393 W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PG, PH, PL, TR, TT, TZ, RW: BW, GH, GM, BY, KG, KZ, ES, FI, FR, TR, BF, BJ,  AU 2003295638  US 20050043276 US 7259172  US 20060105999  US 20070293675	PATENT NO.  US 20040029836 US 6472406 US 6472406 US 20040059115 US 7030103 US 20040082546 US 6921756  WO 2004048393 W: AE, AG, AL, AM, AT CO, CR, CU, CZ, DE GM, HR, HU, ID, IL LS, LT, LU, LV, MAPG, PH, PT, RO TR, TT, TZ, UA, UG TR, TT, TZ, UA, UG ES, FI, FR, GB, GR TR, BF, BJ, CF, CG  AU 2003295638  A1  US 20050043276 US 20060105999 A1  US 20070293675 A1  2004:353142 PATENT NO.  ES, EI, END  ES, END	PATENT NO.  US 20040029836  US 6884791  B1 20021029  US 20040059115  US 7030103  B2 20060418  WO 2004048393  WO 2004048393  WO 2004048393  WI AE, AG, AL, AM, AT, AU, AZ, CO, CR, CU, CZ, DE, DK, DM, GM, HR, HU, ID, IL, IN, IS, LS, LT, LU, LV, MA, MD, MG, PG, PH, PT, RO, RU, SC, TR, TT, TZ, UA, UG, US, UZ, RW: BW, GH, GM, KE, LS, MW, MZ, BY, KG, KZ, MD, RU, TJ, TM, ES, FI, FR, GB, GR, HU, IE, TR, BF, BJ, CF, CG, CI, CM,  US 20050043276  US 20050043276  US 20060105999  A1 20060518  US 20070293675  A1 20071220  PATENT NO.  EKIND DATE	PATENT NO.  US 20040029836

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	W:	CO, GM, LS, PG,	CR, HR, LT, PH,	CU, HU, LU, PL,	CZ, ID, LV, PT,	DE, DK IL, IN MA, MD RO, RU	, DM, , IS, , MG,	DZ, JP, MK, SD,	EC, KE, MN, SE,	EE, KG, MW, SG,	ES, KP, MX, SK,	FI, KR, MZ, SL,	GB, KZ, NI, SY,	GD, LC, NO,	GE, LK, NZ,	GH, LR, OM,	
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VN, YU, ZA, ZM, ZW, PS, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, FS, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, FS, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, FS, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, FS, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, FS, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, FS, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, FS, FI, FR, GB, GR, HD, IE, IT, LU, MC, NI, PT, RO, SE, TS, UG, ZM, ZW, WA, WA, WA, WA, WA, WA, WA, WA, WA, W	W	No.   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100   100  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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-302124

A1 20030408

OS MARPAT 134:95480

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. is also described.

IT 318463-03-5P 318463-04-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(sulfonamidomethyl phosphonate  $\beta\text{--lactamase}$  inhibitor preparation and antibacterial use)

RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

● NH3

RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

#### ● NH3

IT 318460-62-7 318460-64-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sulfonamidomethyl phosphonate  $\beta$ -lactamase inhibitor preparation and antibacterial use)

RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 138 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2000:872660 CAPLUS
- DN 134:216801
- TI Phenyl benzenesulfonamides are novel and selective 5-HT6 antagonists: identification of N-(2,5-dibromo-3-fluorophenyl)-4-methoxy-3-piperazin-1-ylbenzenesulfonamide (SB-357134)
- AU Bromidge, S. M.; Clarke, S. E.; Gager, T.; Griffith, K.; Jeffrey, P.; Jennings, A. J.; Joiner, G. F.; King, F. D.; Lovell, P. J.; Moss, S. F.; Newman, H.; Riley, G.; Rogers, D.; Routledge, C.; Serafinowska, H.; Smith,

D. R.

- CS Discovery Chemistry Europe, SmithKline Beecham Pharmaceuticals, Discovery Research, Harlow, Essex, CM19 5AW, UK
- SO Bioorganic & Medicinal Chemistry Letters (2000), Volume Date 2001, 11(1), 55-58

CODEN: BMCLE8; ISSN: 0960-894X

- PB Elsevier Science Ltd.
- DT Journal
- LA English
- AB Substituted N-phenyl-4-methoxy-3-piperazin-1-ylbenzenesulfonamides and conformationally restricted analogs have been identified as high affinity and selective 5-HT6 antagonists. Compds. from this series had a range of pharmacokinetic profiles in rat and in general there was a correlation between clearance and CNS penetration. Based on its overall biol. profile SB-357134 was selected for further pre-clin. evaluation.
- IT 209481-20-9, SB 271046
   RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study);
   PROC (Process)

(Ph benzenesulfonamides as 5-HT6 antagonists)

- RN 209481-20-9 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

### RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 139 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2000:578304 CAPLUS
- DN 133:247136
- TI Characterization of SB-271046: a potent, selective and orally active 5-HT6 receptor antagonist
- AU Routledge, Carol; Bromidge, Steven M.; Moss, Stephen F.; Price, Gary W.; Hirst, Warren; Newman, Helen; Riley, Graham; Gager, Tracey; Stean, Tania; Upton, Neil; Clarke, Stephen E.; Brown, Anthony M.; Middlemiss, Derek N.
- CS Department of Neuroscience Research, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW, UK
- SO British Journal of Pharmacology (2000), 130(7), 1606-1612 CODEN: BJPCBM; ISSN: 0007-1188
- PB Nature Publishing Group
- DT Journal
- LA English
- AB 1 SB-271046, potently displaced [3H]-LSD and [125I]-SB-258585 from human 5-HT6 receptors recombinantly expressed in HeLa cells in vitro (pKi 8.92 and 9.09 resp.). SB-271046 also displaced [125I]-SB-258585 from human caudate putamen and rat and pig striatum membranes (pKi 8.81, 9.02 and 8.55 resp.). 2 SB-271046 was over 200 fold selective for the 5-HT6 receptor vs 55 other receptors, binding sites and ion channels. 3 In functional studies on human 5-HT6 receptors SB-271046 competitively

antagonized 5-HT-induced stimulation of adenylyl cyclase activity with a pA2 of 8.71. 4 SB-271046 produced an increase in seizure threshold over a wide-dose range in the rat maximal electroshock seizure threshold (MEST) test, with a min. ED of  $\leq$  0.1 mg kg-l p.o. and maximum effect at 4 h post-dose. The level of anticonvulsant activity achieved correlated well with the blood concns. of SB-271046 (EC50 of 0.16  $\mu\text{M})$  and brain concns. of 0.01 - 0.04  $\mu\text{M}$  at Cmax. 5 These data, together with the observed anticonvulsant activity of other selective 5-HT6 receptor antagonists, SB-258510 (10 mg kg-l, 2-6 h pre-test) and Ro 04-6790 (1-30 mg kg-l, 1 h pre-test), in the rat MEST test, suggest that the anticonvulsant properties of SB-271046 are likely to be mediated by 5-HT6 receptors. 6 Overall, these studies demonstrate that SB-271046 is a potent and selective 5-HT6 receptor antagonist and is orally active in the rat MEST test. SB-271046 represents a valuable tool for evaluating the in vivo central function of 5-HT6 receptors.

IT 209481-20-9, SB-271046

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(characterization of SB-271046: a potent, selective and orally active  $5-\mathrm{HT}6$  receptor antagonist)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 140 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:578303 CAPLUS

DN 133:261808

TI Characterization of [125I]-SB-258585 binding to human recombinant and native 5-HT6 receptors in rat, pig and human brain tissue

AU Hirst, Warren D.; Minton, Jayne A. L.; Bromidge, Steven M.; Moss, Stephen F.; Latter, Alison J.; Riley, Graham; Routledge, Carol; Middlemiss, Derek N.; Price, Gary W.

CS Department of Neuroscience Research, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW, UK

SO British Journal of Pharmacology (2000), 130(7), 1597-1605 CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB 1 SB-258585 (4-Iodo-N-[4-methoxy-3-(4-methyl-piperazin- 1 -yl)-phenyl]-benzenesulfonamide) is a high affinity ligand at 5-HT6 receptors. It displays over 100 fold selectivity for the 5-HT6 receptor over all other 5-HT receptors tested so far. SB-258585 has been radiolabeled, to high specific activity, for its characterization as a 5-HT6 receptor selective radioligand. 2 [125I]-SB-258585 bound, with high

affinity, to a single population of receptors in a cell line expressing human recombinant 5-HT6 receptors. Kinetic and saturation binding expts. gave pKD values of 9.01  $\pm$  0.09 and 9.09  $\pm$  0.02, resp. 3 In membranes derived from rat or pig striatum and human caudate putamen, [125I]-SB-258585 labeled a single site with high levels (>60%) of specific binding. Saturation anal. revealed pKD values of 8.56  $\pm$  0.07 for rat, 8.60  $\pm$  0.10 for pig and 8.90  $\pm$  0.02 for human. Bmax values for the tissues ranged from 173  $\pm$  23 and 181  $\pm$  25 fmol mg-1 protein in rat and pig striatum, resp., to 215  $\pm$  41 fmol mg-1 protein in human caudate putamen. 4 The pKi rank order of potency for a number of compds., determined

in

competition binding assays with [125I]-SB-258585, at human caudate putamen membranes was: SB-271046 > SB-258585 > SB-214111 > methiothepin > clozapine > 5-Me-OT > 5-HT > Ro 04-6790 > mianserin > ritanserin = amitriptyline > 5-CT > mesulergine. Similar profiles were obtained from pig and rat striatal membranes and recombinant 5-HT6 receptors; data from the latter correlated well with [3H]-LSD binding. 5 Thus, [125I]-SB-258585 is a high affinity, selective radioligand which can be used to label both recombinant and native 5-HT6 receptors and will facilitate further characterization of this receptor subtype in animal and human tissues.

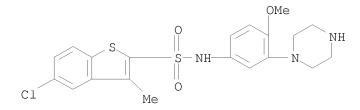
IT 209481-20-9, SB-271046

RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)

(characterization of [125I]-SB-258585 binding to human recombinant and native 5-HT6 receptors in rat, pig and human brain tissue)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



### RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 141 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:508647 CAPLUS

DN 133:275842

TI 6-bicyclopiperazinyl-1-arylsulfonylindoles and 6-bicyclopiperidinyl-1-arylsulfonylindoles derivatives as novel, potent, and selective 5-HT6 receptor antagonists

AU Isaac, M.; Slassi, A.; Xin, T.; MacLean, N.; Wilson, J.; McCallum, K.; Wang, H.; Demchyshyn, L.

CS NPS Allelix Corp., Mississauga, ON, L4V 1V7, Can.

SO Bioorganic & Medicinal Chemistry Letters (2000), 10(15), 1719-1721 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB A novel series of 6-bicyclopiperazinyl-1-arylsulfonylindoles and

6-bicyclopiperidinyl-1-arylsulfonylindoles derivs. was synthesized and found to be potent and selective 5-HT6 receptor antagonists.

IT 209481-20-9

RL: BSU (Biological study, unclassified); BIOL (Biological study) (novel 5-HT6 receptor antagonists design)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 142 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:358778 CAPLUS

DN 133:114963

TI In vivo effects of the 5-HT6 antagonist SB-271046 on striatal and frontal cortex extracellular concentrations of noradrenaline, dopamine, 5-HT, glutamate and aspartate

AU Dawson, L. A.; Nguyen, H. Q.; Li, P.

CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, 08543, USA

SO British Journal of Pharmacology (2000), 130(1), 23-26 CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB Although the 5-HT6 receptor subtype was identified some 5 yr ago, very little is known about its function within the brain. Here we demonstrate, for the first time, the neurochem. effects of a selective 5-HT6 receptor ligand. Using in vivo microdialysis in the freely moving rat, we evaluated the effects of the selective 5-HT6 receptor antagonist SB-271046 by simultaneous measurement of 5-hydroxytryptamine (5-HT), dopamine (DA), noradrenaline (NA), glutamate and aspartate from the striatum and frontal cortex. SB-271046 did not alter basal levels of 5-HT, DA and NA in either brain region. Similarly, there was no change basal levels of either of the excitatory amino acids within the striatum. In contrast, administration of SB-271046 (10 mg kg-1 s.c.) produced a significant (P<0.05), tetrodotoxin-dependent, increase in extracellular levels of both glutamate and aspartate within the frontal cortex, reaching maximum values of 375.4±82.3 and 215.3±62.1% of preinjection values, resp.

IT 209481-20-9, SB 271046

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 antagonist SB-271046 effect on striatum and frontal cortex neurotransmitters: relevance to cognitive dysfunction treatment)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperaziny1)pheny1]-3-methyl- (CA INDEX NAME)

### RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 143 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:161385 CAPLUS

DN 132:199081

TI 5-HT6 receptor antagonists for the treatment of Parkinson disease

IN Routledge, Carol

PA Smithkline Beecham P.L.C., UK

SO PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	CENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
ΡI		2000				A2 A3		2000 2000			WO 1	999-	EP62	19		1	9990	825
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	AU	9959	706			A1		2000	0321		AU 1 GB 1 WO 1	999- 998-	5970 1891	6 4		1 A 1	9980 9990 9980 9990	825 828

OS MARPAT 132:199081

AB The use of 5-HT6 receptor antagonists for the treatment of Parkinson disease is described. An example of the antagonist is a benzo[b]thiophene-2-sulfonamide containing a piperazine ring. dissolved in a suitable pharmaceutical carrier.

IT 209481-20-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (5-HT6 receptor antagonists for treatment of Parkinson disease)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 144 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:161118 CAPLUS

DN 132:203153

 ${\tt TI}$  Use of 5-HT6 antagonists for the treatment of attention deficit hyperactivity disorder

IN Reavill, Charles Alan; Routledge, Carol

PA Smithkline Beecham P.L.C., UK

SO PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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		5027						_000			GB	1998-	1891	6		A 1	9980	828

WO 1999-EP6218 W 19990825 US 2001-763742 A3 20010417

OS MARPAT 132:203153

AB 5-HT6 receptor antagonists containing arylsulfamide or arylaminosulfonyl groups are used in the manufacture of a medicament for the treatment of attention deficit hyperactivity disorder.

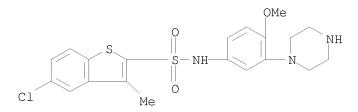
IT 209481-20-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 antagonists for treatment of attention deficit hyperactivity disorder)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



## RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 145 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:117031 CAPLUS

DN 132:166236

TI Preparation of imidazoles and related compounds as  $\alpha 1A$  agonists

IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F., Jr.; Holladay, Mark W.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

PATEN	IT NO.			KIN	D	DATE			APP:	LICAT	ION I	.OV		D.	ATE	
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        AU 9953386
        WO 1999-US17739 W 19990806
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US 1999-364901
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        MX 2001PA01412 A
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PATENT FAMILY INFORMATION:
FAN 2001:617982
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                                                                          US 2000-506750 A 20000217

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                               A1 20021127
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        JP 2003523333 T 20030805
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FAN 2003:17797
        PATENT NO. KIND
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        PATENT NO.
        US 6503935

B1 20030107

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US 1998-130799

B2 19980807

CA 2338594

A1 20000217

CA 1999-2338594

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A 19980807

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W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, TD, IL, IN,
PΤ
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                      CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
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TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW
          RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
               CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                   US 1998-130799
                                                                       A 19980807
                                                   US 1999-364901
                                                                        A 19990729
     AU 9953386
                            A
                                     20000228
                                                  AU 1999-53386
                                                                             19990806
                                                                       A 19980807
A 19990729
                                                   US 1998-130799
                                                   US 1999-364901
                                                 WO 1999-US17739 W 19990806
EP 1999-939019 19990806
     EP 1102754
                            A1 20010530
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
                                                                        A 19980807
                                                   US 1998-130799
                                                   US 1999-364901
                                                                        A 19990729
                                                   WO 1999-US17739
                                                                        W 19990806
     JP 2002522423
                            Τ
                                                   JP 2000-563631
                                     20020723
                                                                              19990806
                                                  US 1998-130799 A 19980807
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WO 1999-US17739 W 19990806
                                                  TW 1999-88113524 19990914
US 1998-130799 A 19980807
US 2000-506750 20000217
US 1998-130799 B2 19980807
US 1999-364901 A2 19990729
                            В
     TW 517050
                                     20030111
     US 20030073850 A1
                                     20030417
                                                   US 1999-364901
                                                                        A2 19990729
FAN 2003:300646
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                           KIND
                                    DATE
                                                  APPLICATION NO.
                                                                             DATE
                            ____
                                                  US 2000-506750 20000217

US 1998-130799 B2 19980807

US 1999-364901 A2 19990729

US 1999-364901 19990729

US 1998-130799 B2 19980807
     US 20030073850
                            A1
PΙ
                                     20030417
                                     20030107
     US 6503935
                            В1
                                                  CA 2001-2399147 20010201
US 2000-506750 A 20000217
     CA 2399147
                           A1
                                     20010823
                                                  WO 2001-US3466
                                                                        W 20010201
     WO 2001060802
                            A1 20010823
                                                 WO 2001-US3466
                                                                             20010201
          W: CA, JP, MX
          RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
               PT, SE, TR
                                                 US 2000-506750 A 20000217
                            A1 20021127 EP 2001-908800 20010201
     EP 1259491
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, FI, CY, TR
                                                                       A 20000217
                                                   US 2000-506750
                                                   WO 2001-US3466
                                                                        W 20010201
                              Τ
                                                  JP 2001-560187
     JP 2003523333
                                     20030805
                                                                              20010201
                                                  US 2000-506750 A 20000217
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                                                  MX 2002-PA8001
     MX 2002PA08001 A
                                     20030128
                                                                              20020816
                                                  US 2000-506750 A 20000217
WO 2001-US3466 W 20010201
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OS MARPAT 132:166236

The title compds. [I; R1 = SO2R9, COR9; R9 = alkenyl, alkyl, alkynyl, etc.; R2 = H, alkenyl, alkoxy, etc.; R3 = H, alkenyloxy, alkyl, etc.; R4 = H, alkyl, alkoxy, haloalkyl, etc.; R3 and R4 together with the carbon atoms to which they are attached form a 5-7 membered carbocyclic ring, 5-6membered ring containing 1 heteroatom selected from O, NR11, SOn; R11 = H, alkenyl, alkyl, etc.; n = 0-2; R5 = imidazolyl, pyrazolyl, oxazolyl, etc.;

R6 = H, alkoxy, alkyl, etc.; R7 = H, alkenyl, alkyl, etc.; R8 = H, alkyl; R3 and R8 together with the carbon atom to which they are attached form a 3-6 membered carbocyclic ring, C:CR12R15; R12, R15 = H, alkoxy, alkyl, etc.], useful in treating diseases prevented by or ameliorated with  $\alpha$ 1A agonists, were prepared E.g., a detailed multi-step synthesis of II.HCl, was given. Biol. data for compds. I were presented. 258527-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoles and related compds. as  $\alpha$ 1A agonists)

RN 258527-24-1 CAPLUS

ΙT

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)

## RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 146 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1999:549274 CAPLUS

DN 131:170364

TI Preparation of sulfonanilide 5-HT6 receptor antagonists

IN Bromidge, Steven Mark; Serafinowska, Halina Teresa

PA Smithkline Beecham PLC, UK

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PA.	TENT 1	NO.			KINI	)	DATE		A	PP	LICAT	ION I	NO.		Ι	DATE	
ΡΙ		9942 9942	465		II C	A2 A3	_	1999 1999			10	1999-	EP10	13		-	19990	212
			,			CY,	DE,	DK,	ES,	FI,	FR	, GB,	GR,	IE,	IT,	LU,	MC,	NL,
	CA	2321	278			A1		1999	0826	C	A	1998- 1999- 1998-	2321	278	_	-	19980 19990 19980	212
	EP	1066 R:		СН,	DE,	A2 ES,	FR,	2001 GB,	-	E	P	1999- 1999-			Ţ	-	19990 19990	

			GB	1998-3411	A	19980218
			WO	1999-EP1013	W	19990212
JP 2002504484	T	20020212	JP	2000-532417		19990212
			GB	1998-3411	А	19980218
			WO	1999-EP1013	W	19990212

OS MARPAT 131:170364

AB RZ1Z2Z3R4 [R = (un)substituted phenylene, -heterocyclylene, etc.; R4 = (un)substituted N-attached diazabicycloalkyl; Z1 = bond or alk(en)ylene; Z2 = SO2NH or NHSO2; Z3 = (un)substituted 1,3-phenylene] were prepared as 5-HT6 receptor antagonists (no data). Thus, 2-methoxy-5-nitroaniline was N-alkylated by 2-bromomethylpiperidine and the product N-alkylated by BrCH2CO2Et to give, after cyclization and 2 reduction steps, 4-methoxy-3-octahydropyrido[1,2-a]pyrazin-2-ylaniline which was amidated by 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride to give title compound I.

IT 239122-27-1P 239122-28-2P 239122-29-3P 239122-30-6P 239122-31-7P 239122-32-8P 239122-33-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonanilide 5-HT6 receptor antagonists)

RN 239122-27-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-y1)phenyl]-3-methyl- (CA INDEX NAME)

RN 239122-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 239122-29-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 239122-30-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.3.1]non-4-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

RN 239122-31-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.2.1]oct-4-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

RN 239122-32-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(hexahydro-5-methylpyrrolo[3,4-c]pyrrol-2(1H)-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

RN 239122-33-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

L6 ANSWER 147 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1999:8652 CAPLUS

DN 130:168329

TI 5-Chloro-N-(4-methoxy-3-piperazin-1-ylphenyl)-3-methyl-2benzothiophenesulfonamide (SB-271046): A Potent, Selective, and Orally Bioavailable 5-HT6 Receptor Antagonist

AU Bromidge, Steven M.; Brown, Anthony M.; Clarke, Stephen E.; Dodgson, Kathy; Gager, Tracey; Grassam, Helen L.; Jeffrey, Phil M.; Joiner, Graham F.; King, Frank D.; Middlemiss, Derek N.; Moss, Stephen F.; Newman, Helen; Riley, Graham; Routledge, Carol; Wyman, Paul

CS Departments of Medicinal Chemistry Neuroscience Research and Drug Metabolism and Pharmacokinetics, SmithKline Beecham Pharmaceuticals Discovery Research, Harlow Essex, CM19 5AW, UK

SO Journal of Medicinal Chemistry (1999), 42(2), 202-205 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB 1-(4-Arylsulfonyl-2-methoxyphenyl)-4-methylpiperazines were prepared by arylsulfonylation of the amine and tested for 5-HT6 receptor antagonist activity. 5-Chloro-N-[4-methoxy-3-(4-methylpiperazin-1-yl)phenyl]-3-methyl-2-benzothiophenesulfonamide which was the most potent antagonist was demethylated in vivo. The title compound was, therefore, also prepared and found to be a high-affinity, selective, orally active 5-HT6 receptor antagonist.

IT 209481-24-3P 220431-95-8P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of arylsulfonylaminophenylpiperazines as  $5-{\rm HT}6$  receptor antagonists)

RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 220431-95-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 209481-82-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonylaminophenylpiperazines as 5-HT6 receptor antagonists)

RN 209481-82-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 148 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1998:424243 CAPLUS

DN 129:81756

OREF 129:16885a,16888a

TI Preparation of N-(piperazinylphenyl) arylsulfonamides as CNS agents

IN Bromidge, Steven Mark; King, Francis David; Wyman, Paul Andrian

PA Smithkline Beecham PLC, UK; Bromidge, Steven Mark; King, Francis David; Wyman, Paul Andrian

SO PCT Int. Appl., 54 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
-----PI WO 9827081 A1 19980625 WO 1997-EP7159 19971215
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

		KP, NO,	KR, NZ,	KZ, PL,	LC,	LK, RO,	LR, RU,	LS, SD,	LT,	LU	, HU, , LV, , SI,	MD,	MG,	MK,	MN,	MW,	MX,
	RW:	GH, FR,	GM, GB,	KE, GR,	LS,	MW, IT,	SD, LU,	SZ, MC,			, AT, , SE,						
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CA	2275	492			A1		1998	0625		CA GB GB	1997- 1997- 1996- 1997- 1997-	2275 2637 901	492 7		1 A 1 A 1	9971 9971 9961 9970 9971	215 219 117
	9860 7290				A B2			0715 0125		AU :	1997– 1998–	6090	4	1	1	9971 9971	215
										GB :	1996- 1997- 1997-	901 2275	7		A 1 A 1	9961 9970 9971	117 027
	9465 9465	39			A1 B1	;	2003	1006 0813		EP :	1997– 1997–	9549.	29		1	9971 9971	215
	R:	,		CH, FI,		DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
										GB GB	1996- 1997- 1997-	901 2275	7		A 1 A 1	9961 9970 9971	117 027
CN	1246	116			A	:	2000	0301		CN : GB :	1997- 1997- 1996- 1997-	1818 2637	16		1 A 1	9971 9971 9961 9970	215 219
BR	9713	734			A	:	2000	0328		GB BR	1997- 1997- 1997-	2275 1373	4		A 1	9971 9971 9961	027 215
										GB :	1997- 1997- 1997-	901 2275	7		A 1 A 1	9970 9971 9971	117 027
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										GB :	1996- 1997- 1997-	901 2275	7	1	A 1 A 1	9961 9970 9971	117 027
JP	2001	5066	46		T	•	2001	0522		GB GB GB	1998- 1996- 1997- 1997-	2637 901 2275	7 7		A 1 A 1 A 1	9971 9961 9970 9971	219 117 027
NΖ	3359	70			А	:	2001	1026		NZ : GB : GB :	1997- 1997- 1996- 1997- 1997-	3359 2637 901	70 7		1 A 1 A 1	9971 9971 9961 9970 9971	215 219 117
AT	2470	99			T	:	2003	0815		AT : GB :	1997- 1997- 1996- 1997- 1997-	9549. 2637 901	29 7		1 A 1 A 1	9971 9971 9961 9970 9971	215 219 117
ES	2203	831			Т3	:	2004	0416			1997- 1997-			1		9971 9971	

AP	1277				А		2004			GB GB AP	1997- 1997- 1999-	-22757		A A A	19961219 19970117 19971027 19971215
	W:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	GB GB GB	1997- 1997-	-22757		A A A	19961219 19970117 19971027
ZA	97113	319			A		1999	0617		ZA	1997-	-EP7159 -11319 -26377		A A	19971215 19971217 19961219
TW	41820	)5			В		2001	0111		TW	1997-	-861191 -26377	36	A	19971218 19961219
	1005						0005			GB		-22757		A A	19970117 19971027
IN	1997[	DE036	598		A		2005	0311		GB		-DE3698 -26377 -901		A A	19971219 19961219 19970117
NO	99030	003			A		1999	0618		ИО	1999-			A	19990618 19961219
										GB		-901 -22757 -EP7159		A A W	19970117 19971027
MX	99059	900			A		2000	0131		MX GB	1999- 1996-	-5900 -26377		А	19971215 19990621 19961219
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US	64237	717			В1		2002	0723		US GB	2000- 1996-	-643200 -26377		A	20000821 19961219
										GB		-901 -22757 -EP7159		A A W	19970117 19971027 19971215
	20030 65999		233		A1 B2		2003 2003					-331378 -157258		В1	19990618 20020529
US	00995	⊅U4			ВZ		2003	0 129		GB GB US	1997- 1997- 1999-	-26377 -901 -22757 -331378 -643200			19961219 19970117 19971027 19990618 20000821
1.47	D 7 III 1	100	1175	_											

OS MARPAT 129:81756

AB The title compds. [I; P = Ph, naphthyl, a bicyclic heterocyclic ring, a 5-7 membered heterocyclic ring containing 1-4 heteroatoms selected from O, N or S; A = a single bond, C1-6 alkylene, C1-6 alkenylene; R1 = halo, C1-6 alkyl, C3-6 cycloalkyl, etc.; n = 0-6; R2 = H, C1-6 alkyl, aryl C1-6 alkyl; R3 = R5; R3R5 = (CH2)20, (CH2)30; R3R2 = (CH2)2, (CH2)3; R4 =X(CH2)pR6 (wherein X = a single bond, CH2, O, NH, NC1-6 alkyl; p = 0-6; R6 = (un) substituted 5-7 membered heterocyclic ring containing 1-3 heteroatoms selected from N, S or O, NR7R8; R7, R8 = H, C1-6 alkyl, aryl C1-6 alkyl); R5 = H, halo, C1-6 alkyl], having CNS activity (selective 5-HT6 receptor antagonistic activity) and therefore useful in the treatment of schizophrenia, Alzheimer's disease and/or depression, were prepared Thus, reaction of thiophene-2-sulfonyl chloride with 4-methoxy-3-(4-methylpiperazin-1-yl)aniline in Me2CO afforded 84% the title compound II. Some of compds. I showed particularly good selective 5-HT6 receptor antagonistic activity, e.g. compound III showed pKi of > 8.0 at human cloned 5-HT6 receptor.

IT 209480-56-8P 209481-20-9P 209481-24-3P

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

RN 209481-41-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-7-(4-methyl-1-piperazinyl)-5-benzofuranyl]-3-methyl- (CA INDEX NAME)

RN 209481-49-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[4-(cyclopropylmethyl)-1-piperazinyl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

RN 209481-50-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[4-(phenylmethyl)-1-piperazinyl]phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-51-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-hydroxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-52-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(4-methyl-1-piperazinyl)-4-(phenylmethoxy)phenyl]- (CA INDEX NAME)

RN 209481-53-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-ethoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-54-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-methylethoxy)-3-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)

RN 209481-55-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[(1-methyl-3-pyrrolidinyl)oxy]phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-57-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-chloro-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethoxy]-4-iodophenyl]-3-methyl- (CA INDEX NAME)

RN 209481-60-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2,3-dihydro-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)

RN 209481-63-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-2-methyl-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-64-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-(2-hydroxyethyl)-4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-66-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-methoxy-4-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-68-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-69-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-methyl-4-piperidinyl)phenyl]-3-methyl- (CA INDEX NAME)

RN 209481-79-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-methyl-3-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)

RN 209481-80-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

IT 209481-82-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(piperazinylphenyl) arylsulfonamides as CNS agents)

RN 209481-82-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

# RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 149 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:134849 CAPLUS

DN 126:157509

OREF 126:30463a,30466a

TI Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compounds as Factor Xa inhibitors

IN Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DT Patent
LA English

LA FAN.	CNT																	
	PAT	CENT	NO.			KIN	D -	DATE		AF		ICAT		NO.			DATE	
ΡI	WO	9640	679			A1		1996	1219	WC				16			1996	0607
										BR, E							, DK	, EE,
										KE, K								
			LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX, N	10,	NZ,	PL,	PT,	RO,	RU	J, SD	, SE,
			SG,															
		RW:								BE, C								
			ΙE,	ΙΤ,	LU,	MC,	ΝL,	PT,	SE,	BF, E								
						_				US	3 1	.995-	4810	24		A		
		5612				A		1997		US	5 1	.995-	4810	24			1995	
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	BR	9608	405			А		1999	0824			.996-				• •	1996	
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             SG, SI
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             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
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         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
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             GN, ML, MR, NE, SN, TD, TG
                                             US 1996-761414
                                                                A2 19961206
    MARPAT 126:157509
OS
     About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H,
AΒ
     R3S(O)p, R3R4NS(O)p; R2 = H, alkyl, aralkyl; R3 = alkyl, cycloalkyl,
     heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 =
     alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl;
     X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' =
     O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H,
     R602C, R60, cyano, R6CO, alkyl, N02, etc.; X6, X6' = H, R7R8N, R90,
     R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m =
     0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of
     Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was
     methylated with di-Me sulfate/NaOH, treated with phosphorus
     oxychloride/PCl5, and reacted with
     3-(3S-amino-2-oxopyrrolidin-1-ylmethyl)benzonitrile hydrochloride to give
     7-hydroxynaphthalene-2-sulfonic acid
     \{1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl\}amide
     trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki
     value of 35 nM.
ΙT
     186549-38-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or
        sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide
        compds. as Factor Xa inhibitors)
RN
     186549-38-2 CAPLUS
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2-Thiophenecarboximidamide, 4-[[(3S)-3-[[(5-chloro-3-methylbenzo[b]thien-2-methylbenzo

CN

yl)sulfonyl](phenylmethyl)amino]-2-oxo-1-pyrrolidinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 186549-37-1

CMF C26 H25 C1 N4 O3 S3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 186552-21-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compds. as Factor Xa inhibitors)

RN 186552-21-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3S)-1-[(5-cyano-3-thienyl)methyl]-2-oxo-3-pyrrolidinyl]-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 150 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1995:929484 CAPLUS

DN 124:116877

OREF 124:21764h,21765a

- TI Substituted phenylsulfonamides as selective  $\beta 3$  agonists for the treatment of diabetes and obesity
- IN Fisher, Michael H.; Mathvink, Robert J.; Ok, Hyun O.; Parmee, Emma R.;
  Weber, Ann E.
- PA Merck and Co., Inc., USA
- SO U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 15, 869, abandoned. CODEN: USXXAM
- DT Patent
- LA English

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		67047	7			A B2		1996		•		1331	0 10				1001	2200
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CASREACT 124:116877; MARPAT 124:116877

OS

Substituted phenylsulfonamides I where n is 0 to 7; m is 0 or 1; p is 0 to AΒ 3; A is Ph, naphthyl, a 5 or 6-membered heterocyclic ring with from 1 to 4heteroatoms selected from oxygen, sulfur or nitrogen, a benzene ring fused to a C3-8 cycloalkyl ring, a benzene ring fused to a 5 or 6-membered heterocyclic ring with from 1 to 3 heteroatoms selected from oxygen, sulfur or nitrogen or a 5 or 6-membered heterocyclic ring with from 1 to 3 heteroatoms selected from oxygen, sulfur or nitrogen fused to a 5 or 6-membered heterocyclic ring with from 1 to 3 heteroatoms selected from oxygen, sulfur or nitrogen; R1 = e.g., OH, oxo, halo, cyano nitro; R2 and R3 are independently, e.g., H, C1-6-alkyl; X = CH2, CH2CH2, CH:CH; R4 and R5 are independently H, C1-6-alkyl, halo; R6 = H or C1-6-alkyl; R7 = C3-8-cycloalkyl or [B(R1)n] where B is, e.g., Ph, naphthyl, a 5 or 6-membered heterocyclic ring with from 1 to 4 heteroatoms selected from oxygen, sulfur or nitrogen; are selective  $\beta$ 3 adrenergic receptor agonists with very little  $\beta 1$  and  $\beta 2$  adrenergic receptor activity and as such the compds. are capable of increasing lipolysis and energy expenditure in cells (no data). The compds. thus have potent activity in the treatment of Type II diabetes and obesity. The compds. can also be used to lower triglyceride levels and cholesterol levels or raise high d. lipoprotein levels or to decrease gut motility. In addition, the compds. can be used to reduced neurogenic inflammation or as antidepressant agents. The compds. are prepared by coupling an aminoalkylphenylsulfonamide with an appropriately substituted alkyl epoxide. Compns. and methods for the use of the compds. in the treatment of diabetes and obesity and for lowering triglyceride levels and cholesterol levels or raising high d. lipoprotein levels or for increasing gut motility are also disclosed. Thus, e.g., ring-cleavage reaction of N-[4-(2-aminoethyl)phenyl]benzenesulfonamide (preparation given) with (S)-2-1[(4-phenylmethoxy)phenyloxylmethyl] oxirane (preparation given) followed by hydrogenolysis afforded sulfonamide II (48% yield of intermediate benzyl ether, 60% yield of II).

ΙT 159183-24-1P 159183-43-4P 159183-85-4P

159184-06-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(substituted phenylsulfonamides as selective  $\beta$ 3 agonists for treatment of diabetes and obesity)

RN 159183-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(4hydroxyphenoxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159183-43-4 CAPLUS RN

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[(2-hydroxy-3phenoxypropyl)amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 159183-85-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(3-pyridinyloxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159184-06-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[3-[(6-amino-3-pyridiny1)oxy]-2-hydroxypropy1]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 151 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1994:700591 CAPLUS

DN 121:300591

OREF 121:55021a,55024a

TI Preparation of substituted phenylsulfonamides as selective  $\beta 3$  adrenergic agonists for treatment of diabetes and obesity.

IN Fisher, Michael H.; Parmee, Emma R.; Mathvink, Robert J.; Weber, Ann E.;
 Ok, Hyun O.

AN.	CNT PA	2 FENT	NO.			KINI	D	DATE			API	PLICAT	ION N	Ο.		DATE
I	EP		03			A1		1994	0817							19940203
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	199	FAMIL 95:92	9484													
	PA:	TENT 	NO.			KINI	) -	DATE			API	PLICAT	N NOLT	o. 		DATE
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	WO															
		W:						SK,					LK,	ь∨, №.	IG, M	IN, MW, NO,
		RW:											NE,	SN, I	D, I	'G
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		1000	•					1000	0 5 2 1		US	1993-	-15689	•	А	19930209
											US	1993-	-16810	5	А	19931215
	EP	6110	03			A1		1994	0817		EP	1994-	-20030	3		19940203
	EP	6110						1997								
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,						IL, PT, SE
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Page 497

CH2, CH2CH2, CH:CH, CH2O;, R4, R5 = H, C1-6 alkyl, halo, (substituted)amino, (substituted)HO, etc.; R6 = H, C1-6 alkyl; R7 = C1-6 alkyl, C3-8 cycloalkyl, Ph, etc.) useful for treatment of diabetes and obesity (no data), are prepared N-[4-(2-aminoethyl)phenyl]benzenesulfonamide (preparation given) in MeOH was treated with (S)-2-[[(4-phenylmethoxy)phenoxy]methyl]oxirane (preparation given) to give the protected benzenesulfonamide derivative which in THF was treated with Pd(OH)2/C to give (S)-I (m = 1, r = 0, RlnA = 4-(HO)C6H4, R2-6 = H, R7 = Ph, X = H2C). I are also claimed for lowering of triglyceride levels and/or cholesterol levels and/or raise high d. lipoprotein levels, decreasing gut motility, reducing neurogenic inflammation , reducing depression, or treating gastrointestinal disorders.

IT 159183-24-1P 159183-43-4P 159183-85-4P 159184-06-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted phenylsulfonamides as selective  $\beta 3$  adrenergic agonists for treatment of diabetes and obesity)

RN 159183-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159183-43-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[(2-hydroxy-3-phenoxypropyl)amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159183-85-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(3-pyridinyloxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159184-06-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[3-[(6-amino-3-pyridinyl)oxy]-2-hydroxypropyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 152 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1962:2297 CAPLUS

DN 56:2297

OREF 56:439b-i

TI Sulfonation of thionaphthene and methylthionaphthenes

AU Pailer, M.; Romberger, Elfriede

CS Univ. Vienna

SO Monatshefte fuer Chemie (1961), 92, 677-83 CODEN: MOCMB7; ISSN: 0026-9247

DT Journal

LA Unavailable

AB The sulfonation of thionaphthene (I), and the 2-Me (II), 3-Mc (III), 5-Me (IV), and 2,3-di-Me derivs. (V) of I, the preparation of the corresponding sulfonyl chlorides, sulfonamides, and sulfonanilides, the determination of the position of the SO3H group on the I ring system, and the removal of the SO3H group were described. I (1 g.), 1 g. Ac2O, and 0.8 g. 66° Be. H2SO4 mixed at 5-20° with stirring, stirred 1 h. at 20°, diluted with ice and H2O to about 20 graph oversated with Ft2O and the

diluted with ice and  ${\rm H2O}$  to about 20 cc., and extracted with  ${\rm Et2O}$ , and the extract

washed and distilled gave some unchanged I and then the 3-Ac derivative of I, b7

156-62°, m. 64-5.5° (petr. ether); the aqueous phase concentrated in vacuo to 5 cc., treated with 2 g. KCl as a hot-saturated sq. solution, cooled, and filtered gave 88% 2(or 3)-SO3K derivative (VI) of I. II gave similarly about 10% 3-Ac derivative of II, b10 145-60°, m. 71-2°, and the K salt of the 3-SO3H derivative (VII) of II. III yielded similarly (2 h.)

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about 10% 2-Ac derivative of III, b14 164-70°, m. 77-8°, and the
     2-SO3K derivative (VIII) of III. IV yielded in the same manner during 2 h.
     about 10% 3(\text{or }2)-Ac derivative of \overline{\text{IV}}, b9 155-70^{\circ}, m. 109-11^{\circ}
     (petr. ether), and the K salt of the 3(or 2)-SO3H derivative (IX) of IV. V
     gave similarly only the K salt of the 5(or 6)-SO3H derivative (X) of V. VI (37
     g.) and 42.7 g. PC15, stirred without heating and evaporated and the residue
     diluted with ice and extracted with Et20 gave 84% 3(or 2)-S02Cl derivative
     I, b0.05 110°, m. 88-90°. Similarly prepared were the
     following compds. (b.p. or sublimation temperature/mm., m.p., and % yield
     given): 3-SO2Cl derivative of II, 9-105°/0.05 (sublimed),
     117-18°, 75; 2-SO2Cl derivative of III, 140^{\circ}/0.01 (sublimed),
     137-9^{\circ}, 82; 3(or 2)-SO2Cl derivative of IV, 110-20^{\circ}/0.05 (b.p.),
     96-7^{\circ}, 79; 5(\text{or }6)-\text{SO2Cl} derivative of V, 125^{\circ}/0.05 (sublimed),
     130-2^{\circ}, 85. The appropriate sulfonyl chloride (0.1 g.) and 8 cc.
     concentrated NH4OH heated 2 h. on the water bath, kept overnight, and
extracted with
     Et20 gave the corresponding sulfonamide; in this manner, the following
     compds. were prepared (sublimation temperature or b.p./mm., m.p., and % yield
     given): 3-SO2NH2 derivative of I, 135-45°/0.001, 159-61°, 78;
     3-SO2NH2 derivative of II, 160-4°/0.1, 149-51°, 88; 2-SO2NH2
     derivative of III, 150-65^{\circ}/0.07, 202-4^{\circ}, 86; 3 (or 2)-SO2NH2 derivative of IV, 130-40^{\circ}/0.04, 173-5^{\circ}, 99; 5 (or 6)-SO2NH2 derivative of V, 170-80^{\circ}/0.1, 228-30^{\circ}, 71. The appropriate
     sulfonyl chloride (0.1 g.) in 2 cc. C6H6 kept 2-3 h. at 20° with 5
     cc. PhNH2, diluted with Et20, washed, dried, and distilled gave the
     corresponding sulfonanilide; in this manner the anilides of the following
     sulfonic acids were prepared (acid, b.p. of sulfanilide, m.p., and % yield
     given): 3(\text{or } 2)-\text{SO3H} derivative (XII) of I, 160-5^{\circ}/0.01, 130-2^{\circ},
     80; VII, 192-7°/0.1, 158-60°, 68; VIII, 165-75°/0.07,
     153-5°, 75; IX, 175-80°/ 0.05, 158-60°, 96; X,
     194-200^{\circ}/0.1, 169-71^{\circ}, 70. The appropriate sulfonyl
     chloride (0.2 g.) and 5 cc. H2O refluxed 12-14 h., washed with Et2O, and
     evaporated, and the residue dried by azeotropic distillation with C6H6 gave the
     corresponding free sulfonic acid; the hydrolysis solution from the chlorides
     treated with CaCO3 and the precipitate recrystd. from HCONMe2, MeOH, or EtOH
gave
     the Ca salt of the corresponding sulfonic acid. The appropriate Ca salt
     (1 g.) and 30 cc. 85% H3PO4 heated with stirring to 160°, treated
     at 100-20^{\circ} with steam, and the distillate (about 2 l.) extracted with
     Et20 gave the corresponding thionaphthene; the following results were
     obtained in this manner with the Ca salts of the sulfonic acids indicated
     (sulfonic acid, product, and % yield given): XII, I, 93; VII, II, 80;
     VIII, III, 89; IX, IV, 86; X, V, 66. VI gave similarly 94% I.
     92163-58-1P, Benzo[b]thiophene-2-sulfonanilide(?)
ΤT
     93900-20-0P, Benzo[b]thiophene-2-sulfonanilide(?), 3-methyl-
     93900-21-1P, Benzo[b]thiophene-2-sulfonanilide(?), 5-methyl-(?)
     RL: PREP (Preparation)
         (preparation of)
     92163-58-1 CAPLUS
RN
CN
     Benzo[b]thiophene-2-sulfonamide, N-phenyl- (CA INDEX NAME)
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RN 93900-20-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-phenyl- (CA INDEX NAME)

RN 93900-21-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-methyl-N-phenyl- (CA INDEX NAME)

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
1087.60 1269.48

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION

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chain nodes :
10  11  12  21
ring nodes :
1  2  3  4  5  6  7  8  9  13  14  15  16  17  18
chain bonds :
8-10  10-11  11-12  12-21  15-21
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9  13-14  13-18  14-15  15-16  16-17
17-18
exact/norm bonds :
5-7  6-9  7-8  8-9  10-11  12-21  15-21
exact bonds :
8-10  11-12
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  13-14  13-18  14-15  15-16  16-17  17-18
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G1:0,S

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 21:CLASS

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7 STR

$$SQ_2$$
  $[CH_2]_{1-6}$ 

G1 0, S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 16:08:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 86 TO ITERATE

100.0% PROCESSED 86 ITERATIONS 4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
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PROJECTED ITERATIONS: 1164 TO 2276
PROJECTED ANSWERS: 4 TO 200

L8 4 SEA SSS SAM L7

=> search 17

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FULL SCREEN SEARCH COMPLETED - 1557 TO ITERATE

100.0% PROCESSED 1557 ITERATIONS 77 ANSWERS

SEARCH TIME: 00.00.01

L9 77 SEA SSS FUL L7

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COST IN U.S. DOLLARS

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ENTRY SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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- L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2004:718289 CAPLUS
- DN 141:243332
- TI Preparation of sulfonamide derivatives, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR agonists
- IN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones, Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh, Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong; Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu, Guoxin
- PA Eli Lilly and Company, USA
- SO PCT Int. Appl., 435 pp. CODEN: PIXXD2
- DT Patent
- LA English

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PATENT NO. KIND DATE APPLICATION NO. DATE

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    2004007180       A       2006         CN       1751037       A       2006         AT       382043       T       2008         ES       2297382       T3       2008	WO       2004073606       A2       20040902         WO       2004073606       A3       20050331         W:       AE, AG, AL, AM, AT, AU, AZ, CN, CO, CR, CU, CZ, DE, DK, GE, GH, GM, HR, HU, ID, IL, LK, LR, LS, LT, LU, LV, MA, RW:       BW, GM, GM, KE, LS, MW, MZ, BG, CH, CY, CZ, DE, DK, EE, MC, NL, PT, RO, SE, SI, SK, GQ, GW, ML, MR, NE, SN, TD,         AU       2004212887       A1       20040902         CA       2512883       A1       20040902         EP       1597248       A2       20051123         EP       1597248       B1       20071226         R:       AT, BE, CH, DE, DK, ES, FR, IE, SI, LT, LV, FI, RO, MK,         BR       2004007180       A       20060207         CN       1751037       A       20060914         AT       382043       T       20080115         ES       2297382       T3       20080501	WO 2004073606 WO 2004073606 WI: AE, AG, AL, AM, AT, AU, AZ, BA, CN, CO, CR, CU, CZ, DE, DK, DM, GE, GH, GM, HR, HU, ID, IL, IN, LK, LR, LS, LT, LU, LV, MA, MD, BG, CH, CY, CZ, DE, DK, EE, ES, MC, NL, PT, RO, SE, SI, SK, TR, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2004212887 A1 20040902  EP 1597248 EP 1597248 R: AT, BE, CH, DE, DK, ES, FR, GB, IE, SI, LT, LV, FI, RO, MK, CY,  BR 2004007180 A 20060207  CN 1751037 A 20060914  AT 382043  T 20080115	WO 2004073606	WO 2004073606       A2 20040902       WO 20         WO 2004073606       A3 20050331         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, GE, GH, GM, HR, HU, ID, IL, IN, IS, LK, LR, LS, LT, LU, LV, MA, MD, MG, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, BG, CH, CY, CZ, DE, DK, EE, ES, FI, MC, NL, PT, RO, SE, SI, SK, TR, BF, GQ, GW, ML, MR, NE, SN, TD, TG         AU 2004212887       A1 20040902       AU 20         CA 2512883       A1 20040902       CA 20         EP 1597248       A2 20051123       EP 20         EP 1597248       B1 20071226       EP 20         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, SI, LT, LV, FI, RO, MK, CY, AL, US 20       WO 20         BR 2004007180       A 20060322       CN 20         JP 2006520755       T 20060914       JP 20         AT 382043       T 20080115       AT 20	WO 2004073606  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2004212887  A1 20040902  AU 2004-1  CA 2512883  A1 20040902  AU 2004-1  CA 2512883  A1 20040902  AU 2004-1  BF 1597248  A2 20051123  EP 2004-1  EP 1597248  B1 20071226  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, US 2003-WO 2004-1  BR 2004007180  A 20060207  BR 2004-1  CN 1751037  A 20060322  CN 2004-1  AT 382043  T 20080115  AT 2004-1  AT 382043	WO 2004073606	WO 2004073606	WO 2004073606 A2 20040902 WO 2004-US2015  WO 2004073606 A3 20050331  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, BW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, GQ, GW, ML, MR, NE, SN, TD, TG  US 2003-448307P  WO 2004-US2015  CA 2512883 A1 20040902 CA 2004-2512883  US 2003-448307P  WO 2004-US2015  EP 1597248 A2 20051123 EP 2004-709806  EP 1597248 B1 20071226  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, US 2003-448307P  WO 2004-US2015  ER 2004007180 A 20060207 BR 2004-7180  US 2003-448307P  WO 2004-US2015  CN 1751037 A 20060322 CN 2004-80004250  US 2003-448307P  JP 2006520755 T 20060914 JP 2006-502992  US 2003-448307P  WO 2004-US2015  AT 382043 T 200407150 AT 2004-709806	WO 2004073606	WO 2004073606	

OS MARPAT 141:243332

AΒ

Title compds. I [wherein A = II, III; D = (CH2)o; B = R1b-[C]q-R1a; E = 0, S, NH and derivs.; W = -Y - (CR4R5) - Q, H, cyclo/halo/alkyl, acyl; Q = CO2Hand derivs.; CO2NH2, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = a(un) substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R1, R2 = independently H, wherein when Z = Ph or naphthyl and R2 = H, R1 is not H, halo, (un) substituted alk(en/yn) yl, aryl, or  $R\bar{1}$  and  $R\bar{2}$  form a 5- to 8-membered heterocycle; R1a, R1b = independently H, alkyl, or R1 and R1a, Rland Rlb, R2 and Rlb, or Rla and Rlb form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R1a and or R1b is not H; R2a = H, halo, (un)substituted alkyl and wherein R2 and R2a together being a 3- to 8-membered ringR3 = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl) heterocyclyl, etc.; R4, R5 = independently H, halo, alkyl, alkoxy, aryloxy, NH2 and derivs., SH and derivs., or R4CR5 = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.

A multistep synthesis is given for sulfonamide IV. I displayed IC50 and EC50 in the range of about 1 nM to about 5  $\mu\text{M}$  for binding to PPAR

alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

752132-74-4P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752135-07-2P, 3-[4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-methylphenyl]propionic acid 752135-66-3P, Ethyl 2-[4-[[2-[[(3-Bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxy]acetate RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular N, N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752132-74-4 CAPLUS

ΙT

CN

Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752135-07-2 CAPLUS

CN Benzenepropanoic acid, 4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl- (CA INDEX NAME)

RN 752135-66-3 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(3-bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

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ΙT
     752131-91-2P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic
     acid 752131-94-5P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]phenethylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
     752131-96-7P, 4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid
     752131-97-8P, 3-[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid
     752131-98-9P, 2-[[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic
     acid 752131-99-0P, [5-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid
     752132-00-6P 752132-03-9P,
     3-[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid
     752132-04-0P, 3-[4-[2-[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid
     752132-33-5P, [2-Methyl-4-[[2-[[(3-methyl-5-
     trifluoromethylbenzo[b]thien-2-
     yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid
     752132-72-2P, 4-[[2-[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
     752132-76-6P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     y1)sulfony1](3-methy1buty1)amino]ethy1]sulfany1]-2-(methy1)phenoxyacetic
     acid 752132-78-8P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl](3,3-dimethylbutyl)amino]ethyl]sulfanyl]-2-
     (methyl) phenoxyacetic acid 752132-80-2P,
     4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]cyclopropylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
     752132-82-4P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl](1-ethylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic
     acid 752132-84-6P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]cyclobutylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
     752132-86-8P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]cyclopentylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
     752132-88-0P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]cyclopropyl(methyl)amino]ethyl]sulfanyl]-2-
     (methyl)phenoxyacetic acid 752132-90-4P,
     4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]pentylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
     752132-92-6P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl](butyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
     752132-95-9P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl](2-dimethylaminoethyl)amino]ethyl]sulfanyl]-2-
     (methyl)phenoxyacetic acid trifluoroacetate 752132-98-2P,
     4-[[3-[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]propylamino]propyl]sulfanyl]-2-(methyl)phenoxyacetic acid
     752133-11-2P, 4-[[4-[[(5-Chloro-3-methylbenzo[b]thien-2-
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yl)sulfonyl]propylamino]butyl]sulfanyl]-2-(methyl)phenoxyacetic acid
752133-13-4P, 4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]-2-(methyl)phenoxyacetic acid
752133-16-7P, 3-[4-[2-[(5-Chloro-3-methylbenzo[b]thien-2-]]
y1)sulfony1]propylamino]ethoxy]-2-methylpheny1]propionic acid
752133-29-2P, 3-[4-[2-[[(5-Fluoro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]propionic acid
752133-32-7P, 4-[2-[[(5-Fluoro-3-methylbenzo[b]thien-2-
v1)sulfonv1|propylamino|ethoxy]-2-(methy1)phenoxyacetic acid
752133-34-9P, 3-[4-[2-[[(5-Fluoro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]phenyl]-2-methoxypropionic acid
752133-39-4P, [[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]sulfanyl]acetic acid
752133-83-8P, [2-Chloro-4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid
752133-85-0P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(ethyl)phenoxyacetic acid
752134-97-7P, 3-[4-[[2-[[(5-Fluoro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-methylphenyl]propionic acid
752135-35-6P, 4-[[2-[[(6-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
752135-39-0P, 4-[[2-[[(7-Chloro-3-methylbenzo[b]thien-2-
y1)sulfony1]propylamino]ethy1]sulfany1]-2-(methy1)phenoxyacetic acid
752135-44-7P, 4-[[2-[[(4-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
752135-47-0P, 4-[[2-[[(3-Methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
752135-49-2P, 4-[[2-[[(5-Chlorobenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
752135-58-3P, 4-[[2-[[(5-Chloro-3-trifluoromethylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
752135-69-6P, 4-[[2-[[(3-Bromo-5-chlorobenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
752135-70-9P, [4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-propylphenoxy]acetic acid
752135-72-1P, [4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid
752135-74-3P, [4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-trifluoromethylphenoxy]acetic
acid 752135-84-5P, [3-Chloro-4-[[2-[[(5-chloro-3-
methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenyl]acetic
acid 752135-95-8P, 4-[[2-[[(5-Fluoro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
752135-96-9P, 4-[[2-[[(6-Fluoro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethyl]sulfinyl]-2-(methyl)phenoxyacetic acid
752136-85-9P 752137-35-2P 752137-52-3P,
3-[4-[2-[(5-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]-2-
[[(isopropoxycarbonyl)amino]methyl]phenyl]propionic acid
752137-58-9P, 2-[5-[2-[[(5-Fluoro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid
752137-64-7P, 2-[5-[2-[[(3-Methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid
752137-65-8P, 2-[5-[2-[[(5-Fluoro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]indol-1-yl]-2-methylpropionic acid
752137-69-2P, 2-Methyl-2-[5-[2-[[(3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid
752137-72-7P, 2-[5-[2-[[(5-Chloro-3-methylbenzo[b]thien-2-
yl)sulfonyl]propylamino]ethoxy]indol-1-yl]-2-methylpropionic acid
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752137-91-0P, 2-[[4-[2-[[(3-Ethylbenzo[b]thien-2-y1)sulfonyl]propylamino]ethoxy]-3-propylphenyl]oxy]-2-methylpropionic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752131-91-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl](3-phenylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752131-94-5 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752131-96-7 CAPLUS

CN Acetic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)

RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)

RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 752131-99-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)

RN 752132-00-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)

RN 752132-03-9 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{O} & \text{CH}_2\text{-Ph} \\ & \text{S} - \text{N} - \text{CH}_2\text{-}\text{CH}_2\text{-}\text{O} \\ & \text{Me} \end{array}$$

RN 752132-04-0 CAPLUS

CN Benzenepropanoic acid, 4-[2-[(5-chloro-3-methylbenzo[b]thien-2-my1)sulfony1](3-phenylpropy1)amino]ethoxy]-2-methyl- (CA INDEX NAME)

RN

752132-33-5 CAPLUS Acetic acid, 2-[2-methyl-4-[[2-[[[3-methyl-5-CN (trifluoromethyl)benzo[b]thien-2yl]sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)

RN 752132-72-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752132-76-6 CAPLUS

Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-methylbenzo[b]thien-2-yl)sulfonyl]CN methylbutyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{O} & \text{CH}_2-\text{CH}_2-\text{CHMe}_2 \\ & \text{S} & \text{N}-\text{CH}_2-\text{CH}_2-\text{S} \\ & \text{O} & \text{Me} \\ \end{array}$$

RN 752132-78-8 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3,3-dimethylbutyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752132-80-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopropylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752132-82-4 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](1-ethylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752132-84-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclobutylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ \hline \\ \text{O} \\ \text{S} \\ \text{N} \\ \text{C1} \end{array}$$

RN 752132-86-8 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopentylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752132-88-0 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](cyclopropylmethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752132-90-4 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]pentylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752132-92-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[buty1[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752132-95-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl][2-(dimethylamino)ethyl]amino]ethyl]thio]-2-methylphenoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 752132-94-8

CMF C24 H29 C1 N2 O5 S3

$$\begin{array}{c|c} & \text{Me} \\ & \text{O} & \text{CH}_2-\text{CH}_2-\text{NMe}_2 \\ & \text{S} & \text{N}-\text{CH}_2-\text{CH}_2-\text{S} \\ & \text{O} \\ & \text{Me} \\ \end{array}$$

CM 2

CRN 76-05-1 C2 H F3 O2 CMF

RN

752132-98-2 CAPLUS Acetic acid, 2-[4-[[3-[[(5-chloro-3-methylbenzo[b]thien-2-CN yl)sulfonyl]propylamino]propyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752133-11-2 CAPLUS

Acetic acid, 2-[4-[[4-[[(5-chloro-3-methylbenzo[b]thien-2-CN yl)sulfonyl]propylamino]butyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

752133-13-4 CAPLUS RN

CN Acetic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2y1)sulfony1]propylamino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)

RN 752133-16-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl- (CA INDEX NAME)

RN 752133-29-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl- (CA INDEX NAME)

RN 752133-32-7 CAPLUS

CN Acetic acid, 2-[4-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)

RN 752133-34-9 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-<math>\alpha$ -methoxy- (CA INDEX NAME)

RN 752133-39-4 CAPLUS

CN Acetic acid, 2-[[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]thio]- (CA INDEX NAME)

RN 752133-83-8 CAPLUS

CN Acetic acid, 2-[2-chloro-4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)

RN 752133-85-0 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-ethylphenoxy]- (CA INDEX NAME)

RN 752134-97-7 CAPLUS

CN Benzenepropanoic acid, 4-[[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl- (CA INDEX NAME)

RN 752135-35-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(6-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752135-39-0 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(7-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752135-44-7 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752135-47-0 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[[2-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)

RN 752135-49-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752135-58-3 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-(trifluoromethyl)benzo[b]thien-2-yl]sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752135-69-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(3-bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752135-70-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-propylphenoxy]- (CA INDEX NAME)

RN 752135-72-1 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)

RN 752135-74-3 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

RN 752135-84-5 CAPLUS

CN Benzeneacetic acid, 3-chloro-4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]- (CA INDEX NAME)

RN 752135-95-8 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-fluoro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752135-96-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(6-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfinyl]-2-methylphenoxy]- (CA INDEX NAME)

RN 752136-85-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-methoxyethyl)amino]ethoxy]phenoxy]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{O} \\ & \text{CH}_2-\text{CH}_2-\text{OMe} \\ & \text{S} \\ & \text{N}-\text{CH}_2-\text{CH}_2-\text{O} \\ & \text{Me} \\ \end{array}$$

RN 752137-35-2 CAPLUS

CN Propanoic acid, 2-[4-[2-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl](2-methoxyethyl)amino]ethoxy]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-52-3 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-[[[(1-methylethoxy)carbonyl]amino]methyl]- (CA INDEX NAME)

- RN 752137-58-9 CAPLUS
- CN 1H-Indole-1-acetic acid,  $5-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-<math>\alpha$ -methyl- (CA INDEX NAME)

- RN 752137-64-7 CAPLUS
- CN 1H-Indole-1-acetic acid,  $\alpha$ -methyl-5-[2-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- (CA INDEX NAME)

- RN 752137-65-8 CAPLUS
- CN 1H-Indole-1-acetic acid,  $5-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-<math>\alpha$ ,  $\alpha$ -dimethyl- (CA INDEX NAME)

RN 752137-69-2 CAPLUS

CN 1H-Indole-1-acetic acid,  $\alpha$ ,  $\alpha$ -dimethyl-5-[2-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- (CA INDEX NAME)

RN 752137-72-7 CAPLUS

CN 1H-Indole-1-acetic acid,  $5-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-<math>\alpha$ ,  $\alpha$ -dimethyl- (CA INDEX NAME)

RN 752137-91-0 CAPLUS

CN Propanoic acid, 2-[4-[2-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)

752132-40-4P, [2-Methyl-4-[[2-[[(3-methyl-5-ТТ trifluoromethylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid ethyl ester 752133-31-6P, 3-[4-[2-[[(5-Fluoro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]propionic acid methyl ester 752133-33-8P, Ethyl-2-[4-[2-[[(5-Fluoro-3-methylbenzo[b]thien-2y1)sulfony1]propylamino]ethoxy]-2-(methy1)phenoxy]acetate 752133-35-0P, 3-[4-[2-[(5-Fluoro-3-methylbenzo[b]thien-2v1)sulfonv1|propylamino|ethoxy|pheny1|-2-methoxypropionic acid ethyl ester 752133-44-1P, [[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 752133-84-9P, [2-Chloro-4-[[2-[[(5-chloro-3methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]aceti c acid ethyl ester 752133-86-1P, Ethyl 2-[4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(ethyl)phenoxy]acetate 752134-98-8P 752135-08-3P 752135-38-9P 752135-43-6P 752135-46-9P 752135-48-1P 752135-62-9P, Ethyl 2-[4-[[2-[[(5-chloro-3trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxy]acetate 752135-71-0P, Ethyl 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2y1)sulfonyl]propylamino]ethyl]sulfanyl]-2-propylphenoxy]acetate 752135-73-2P, [4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid ethyl ester 752135-75-4P 752135-86-7P, [3-Chloro-4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]sulfanyl]phenyl]acetic acid methyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of sulfonamides, in particular N, N-benzo[b]thiophene sulfonamides, as PPAR agonists) 752132-40-4 CAPLUS RN CN Acetic acid, 2-[2-methyl-4-[[2-[[[3-methyl-5-(trifluoromethyl)benzo[b]thien-2yl]sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 752133-31-6 CAPLUS
CN Benzenepropanoic acid, 4-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl-, methyl ester (CA INDEX NAME)

RN 752133-33-8 CAPLUS

CN Acetic acid, 2-[4-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752133-35-0 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- $\alpha$ -methoxy-, ethyl ester (CA INDEX NAME)

RN 752133-44-1 CAPLUS

CN Acetic acid, 2-[[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]thio]-, ethyl ester (CA INDEX NAME)

RN 752133-84-9 CAPLUS

CN Acetic acid, 2-[2-chloro-4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 752133-86-1 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-ethylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752134-98-8 CAPLUS

CN Benzenepropanoic acid, 4-[[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl-, methyl ester (CA INDEX NAME)

RN 752135-08-3 CAPLUS

CN Benzenepropanoic acid, 4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{O} & \text{Pr-n} \\ & \text{S} & \text{N-CH}_2\text{-CH}_2\text{-S} \end{array}$$

RN 752135-38-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(6-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752135-43-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(7-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752135-46-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752135-48-1 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[[2-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 752135-62-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-(trifluoromethyl)benzo[b]thien-2-yl]sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752135-71-0 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-propylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752135-73-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 752135-75-4 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-(trifluoromethyl)phenoxy]-, ethyl ester (CA INDEX NAME)

RN 752135-86-7 CAPLUS

CN Benzeneacetic acid, 3-chloro-4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-, methyl ester (CA INDEX NAME)

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chain nodes : 10 11 12 ring nodes : 1 2 3 4 5 6 7 8 9 13 14 15 16 17 18 chain bonds : 8-10 10-11 11-12 12-15 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 13-14 13-18 14-15 15-16 16-17 17-18 exact/norm bonds : 5-7 6-9 7-8 8-9 exact bonds : 8-10 11-12 12-15 normalized bonds :  $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 13-14 \quad 13-18 \quad 14-15 \quad 15-16 \quad 16-17 \quad 17-18$ 

G1:0,S

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L11 STRUCTURE UPLOADED

=> d 111 L11 HAS NO ANSWERS

G1 0, S

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=> s 111

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100.0% PROCESSED 21 ITERATIONS 9 ANSWERS

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100.0% PROCESSED 395 ITERATIONS 129 ANSWERS

SEARCH TIME: 00.00.01

129 SEA SSS FUL L11

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=> s 113

L14 11 L13

=> d 114 fbib ab hitstr 1-11

- L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2008:1012610 CAPLUS
- DN 149:261123
- TI Preparation of modulators of acetyl coenzyme A carboxylase as fungicides and pharmaceuticals
- IN Anderson, Richard; Hokama, Takeo; Lee, Shy-Fuh; Oey, Rafael; Elich, Tedd; Breazeale, Steven
- PA Cropsolution, Inc., USA
- SO U.S. Pat. Appl. Publ., 100pp. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 1

FAN.	CNT	1																		
	PATENT NO.					KIND		DATE			APPL	ICAT	ION :		DATE  20080220 P 20070220 20080220 , BW, BY, BZ, , EE, EG, ES,					
PI	US	20080200461			A1	_	20080821			 US 2 US 2			-		_					
	WO	2008103354			A2	A2 20080828				WO 2	008-	US21	86		2	0080	220			
		W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,		
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,		
			FΙ,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,		
			KG,	KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,		
			ΜE,	MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,		
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,		
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,		
			ΙE,	IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,		
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,		
			ΤG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,		
			ΑM,	AΖ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM									
											US 2007-890643P					P 20070220				

OS MARPAT 149:261123

AB The acetyl CoA carboxylase modulators R1NR2XNR3R4R5 [R1, R2 = H, (halo)alkyl, (halo)alkenyl, etc.; R3, R4 = (halo)alkyl, (halo)alkenyl.(halo)valkynyl, etc.; R1NR2, R3NR4 = ring; R5 = nonbonded

pair of electrons, (halo)alkyl, (halo)alkenyl, etc.; X = (un) substituted C2-8 C bridge, optionally containing N, O or S] are prepared as fungicides and pharmaceuticals, particularly the treatment of obesity, metabolic syndrome, atherosclerosis, cardiovascular disease and insulin resistance, e.g., type II or adult-onset diabetes.

IT 1058136-22-3P 1058136-23-4P 1058136-24-5P 1058136-25-6P 1058136-82-5P 1058136-83-6P

RL: AGR (Agricultural use); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of modulator of acetylCoA carboxylase as fungicides and pharmaceuticals)

RN 1058136-22-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(diethylamino)butyl]-3-methyl-N-(2-naphthalenylmethyl)- (CA INDEX NAME)

RN 1058136-23-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

• Br-

RN 1058136-24-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,4,6-trichloro-N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)

RN 1058136-25-6 CAPLUS

CN Benzenemethanaminium, N,N-diethyl-3,5-dimethyl-N-[4-[(2-naphthalenylmethyl)[(3,4,6-trichlorobenzo[b]thien-2-yl)sulfonyl]amino]butyl]-, bromide (1:1) (CA INDEX NAME)

C1 S C1 
$$CH_2$$
 Et  $CH_2$   $CH_$ 

• Br-

RN 1058136-82-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)

RN 1058136-83-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Br-

L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1395370 CAPLUS

DN 148:54882

TI Preparation of heteroaryl amides that interact with ion channels, in

particular with ion channels from the Kv family ΤN Blom, Petra; Defert, Olivier; Kaletta, Titus; Leysen, Dirk Casimir Maria PΑ Devgen N.V., Belg. SO PCT Int. Appl., 62pp. CODEN: PIXXD2 DTPatent LA English FAN.CNT 1 KIND DATE PATENT NO. APPLICATION NO. \_\_\_\_ \_\_\_\_\_ -----WO 2007138112 A2 20071206 WO 2007-EP55408 20070601 PΙ 20080515 WO 2007138112 А3 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA EP 2006-447075 A 20060601 US 2006-809841P 20060601 Ρ MARPAT 148:54882 OS AΒ The present invention relates to compds. that interact with ion channels. In particular, the invention relates to compds. I or II [n, m = 0-4; 21 =C(0), C(S), SO2; L1 = (un) substituted alkylene, cycloalkylene, cycloalkylenoxyalkylene; X1 = O or S; X2 = CR4 or N; X3 = CR1 or N; X4 = CR1 or N; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, halo, NH2, etc.; with the provisos]. Sixty-two specific title compds. such as III were prepared and/or claimed. The exemplified title compds. were tested in patch clamp assays (for example, III showed above 50% inhibition on Kv4.3-mediated potassium channel). The invention also relates to methods for preparing said compds. I (general protocols and schemes were given), to pharmaceutical compns. comprising said compds., and to the use of said compds. in methods for treatment of the human and animal body. 959743-62-5P 959743-67-0P 959743-68-1P IT 959743-69-2P 959743-73-8P 959743-91-0P 959743-94-3P 959743-95-4P 959743-98-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heteroaryl amides useful in treatment and prevention of diseases associated with ion channels) 959743-62-5 CAPLUS RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(2,4-dimethoxyphenyl)methyl]-

CN

3-methyl- (CA INDEX NAME)

RN 959743-67-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-N-ethyl-5-(2-thienyl)- (CA INDEX NAME)

RN 959743-68-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-chloro-5-methoxy-N-methyl-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)

RN 959743-69-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(1S,2S)-2-[1-(2,4-dimethoxyphenyl)ethoxy]cyclopentyl]-5-methoxy-3-methyl-N-(phenylmethyl)-(CA INDEX NAME)

Absolute stereochemistry.

RN 959743-73-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dichloro-N-(phenylmethyl)-N-propyl-(CA INDEX NAME)

RN 959743-91-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-hydroxyethyl)-5-methoxy-N-(phenylmethyl)-3-(2-thienyl)- (CA INDEX NAME)

RN 959743-94-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N, 3-dimethyl-N-(phenylmethyl)-(CA INDEX NAME)

RN 959743-95-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(4-fluorophenyl)methyl]-3-methyl- (CA INDEX NAME)

RN 959743-98-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(2,4-dimethoxyphenyl)methyl]-5-methyl-(CA INDEX NAME)

L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:944402 CAPLUS

DN 145:336062

TI Preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of  $11\beta$ -hydroxysteroid dehydrogenase type 1 ( $11\beta$ -HSD1)

IN Egashira, Hiromu; Nishiyama, Eiji

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 94pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.						KIND DATE				APPL		DATE					
ΡI						A1 2006091			0914	1	WO 2	006-		20060309				
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			MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
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			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ΤJ,	TM										

OS MARPAT 145:336062

The title compds. [I; ring A = (un) substituted cyclic group; X, Y = aAB single bond, a spacer having 1-8 atoms in the main chain; R1, R2, R3 = U, each (un) substituted cyclic group or hydrocarbon group; or substituent on the spacer Y having 1-8 atoms in the main chain, R2, and atoms to which they are bonded may form an (un) substituted N-containing heterocylic ring], their salts or solvates, or prodrugs thereof are prepared Compds. of the general formula: (wherein all the characters have the same meanings as defined in the description), their salts or hydrates and prodrugs thereof. These compds. have an  $11\beta$ -HSD1 inhibiting potency and thus are useful in the prevention and/or treatment of diseases attributed to overprodn. of adrenocortical hormone, for example, metabolic diseases (for example, diabetes mellitus (e.g., type II diabetes mellitus, etc.), impaired glucose tolerance, hyperglycemia, insulin resistance, elevated levels of insulin in the plasma, lipid metabolism abnormality, fatty liver, dyslipidemia, hyperlipemia, hypertriglyceridemia, hyper-LDL-cholesterolemia, hypo-HDL-cholesterolemia, obesity, atherosclerosis, syndrome X, metabolic syndrome, Cushing's syndrome, osteoporosis, etc.), hypertension, receptive defect, memory disorder, depression, anxiety, dementia, Alzheimer disease, glaucoma, immunol. disease, etc. Thus, a solution of 770 mg 3-methylbenzenesulfonamide and 445 ${
m mg}$  3,6-dichloropyridazine in 3 mL DMSO was treated with 1.25 g K2CO3, and

JP 2005-69738

A 20050311

stirred at 120° for 3.5 h to give 696 mg N-(6-chloro-pyridazin-3-y1)-3-methylbenzenesulfonamide (II). A solution of 98 mg 3-phenyl-1-propanol in 1 mL dioxane was treated with 163 mg potassium tert-butoxide, treated with a solution of 170 mg II in 1 mL dioxane, and stirred at 100° for 1.5 h to give 149 mg 3-methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide (III). III showed IC50 of 250 nM against human  $11\beta$ -HSD1. A tablet and an ampule formulation containing 3-Methyl-N-[6-(3-phenylpropoxy)pyridazin-3vl]benzenesulfonamide were described. 909422-65-7P, 5-Chloro-3-methyl-N-[3-[1-(2-methyl-4phenylpentyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909422-78-2P, 5-Chloro-3-methyl-N-[3-[1-[(3-methylthien-2yl)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909422-84-0P, 5-Chloro-N-[3-(1-hexylpiperidin-4-yl)benzyl]-3methyl-1-benzothiophene-2-sulfonamide 909422-90-8P, 5-Chloro-N-[3-[1-[4-(diethylamino)benzyl]piperidin-4-yl]benzyl]-3-methyl-1benzothiophene-2-sulfonamide 909422-97-5P, 5-Chloro-3-methyl-N-[3-[1-[(1-methyl-1H-indol-3-yl)methyl]piperidin-4yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-08-1P, 5-Chloro-N-[3-[1-(2-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1benzothiophene-2-sulfonamide 909423-19-4P, 5-Chloro-3-methyl-N-[3-[1-(4-phenoxybenzyl)piperidin-4-yl]benzyl]-1benzothiophene-2-sulfonamide 909423-26-3P, 5-Chloro-N-[3-[1-(3-chloro-4-methoxybenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909423-34-3P, 5-Chloro-N-[3-[1-(4-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1benzothiophene-2-sulfonamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of  $11\beta$ -hydroxysteroid dehydrogenase type 1  $(11\beta-HSD1)$ 909422-65-7 CAPLUS RN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-(2-methyl-4-CN phenylpentyl)-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

RN 909422-78-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(3-methyl-2-thienyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

RN 909422-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-(1-hexyl-4-piperidinyl)phenyl]methyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 909422-90-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[[4-(diethylamino)phenyl]methyl]-4-piperidinyl]phenyl]methyl]-3-methyl-(CA INDEX NAME)

RN 909422-97-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

RN 909423-08-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)

RN 909423-19-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

RN 909423-26-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(3-chloro-4-methoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)

RN 909423-34-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} S & O \\ S & NH-CH_2 \\ \end{array}$$

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:733724 CAPLUS

DN 145:167113

TI Preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders

IN Neitzel, Martin

Elan Pharmaceuticals, Inc., USA PΑ SO PCT Int. Appl., 111 pp. CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_\_ 20060727 WO 2006-US1792 PΙ WO 2006078753 A1 20060118 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2005-645137P P 20050118 CA 2595173 Α1 20060727 CA 2006-2595173 20060118 P 20050118 US 2005-645137P W 20060118 WO 2006-US1792 US 20060270657 20061130 US 2006-334131 Α1 20060118 US 2005-645137P P 20050118 EP 2006-718810 EP 1838701 Α1 20071003 20060118 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU US 2005-645137P P 20050118 WO 2006-US1792 W 20060118 OS MARPAT 145:167113 The invention provides N-substituted heterocyclic-sulfonamides for use in AΒ treating or preventing cognitive disorders, such as Alzheimer's Disease, by inhibiting  $\beta$ -amyloid peptide release or synthesis. Compds. of particular interest are defined by Formula I (wherein n = 1-3; Z =(un) substituted heteroaryl or heterocycloalkyl; R1 = (un) substituted arylC1-C8alkyl, arylC2-C6alkenyl, C3-C7cycloalkyl(C1-C6alkyl), C1-C14alkyl, etc.; R2 is H, C1-C6 alkyl, or phenyl(C1-C4)alkyl). I were tested in a Notch signaling assay for selective inhibitors of  $\gamma$ -secretase to identify compds. that are potent inhibitors of  $\beta$ -amyloid synthesis with minimal inhibition of Notch signaling. The invention also encompasses pharmaceutical compns. comprising I as well as methods of treating cognitive disorders using I. General procedures are given for synthesizing I, such as II, via a lactam intermediate. 900532-06-1P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid ΙT N-(4-bromobenzy1)-N-((R)-2-oxoazepan-3-y1) amide 900532-42-5P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-bromobenzyl)-N-(2-oxoazepan-3-yl) amide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders) RN 900532-06-1 CAPLUS CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-[(3R)-hexahydro-2-oxo-1H-azepin-3-y1]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 900532-42-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-methyl- (CA INDEX NAME)

## RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:464674 CAPLUS

DN 144:488511

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta\text{--lactamase}$ 

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 131 pp., Cont.-in-part of U.S. Ser. No. 411,484. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
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ΡI	US 20060105999	A1	20060518	US 2005-535391		20050518	
				US 2002-302124	Α2	20021122	
				US 2003-411484	A2	20030408	
				WO 2003-US36929	W	20031119	
	US 20040029836	A1	20040212	US 2002-302124		20021122	
	US 6884791	В2	20050426				
				US 1999-142362P	Р	19990706	

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US 2000-610456 A2 20000705
                                                                                      A2 20021008
                                                            US 2002-266213
      US 20040082546 A1
                                            20040429
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                                                                                      A2 20021122
      WO 2004048393 A2
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      WO 2004048393
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                                                            US 2002-302124 A1 20021122 US 2003-411484 A1 20030408
PATENT FAMILY INFORMATION:
FAN 2001:31512
                                           DATE APPLICATION NO.
                                          DATE
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                                  A1 20010111 WO 2000-US18344
      WO 2001002411
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      WO 2000-US18344
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      JP 2001-507847
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      US 1999-142362P
      P 19990706

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      W 20000705

      AU 2000-57858
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      US 1999-142362P
      P 19990706

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      W 20000705

      AT 2000-943381
      20000705

      US 1999-142362P
      P 19990706

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      W 20000705

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      P 19990706

      MX 2002-PA246
      20020107

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      P 19990706

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      W 20000705

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      JP 2003503505 T
                                            20030128
                                   В2
      AU 770599
                                            20040226
                                   Τ
      AT 311397
                                            20051215
      ES 2250150
                                   Т3
                                            20060416
      MX 2002PA00246 A
                                           20030820
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FAN		KIND	DATE	APPLICATION NO.	DATE
ΡI	US 20040029836 US 6884791	A1	20040212	US 2002-302124	20021122
	US 6472406		20021029		20000705 20021008
				US 1999-142362P P	20000705
	US 20040059115 US 7030103	A1 B2	20040325 20060418	US 2002-266213 US 1999-142362P P	20021008
	US 20040082546 US 6921756	A1 B2	20040429 20050726	US 2000-610456 A1 US 2003-411484	20000705 20030408
	WO 2004048393	A2	20040610		20000705 20021008
	WO 2004048393 W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PG, PH, PL, TR, TT, TZ,	A3 AM, AT, CZ, DE, ID, IL, LV, MA, PT, RO, UA, UG,	20040819 , AU, AZ, BA , DK, DM, DZ , IN, IS, JP , MD, MG, MK , RU, SC, SD , US, UZ, VC	A, BB, BG, BR, BY, BZ, CA A, EC, EE, ES, FI, GB, GI C, KE, KG, KP, KR, KZ, LO C, MN, MW, MX, MZ, NI, NO C, SE, SG, SK, SL, SY, TO C, VN, YU, ZA, ZM, ZW	A, CH, CN, D, GE, GH, C, LK, LR, D, NZ, OM, J, TM, TN,
	BY, KG, KZ, ES, FI, FR,	MD, RU, GB, GR	, TJ, TM, AT , HU, IE, IT		E, DK, EE, E, SI, SK, E, SN, TD, TG 20021122
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	US 20050043276 US 7259172	A1 B2	20050224 20070821	WO 2003-US36929 W US 2004-884435	20040702
	US 20060105999	A1	20060518	US 2002-266213 A2 US 2002-302124 A3 US 2005-535391 US 2002-302124 A2	19990706 20000705 20021008 20021122 20050518 20021122 20030408
	US 20070293675	A1	20071220	WO 2003-US36929 W US 2007-830305 US 1999-142362P P US 2000-610456 A1 US 2002-266213 A2 US 2002-302124 A3	20031119 20070730 19990706 20000705 20021008 20021122
FAN	2004:353142 PATENT NO.	KIND	DATE	US 2004-884435 A3 APPLICATION NO.	20040702 DATE
PI	US 20040082546 US 6921756	A1 B2	20040429 20050726	US 2003-411484	20030408

										US US	2000 2002	-142 -610 -266	456 213		A2 2 A2 2	.9990 :0000 :0021	705 008	
US	6472	406			В1		2002	1029				-610 -142				.0000 .9990		
US	2004	0059	115		A1		2004	0325				-142				20021		
US	7030	103			В2		2006	0418			1000	1 40	2625		D 1	0000	706	
												-142				.9990 :0000		
US	2004	0029	836		A1		2004	0212				-302		•		20021		
US	6884	791			В2		2005	0426										
													362P			.9990		
													456					
TATO	2004	0403	0.3		A2		2004	0610				-266 -US3	213			0021		
	2004				A3		2004			WO	2000	-055	0929		2	.0051	119	
	₩:	ΑE,	AG,						BA,	ВВ	в, во	, BR	, BY,	BZ,	CA,	CH,	CN,	
													, FI,					
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	DET												, ZM,		F7 T-7	70.10.47	7 17	
	KW:												, UG, , CY,					
													, CI, , PT,					
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		111,	Dr ,	D0 ,	OL ,	CO,	$\cup_{\perp}$ ,	011,					124			20021		10
													484			20030		
AU	2003	2956	38		A1		2004	0618					638			0031		
												-302			A 2	0021	122	
										US	2003	-411	484		A 2	0030	408	
									,	WO	2003	-US3	6929		W 2	0031	119	
US	2006	0105	999		A1		2006	0518				-535				0050		
													124			20021		
												-411				0030		
									,	WO	2003	-US3	6929		W 2	0031	119	

OS MARPAT 144:488511

AΒ

The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] such as II [R1 = (un)substituted Ph or thien-2-yl; L =a bond, CH2O, CO, or C(:NOMe); R5 = halo, or OR10 (wherein R10 = (un) substituted Ph, pyridinyl, or quinolinyl); provided that when L =CH2O, R5 is not F or 4-NO2C6H4] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of III which showed IC50 of 622  $\mu\text{M}$  against  $\beta\text{--lactamase,}$  was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta$ -lactamase inhibitors and their antibacterial use)

RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

## ● NH3

RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

## ● NH3

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ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
L14
ΑN
     2006:440564 CAPLUS
     144:467908
DN
ΤI
     N-benzyl sulfonamides and related derivatives as 11\beta-HSD1 inhibitors,
     their preparation, pharmaceutical compositions, and use in therapy
ΙN
     Coulter, Thomas, Stephen; Steven, Taylor; Fryatt, Tara; Aicher, Babette;
     Schnieder, Martin
PA
     Evotec AG, Germany
     PCT Int. Appl., 105 pp.
SO
     CODEN: PIXXD2
DT
     Patent
    English
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
                         A1
PΙ
     WO 2006048330
                                20060511
                                           WO 2005-EP11933
                                                                    20051108
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
                                            EP 2004-26441
                                                                A 20041108
                                           EP 2004-26441
                                20060510
     EP 1655283
                         Α1
                                                                    20041108
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
             HR, IS, YU
                                           EP 2005-806462
     EP 1814846
                                20070808
                                                                    20051108
                          Α1
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OS CASREACT 144:467908; MARPAT 144:467908

AB The invention relates to N-benzyl sulfonamide compds. of formula I [X, Z, W, T = independently N, CH and derivs.; R1, R2 = independently H,

20080605

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

EP 2004-26441

JP 2007-539549

EP 2004-26441

WO 2005-EP11933

WO 2005-EP11933

A 20041108

Α

W

20051108

20051108

20041108

20051108

JP 2008518999

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cyclo/alkyl, halo; or R1R2 = (:O); Y = NHSO2 and derivs., SO2NH and
     derivs.; NHSO2NH and derivs.; A = cyclo/alkyl, Ph, tetralinyl,
     heterocyclyl, etc.; V = O, S; or V = N-R15 and R15, R3 jointly form
     together with the atoms to which they are attached a heterocycle or
     heterobicycle; B = O, S, NH and derivs.; R3 = H, cyclo/alkyl, Ph,
     heterocyclyl, etc.; with provisos], and their pharmaceutically acceptable
     salts, prodrugs and metabolites, which are inhibitors of
     11\beta-hydroxysteroid dehydrogenase type 1 (11\beta-HSD1). The
     invention also relates to the preparation of I, pharmaceutical compns.
     comprising a compound I together with a pharmaceutically acceptable carrier,
     optionally comprising one or more addnl. therapeutic compds., as well as
     to the use of the compns. for the treatment of type 2 diabetes mellitus
     and associated conditions, such as metabolic syndrome, obesity, and lipid
     disorders. E.g., a 6-step synthesis starting from 3-cyanobenzoic acid was
     given for sulfonamide II. I typically express IC50 values below 50 \mu\text{M}
     in a cell-based assay with a human adipocyte cell line, endogenously
     expressing 11\beta-HSD1, while showing no activity against 11\beta-HSD2.
ΙT
     886732-45-2P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]amino]methyl]-N, N-diethylbenzamide 886732-46-3P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-
     cyclohexylbenzamide 886732-68-9P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N, N-diethylbenzamide
     886732-69-0P, Benzo[b]thiophene-2-sulfonic acid
     N-[3-[(4-methylpiperazin-1-yl)carbonyl]benzyl]amide 886732-70-3P
     , 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide
     886732-71-4P, 3-[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-
     (cyclohexylmethyl) benzamide 886733-21-7P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N,N-
     diethylbenzamide 886733-22-8P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     cyclohexylbenzamide 886733-23-9P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     (cyclohexylmethyl)benzamide 886733-24-0P,
     3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-(4-
     trifluoromethylbenzyl)benzamide 886733-27-3P,
     3-[[[(Benzo[b]thien-2-y1)sulfony1](methy1)amino]methy1]-N-(p-
     toly1)benzamide 886733-38-6P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-
     N, N-diethylbenzamide 886733-39-7P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     cyclohexylbenzamide 886733-40-0P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     (cyclohexylmethyl)benzamide 886733-41-1P,
     3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]-N-
     (4-trifluoromethylbenzyl)benzamide 886733-80-8P,
     4-[[3-[[(Benzo[b]thien-2-
     yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzamide
     886733-82-0P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-
     y1)sulfony1](methy1)amino]methy1]benzoylamino]methy1]benzamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of N-benzyl sulfonamides as 11\beta-HSD1
        inhibitors)
RN
     886732-45-2 CAPLUS
     Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-
     yl)sulfonyl]amino]methyl]-N,N-diethyl- (CA INDEX NAME)
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$$\begin{array}{c|c} S & O \\ S & NH-CH_2 \\ \hline \\ C1 & Me \\ \end{array}$$

RN 886732-46-3 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexyl- (CA INDEX NAME)

RN 886732-68-9 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 886732-69-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[[3-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (CA INDEX NAME)

RN 886732-70-3 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N-cyclohexyl-(CA INDEX NAME)

RN 886732-71-4 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)

RN 886733-21-7 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N,N-diethyl-(CA INDEX NAME)

RN 886733-22-8 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)

RN 886733-23-9 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)

RN 886733-24-0 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 886733-27-3 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(4-methylphenyl)- (CA INDEX NAME)

RN 886733-38-6 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N,N-diethyl- (CA INDEX NAME)

RN 886733-39-7 CAPLUS

CN Benzamide, 3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)

RN 886733-40-0 CAPLUS

CN Benzamide, 3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)

RN 886733-41-1 CAPLUS

CN Benzamide, 3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]-(CA INDEX NAME)

RN 886733-80-8 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)

RN 886733-82-0 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)

IT 886732-42-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid methyl ester 886732-43-0P, 3-[[((5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid methyl ester 886732-44-1P, 3-[1-[((5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoic acid 886732-47-4P, 4-[[3-[[((5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoylamino]methyl]benzoic acid methyl ester 886732-67-8P, 3-[[(Benzo[b]thien-2-

yl)sulfonyl]amino]methyl]benzoic acid 886733-19-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino]methyl]benzoic acid methyl ester 886733-20-6P, 3-[[[(Benzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoic acid 886733-25-1P, 4-[[3-[[(Benzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzoic acid methyl ester 886733-26-2P, 4-[[3-[[(Benzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzoic acid 886733-36-4P, 3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoic acid methyl ester 886733-37-5P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoic acid 886733-42-2P, 4-[[3-[1-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzoic acid methyl ester 886733-43-3P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](methyl)amino]methyl]benzoylamino]methyl]benzoic acid RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of N-benzyl sulfonamides as  $11\beta$ -HSD1 inhibitors) RN 886732-42-9 CAPLUS CN Benzoic acid, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-, methyl ester (CA INDEX NAME)

S S NH CH<sub>2</sub> C OMe

RN 886732-43-0 CAPLUS
CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-, methyl ester (CA INDEX NAME)

 $\begin{array}{c|c} S & O \\ S & NH-CH_2 \\ \hline \\ C1 & Me \\ O \\ \end{array}$ 

RN 886732-44-1 CAPLUS
CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} S & O \\ S & NH-CH_2 \\ \hline \\ C1 & Me \\ \end{array}$$

RN 886732-47-4 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)

RN 886732-67-8 CAPLUS

CN Benzoic acid, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]- (CA INDEX NAME)

RN 886733-19-3 CAPLUS

CN Benzoic acid, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-, methyl ester (CA INDEX NAME)

RN 886733-20-6 CAPLUS

CN Benzoic acid, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)

RN 886733-25-1 CAPLUS

CN Benzoic acid, 4-[[[3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)

RN 886733-26-2 CAPLUS

CN Benzoic acid, 4-[[[3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)

RN 886733-36-4 CAPLUS

CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-, methyl ester (CA INDEX NAME)

RN 886733-37-5 CAPLUS

CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)

RN 886733-42-2 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)

RN 886733-43-3 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)

## RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:718289 CAPLUS

DN 141:243332

TI Preparation of sulfonamide derivatives, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR agonists

IN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones, Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh, Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong; Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu, Guoxin

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 435 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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	PAI	TENT 1	. OI			KINI	)	DATE		i	APPL	ICAT	ION 1	. OV		D	ATE	
ΡΙ		20040				A2 A3		2004 2005		Ī	WO 2	004-	US20	15		2	0040	210
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			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	${ m MZ}$ ,	NΑ,	ΝI
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										1	US 2	003-	4483	07P		P 2	00302	214
										1	WO 2	004-	US20	15	1	W 2	00402	210

CA	2512	883			A1		2004	0902		CA	2004	-2512	883			20040	210
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										WO	2004	-US20	15		W	20040	210
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EP	1597	248			В1		2007	1226									
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										US	2003	-4483	07P		Р	20030	214
										WO	2004	-US20	15		W	20040	210
BR	2004	0071	80		Α		2006	0207		BR	2004	-7180				20040	210
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										WΟ	2004	-US20	15		W	20040	210
CN	1751	037			A		2006	0322		CN	2004	-8000	4250			20040	210
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JP	2006	5207	55		Τ		2006	0914		JΡ	2006	-5029	92			20040	210
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									,	WO	2004	-US20	15		W	20040	210
AT	3820	43			Τ		2008	0115		ΑT	2004	-7098	06			20040	210
										US	2003	-4483	07P		Ρ	20030	214
ES	2297	382			Т3		2008	0501		ES	2004	-7098	06			20040	210
										US	2003	-4483	07P		Р	20030	214
US	2006	0217	433		A1		2006	0928		US	2005	-5425	79			20050	715
										US	2003	-4483	07P		Р	20030	214
										WO	2004	-US20	15		W	20040	210

OS MARPAT 141:243332

Title compds. I [wherein A = II, III; D = (CH2)o; B = R1b-[C]q-R1a; E = O, AB S, NH and derivs.; W = -Y - (CR4R5) - Q, H, cyclo/halo/alkyl, acyl; Q = CO2Hand derivs.; CO2NH2, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = (un) substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R1, R2 = independently H, wherein when Z = Ph or naphthyl and R2 = H, R1 is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R1 and R2 form a 5- to 8-membered heterocycle; R1a, R1b = independently H, alkyl, or R1 and R1a, Rland Rlb, R2 and Rlb, or Rla and Rlb form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R1a and or R1b is not H; R2a = H, halo, (un) substituted alkyl and wherein R2 and R2a together being a 3- to 8-membered ringR3 = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl) heterocyclyl, etc.; R4, R5 = independently H, halo, alkyl, alkoxy, aryloxy, NH2 and derivs., SH and derivs., or R4CR5 = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.

A multistep synthesis is given for sulfonamide IV. I displayed IC50 and EC50 in the range of about 1 nM to about 5  $\mu M$  for binding to PPAR alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 752133-50-9P 752137-73-8P,

2-[5-[3-[[(5-Fluoro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752133-50-9 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-

RN 752137-73-8 CAPLUS

CN 1H-Indole-1-acetic acid,  $5-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-<math>\alpha$ -methyl- (CA INDEX NAME)

ΙT 752131-91-2P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-94-5P, 4-[[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]phenethylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-96-7P, 4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid 752131-97-8P, 3-[4-[2-[(5-Chloro-3-methylbenzo[b]thien-2-]]yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid 752131-98-9P, 2-[[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic acid 752131-99-0P, [5-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid 752132-00-6P 752132-03-9P, 3-[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-04-0P, 3-[4-[2-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid 752133-45-2P, [4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]acetic acid 752133-46-3P, 4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-(methyl)phenoxyacetic acid 752133-52-1P, 4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-(methyl)phenoxyacetic acid 752136-19-9P, 2-[3-[3-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 752136-21-3P, 2-[4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid sodium salt 752136-24-6P, 2-[4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 2-(morpholin-4-yl)ethyl ester hydrochloride 752136-44-0P

752136-69-9P 752136-91-7P 752136-99-5P 752137-11-4P 752137-12-5P 752137-14-7P 752137-15-8P 752137-16-9P 752137-18-1P 752137-19-2P 752137-20-5P 752137-21-6P 752137-23-8P 752137-24-9P 752137-25-0P 752137-27-2P 752137-28-3P 752137-29-4P 752137-30-7P 752137-31-8P 752137-32-9P 752137-33-0P 752137-34-1P 752137-36-3P 752137-37-4P 752137-50-1P, 3-[4-[2-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-51-2P , 3-[4-[2-[(5-Fluoro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-81-8P , 2-[5-[3-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid 752137-82-9P, 2-[5-[3-[[(3-Methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid 752137-83-0P, 2-[5-[3-[[(5-Fluoro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid 752137-89-6P, 2-[5-[3-[[(Benzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid 752137-90-9P, 2-[5-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752131-91-2 CAPLUS

CN

Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752131-94-5 CAPLUS

CN Acetic acid, 2-[4-[[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

RN 752131-96-7 CAPLUS

CN Acetic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-

phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)

RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)

RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 752131-99-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)

RN 752132-00-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)

RN 752132-03-9 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

RN 752132-04-0 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

S N-CH<sub>2</sub>-CH<sub>2</sub>-O

Me

$$CH_2$$
-CH<sub>2</sub>-CH<sub>2</sub>-CO<sub>2</sub>H

 $CH_2$ -CH<sub>2</sub>-CO<sub>2</sub>H

RN 752133-45-2 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

RN 752133-46-3 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)

RN 752133-52-1 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]- (CA INDEX NAME)

RN 752136-19-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752136-21-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, sodium salt (1:1) (CA INDEX NAME)

Na

RN 752136-24-6 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, 2-(4-morpholinyl)ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A

● HCl

PAGE 1-B

RN 752136-44-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752136-69-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[4-[3-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

RN 752136-91-7 CAPLUS

CN Propanoic acid, 2-[4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752136-99-5 CAPLUS

CN Benzenepropanoic acid, 4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & O & \text{Pr-n} \\ & & & \\ & & \\ S & N - \text{ (CH2)} \text{ 3} \end{array}$$

RN 752137-11-4 CAPLUS

CN Benzenepropanoic acid, 4-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]- (CA INDEX NAME)

RN 752137-12-5 CAPLUS

CN Benzenepropanoic acid, 4-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

RN 752137-14-7 CAPLUS

CN Propanoic acid, 2-[4-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-15-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-16-9 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-18-1 CAPLUS

CN Propanoic acid, 2-[3-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-19-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[3-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)

RN 752137-20-5 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-21-6 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-23-8 CAPLUS

CN Propanoic acid, 2-[3-[2-[(benzo[b]thien-2-ylsulfonyl)propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-24-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[2-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]- (CA INDEX NAME)

$$\begin{array}{c|c} & O & Pr-n \\ & & & \\ S-N-CH_2-CH_2 \end{array} \qquad \begin{array}{c} Me \\ O-C-CO_2H \\ & & \\ Me \end{array}$$

RN 752137-25-0 CAPLUS

CN Propanoic acid, 2-[3-[2-[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-27-2 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-chloro-3-methyl-1-oxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-28-3 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-chloro-3-methyl-1,1-dioxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-29-4 CAPLUS

CN Propanoic acid, 2-[3-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]ethyl]phenoxy]-2-methyl-(CA INDEX NAME)

RN 752137-30-7 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-31-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-y1)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-32-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-33-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-34-1 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl](2-methoxyethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-36-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-37-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 752137-50-1 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]- (CA INDEX NAME)

RN 752137-51-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{CH}_2-\text{CH}_2-\text{CO}_2\text{H} \\ & \text{S}-\text{N}-\text{CH}_2-\text{CH}_2 \\ & \text{O} \end{array}$$

RN 752137-81-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ -methyl- (CA INDEX NAME)

RN 752137-82-9 CAPLUS

CN 1H-Indole-1-acetic acid,  $\alpha$ -methyl-5-[3-[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

RN 752137-83-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ ,  $\alpha$ -dimethyl- (CA INDEX NAME)

RN 752137-89-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]- $\alpha$ ,  $\alpha$ -dimethyl- (CA INDEX NAME)

RN 752137-90-9 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- $\alpha$ ,  $\alpha$ -dimethyl- (CA INDEX NAME)

ΙT 752131-92-3P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(2-bromoethyl)-N-(3-phenylpropyl) amide 752132-01-7P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-benzyl-N-(2-bromoethyl) amide 752132-02-8P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-benzyl-N-(2-hydroxyethyl)amide 752132-14-2P, Ethyl 2-[4-[[1-[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](4-interval)]methoxybenzyl)amino]methyl]propyl]sulfanyl]-2-(methyl)phenoxy]acetate 752133-51-0P, Ethyl 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2y1)sulfony1](methy1)amino]propy1]-2-(methy1)phenoxy]acetate 752133-53-2P, Ethyl 2-[4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]amino]propyl]-2-(methyl)phenoxy]acetate 752136-22-4P , 2-[4-[3-[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid ethyl ester 752136-23-5P, 2-[4-[3-[[(5-Chloro-3-methylbenzo[b]thien-2yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of sulfonamides, in particular  $\$ 

N, N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752131-92-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)

RN 752132-01-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{CH}_2\text{--Ph} \\ \parallel & \parallel \\ \text{S-N--CH}_2\text{--CH}_2\text{Br} \\ \parallel & \text{O} \\ \end{array}$$

RN 752132-02-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \text{CH}_2\text{--Ph} \\ \parallel & \parallel \\ \text{S-N--CH}_2\text{--CH}_2\text{--OH} \\ \\ \text{C1} & \text{Me} \end{array}$$

RN 752132-14-2 CAPLUS

CN Acetic acid, 2-[4-[[1-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][(4-methoxyphenyl)methyl]amino]methyl]propyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752133-51-0 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 752133-53-2 CAPLUS

CN Acetic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 752136-22-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 752136-23-5 CAPLUS

CN Propanoic acid, 2-[4-[3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

IT 752131-93-4, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(2-hydroxyethyl)-N-(3-phenylpropyl)amide 752131-95-6,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(2-bromoethyl)-N-phenethylamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfonamides, in particular N,N-benzo[b]thiophene

sulfonamides, as PPAR agonists)

RN 752131-93-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)

RN 752131-95-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(2-phenylethyl)- (CA INDEX NAME)

L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:353142 CAPLUS

DN 140:357200

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta\text{--lactamase}$ 

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. Pat. Appl. 2004 29,836.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

	PATENT NO.					KIND DATE					APP	D	DATE						
Ι	US 20040082546 US 6921756					A1		2004	0429		US	2003-	20030408						
											US	1999-	1423	62P		P 1	9990	706	
											US	2000-	6104	56		A2 2	0000	705	
											US	2002-	2662	13		A2 2	0021	800	
											US	2002-	3021	24		A2 2	0021	122	
	US	6472	406			В1		2002	1029		US	2000- 1999- 2002-	6104	56		2	0000	705	
											US	1999-	1423	62P		P 1	9990	706	
	US	2004	0059	115		A1		2004	0325		US	2002-	2662	13		2	0021	800	
	US	7030	103			В2		2006	0418										
											US	1999-	1423	62P		P 1	9990	706	
											US	2000-	6104	56		A1 2	0000	705	
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ΡI	US 20040029836 US 6884791	A1 B2	20040212 20050426	US 2002-302124	20021122
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US 2002-302124

A1 20031428

OS MARPAT 140:357200

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622  $\mu$ M against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta\text{--lactamase}$  inhibitors and their antibacterial use)

RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

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RN 318463-04-6 CAPLUS

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RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:120574 CAPLUS

DN 140:181318

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of  $\beta\text{--lactamase}$ 

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 96 pp., Cont.-in-part of U.S. Ser. No. 266,213. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

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FAN	US 20060105999 2006:464674 PATENT NO.	A1 KIND	20060518 DATE	US 2003-411484 WO 2003-US36929	W 20031119
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## OS MARPAT 140:181318

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2 when Z = S; n = 1 when Z = C; n = 0 when Z = CH2; L = alkyl, alkoxy, CO, C(:NOMe); R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622 μM against  $\beta$ -lactamase, was given.

IT 318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate  $\beta\text{--lactamase}$  inhibitors and their antibacterial use)

- RN 318460-62-7 CAPLUS
- CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

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- CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

- RN 318463-03-5 CAPLUS
- CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

- NH3
- RN 318463-04-6 CAPLUS
- CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

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#### RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ΑN 2001:31512 CAPLUS

DN 134:95480

ΤI Sulfonamidomethyl phosphonate inhibitors of  $\beta\text{--lactamase}$ 

ΙN Besterman, Jeffrey M.; Delorme, Daniel; Rahil, Jubrail

Methylgene Inc., Can. PCT Int. Appl., 95 pp. SO

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

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FAN	2004:120574 PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 20040029836 US 6884791	A1 B2	20040212 20050426	US 2002-302124 20021122
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				US 1999-142362P P 19990706 US 2000-610456 A1 20000705
	US 20040082546 US 6921756	A1 B2	20040429 20050726	US 2003-411484 20030408
				US 1999-142362P P 19990706 US 2000-610456 A2 20000705 US 2002-266213 A2 20021008 US 2002-302124 A2 20021122
	WO 2004048393 WO 2004048393	A2 A3	20040610 20040819	WO 2003-US36929 20031119
	CO, CR, C GM, HR, F LS, LT, I PG, PH, F TR, TT, T RW: BW, GH, C BY, KG, F ES, FI, F	CU, CZ, DE HU, ID, IL JU, LV, MA PL, PT, RC TZ, UA, UG SM, KE, LS KZ, MD, RU TR, GB, GR	, DK, DM, , IN, IS, , MD, MG, , RU, SC, , US, UZ, , MW, MZ, , TJ, TM, , HU, IE,	BA, BB, BG, BR, BY, BZ, CA, CH, CN, DZ, EC, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, SD, SE, SG, SK, SL, SY, TJ, TM, TN, VC, VN, YU, ZA, ZM, ZW SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, AT, BE, BG, CH, CY, CZ, DE, DK, EE, IT, LU, MC, NL, PT, RO, SE, SI, SK, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2002-302124  A1 20021122
	AU 2003295638	<b>7</b> . 1	20040618	US 2003-411484 A1 20030408
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	US 20060105999	A1	20060518	US 2005-535391 20050518 US 2002-302124 A2 20021122 US 2003-411484 A2 20030408 WO 2003-US36929 W 20031119
	US 20070293675	A1	20071220	US 2007-830305 20070730 US 1999-142362P P 19990706 US 2000-610456 A1 20000705 US 2002-266213 A2 20021008 US 2002-302124 A3 20021122 US 2004-884435 A3 20040702

FAN	2004:353142 PATENT NO.	KIND DATE	APPLICATION NO.	DATE
ΡΙ		A1 20040429	US 2003-411484 US 1999-142362P P	20030408 19990706 20000705
	US 20040059115	B1 20021029 A1 20040325	US 2002-266213 A2 US 2002-302124 A2 US 2000-610456 US 1999-142362P P	20021008 20021122 20000705 19990706 20021008
	US 20040029836	B2 20060418  A1 20040212 B2 20050426	US 1999-142362P P US 2000-610456 A1	19990706 20000705 20021122
	WO 2004048393	A2 20040610 A3 20040819	US 1999-142362P P US 2000-610456 A2 US 2002-266213 A2 WO 2003-US36929	20000705
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	AU 2003295638	A1 20040618	US 2002-302124 A US 2003-411484 A	20031119 20021122 20030408 20031119
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FAN	2006:464674 PATENT NO.	KIND DATE		DATE
ΡΙ	US 20060105999	A1 20060518	US 2002-302124 A2 US 2003-411484 A2 WO 2003-US36929 W	20050518 20021122 20030408 20031119
	US 20040029836 US 6884791	A1 20040212 B2 20050426		20021122 19990706
	US 20040082546 US 6921756	A1 20040429 B2 20050726	US 2000-610456 A2 US 2002-266213 A2	20000705 20021008 20030408
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US 2002-302124 A2 20021122 WO 2004048393 Α2 20040610 WO 2003-US36929 20031119 WO 2004048393 А3 20040819 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG A1 20021122 US 2002-302124 US 2003-411484 A1 20030408

OS MARPAT 134:95480

AB The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel  $\beta$ -lactamase inhibitors which are structurally unrelated to the natural product and semi-synthetic  $\beta$ -lactamase inhibitors presently available and which do not require a  $\beta$ -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. is also described.

IT 318463-03-5P 318463-04-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(sulfonamidomethyl phosphonate  $\beta$ -lactamase inhibitor preparation and antibacterial use)

RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

# ● NH3

RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

## NH3

IT 318460-62-7 318460-64-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sulfonamidomethyl phosphonate  $\beta$ -lactamase inhibitor preparation and antibacterial use)

RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:134849 CAPLUS

DN 126:157509

OREF 126:30463a,30466a

TI Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compounds as Factor Xa inhibitors

IN Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi PΑ Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

PCT Int. Appl., 272 pp. CODEN: PIXXD2 SO

Patent English  $\mathsf{DT}$ 

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CA	2245	699			A1		1998	0611					7614 2245 7614						206 201 206
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BR	9707	489			А		1999	0727					7489 7614	1 4		A		9971 9961	
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FAN 2000:157715
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             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,
             UZ, VN, YU, ZW
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             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
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OS
     MARPAT 126:157509
     About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H,
AΒ
     R3S(0)p, R3R4NS(0)p; R2 = H, alkyl, aralkyl; R3 = alkyl, cycloalkyl,
     heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 =
     alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl;
     X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' =
     O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H,
     R602C, R60, cyano, R6CO, alkyl, N02, etc.; X6, X6' = H, R7R8N, R90,
     R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m =
     0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of
     Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was
     methylated with di-Me sulfate/NaOH, treated with phosphorus
     oxychloride/PCl5, and reacted with
     3-(3S-amino-2-oxopyrrolidin-1-ylmethyl) benzonitrile hydrochloride to give
     7-hydroxynaphthalene-2-sulfonic acid
     {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide
     trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki
     value of 35 nM.
ΙT
     186549-38-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or
        sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide
        compds. as Factor Xa inhibitors)
RN
     186549-38-2 CAPLUS
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CN 2-Thiophenecarboximidamide, 4-[[(3S)-3-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]-2-oxo-1-pyrrolidinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 186549-37-1 CMF C26 H25 C1 N4 O3 S3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 186552-21-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl] azaheterocyclylamide compds. as Factor Xa inhibitors)

RN 186552-21-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3S)-1-[(5-cyano-3-thienyl)methyl]-2-oxo-3-pyrrolidinyl]-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	97.60	1732.53
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-8.80	-131.20

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